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SEP 24 2009

Scientific and Technical Information Center

SEP 24 2009
(9/24)

SEARCH REQUEST FORM

Requester's Full Name: Kristin Bianchi Examiner #: 101566342 Date: 9/24/09
 Art Unit: 1626 Phone Number: 855232 Serial Number: 101566342
 Location (Bldg/Room): EE 24 (Mailbox #): A11-A Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Compounds, methods & formulations for the analgesic
of a glucagon-like peptide (GLP-1) compound or a melanocortin-
 Inventors (please provide full name): Robert Herr, Louis Tungham, John McGill, Kenneth Tungham,
and Muralikrishna Unilari

Earliest Priority Date: 8/20/03

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the chemical species or structures, keywords, synonyms, acronyms, and register numbers, and correlate with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, numbers, etc., if known.

For Sequence Searches Only Please include all pertinent information (genus, subspecies, or known parent number) along with the appropriate serial number.

please search claim 6 along w/
 any obvious variants (i.e., homologs or
 n=1-8, positional isomers or positions of
 R1 & R2 on phenyl, hydrogen versus methyl,
 etc.)

=> fil cap

FILE 'CAPLUS' ENTERED AT 15:26:19 ON 24 SEP 2009

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 24 Sep 2009 VOL 151 ISS 13
 FILE LAST UPDATED: 23 Sep 2009 (20090923/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

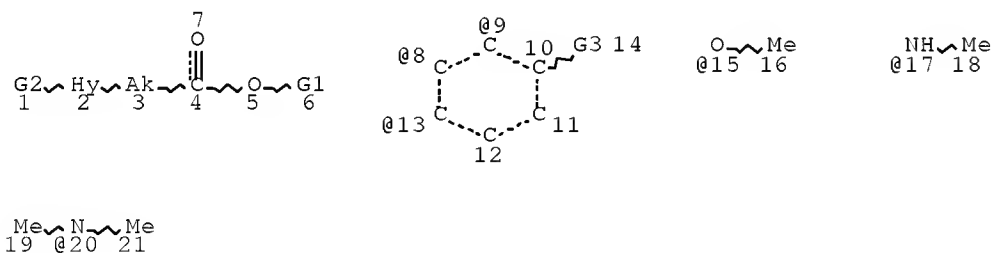
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAPLUS family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

=> d que 124

L2 STR

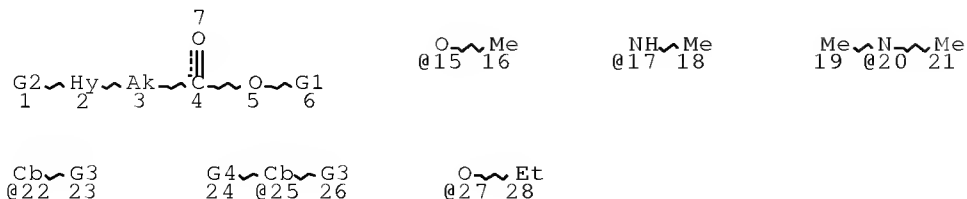


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 GGCAT IS MCY UNS AT 2
 GGCAT IS SAT AT 3
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC 8
 NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

L4 STR



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VAR G2=22/25

VAR G3=OH/15/NH2/17/20

VAR G4=OH/15/27

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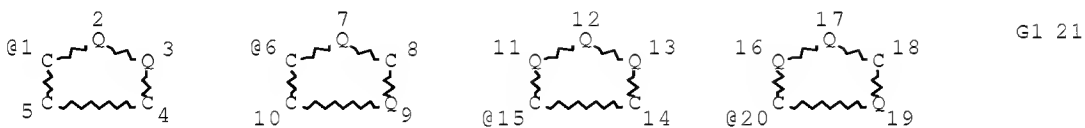
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RING(S) ARE ISOLATED OR EMBEDDED

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STEREO ATTRIBUTES: NONE

L6 STR



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DEFAULT ECLEVEL IS LIMITED

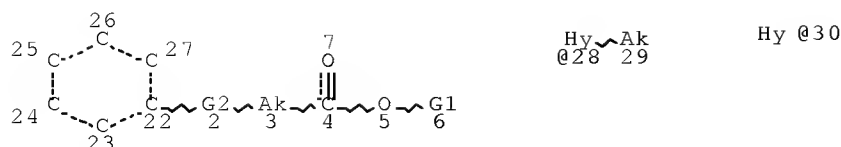
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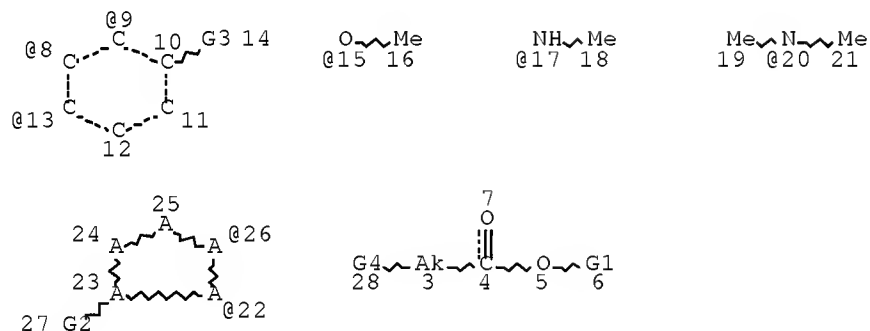
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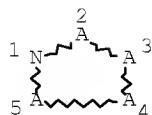


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 N<4 AND N/ELS AND C>8 AND C<40 AND 46.150.18/RID AND ((C/ELS
 AND H/ELS AND O/ELS AND N/ELS AND 4/ELC.SUB) OR (C/ELS AND

H/ELS AND O/ELS AND N/ELS AND 5/ELC.SUB))
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 L20 STR



NODE ATTRIBUTES:
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GRAPH ATTRIBUTES:
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 NUMBER OF NODES IS 5

STEREO ATTRIBUTES: NONE
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 L24 87 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L23 AND (PY<2004 OR
 AY<2004 OR PRY<2004)

=> d l24 ibib abs hitstr tot

L24 ANSWER 1 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:369265 CAPLUS Full-text
 DOCUMENT NUMBER: 142:423892
 TITLE: Alanyl aminopeptidase inhibitors for functionally
 influencing different cells and treating
 immunological, inflammatory, neuronal, and other
 diseases
 INVENTOR(S): Ansorge, Siegfried; Bank, Ute; Nordhoff, Karsten;
 Tager, Michael; Striggow, Frank
 PATENT ASSIGNEE(S): Institut Fur Medizintechnologie Magdeburg GmbH IMTM,
 Germany; Keyneurotek AG
 SOURCE: PCT Int. Appl., 332 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037257	A2	20050428	WO 2004-EP11643	20041015 <--
WO 2005037257	A3	20060914		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,			

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

DE 10348023	A1	20050519	DE 2003-10348023	20031015 <--
AU 2004281536	A1	20050428	AU 2004-281536	20041015 <--
AU 2004281536	B2	20090709		
CA 2542723	A1	20050428	CA 2004-2542723	20041015 <--
EP 1673075	A2	20060628	EP 2004-790485	20041015 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1897928	A	20070117	CN 2004-80036456	20041015 <--
JP 2007508349	T	20070405	JP 2006-534706	20041015 <--
US 20070037752	A1	20070215	US 2006-575882	20060915 <--
PRIORITY APPLN. INFO.:			DE 2003-10348023	A 20031015 <--
			WO 2004-EP11643	W 20041015

OTHER SOURCE(S): MARPAT 142:423892

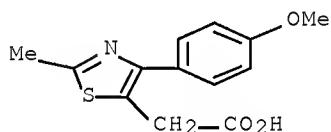
AB The invention discloses substances which specifically inhibit peptidases splitting ala-p-nitroanilide for use in medicine. The invention further discloses the use of at least one such substance or at least one pharmaceutical or cosmetic composition containing such a substance for preventing and treating diseases, especially diseases with an overshooting immune response (autoimmune diseases, allergies, and transplant rejections), other chronic inflammatory diseases, neuronal diseases, brain damage, skin diseases (acne and psoriasis, among others), tumors, and special viral infections (including SARS).

IT 553629-28-0

RL: DEV (Device component use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(alanyl aminopeptidase inhibitors for treatment of immunol., inflammatory, neuronal, and other diseases)

RN 553629-28-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:182636 CAPLUS Full-text

DOCUMENT NUMBER: 142:280192

TITLE: Preparation of aryl-substituted heterocyclylalkanoic acid derivatives for the oral delivery of a glucagon like peptide-1 compound or a melanocortin 4 receptor agonist peptide

INVENTOR(S): Herr, Robert Jason; Jungheim, Louis Nickolaus; McGill, John McNeill, III; Thrasher, Kenneth Jeff; Valluri, Muralikrishna

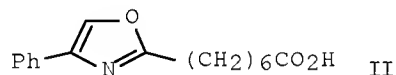
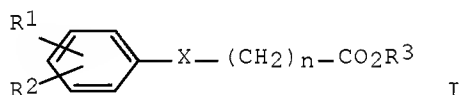
PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019184	A1	20050303	WO 2004-US24386	20040818 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1658273	A1	20060524	EP 2004-779446	20040818 <--
EP 1658273	B1	20070103		
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JP 2007502816	T	20070215	JP 2006-523865	20040818 <--
AT 361294	T	20070515	AT 2004-779447	20040818 <--
ES 2278346	T3	20070801	ES 2004-779446	20040818 <--
ES 2286679	T3	20071201	ES 2004-779447	20040818 <--
US 20080214448	A1	20080904	US 2006-566342	20060127 <--
PRIORITY APPLN. INFO.:			US 2003-496537P	P 20030820 <--
			WO 2004-US24386	W 20040818
OTHER SOURCE(S):			CASREACT 142:280192; MARPAT 142:280192	
GI				



AB The present invention relates to novel title compds. I (R1, R2 = independently H, OH, CN, C1-6 alkyl, C1-6 alkoxy, CF3, NR4R4'; R3 = H, C1-6 alkyl; R4 = H, COR5, SO2R6, C1-6 alkyl; R4' = H, C1-6 alkyl; R5 = H, C1-6 alkyl, R6 = H, C1-6 alkyl; X = 5-membered heterocycle optionally substituted with C1-4 alkyl containing at least 2-3 N, O, or S atoms wherein at least one heteroatom is N and said heterocycle is not 1,3,4-oxadiazole; n = 2-7) or a pharmaceutical salt thereof, as well as methods and formulations useful for the oral delivery of a GLP-1 compound or an MC4 agonist peptide. Thus, esterification of HO2C(CH2)6CO2Me with 2-bromoacetophenone and subsequent cyclocondensation with

acetamide and saponification gave oxazole II. Formulations of prepared compds. I for oral delivery of glucagon like peptide-1 derivs. and melanocortin 4 receptor agonist peptides are given.

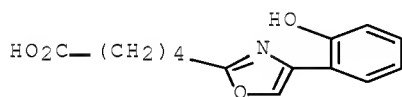
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 847266-80-2P 847266-82-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aryl-substituted heterocyclalkanoic acid derivs. for oral delivery of glucagon like peptide-1 compound or melanocortin 4 receptor agonist peptide)

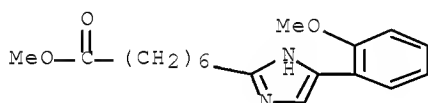
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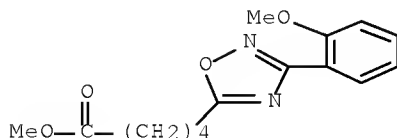
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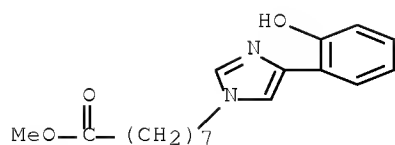
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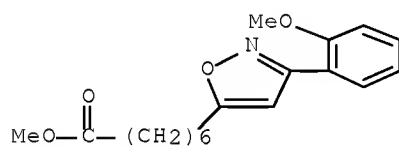
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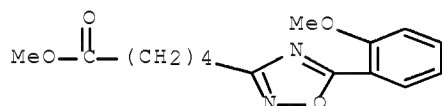
RN 847266-04-0 CAPLUS

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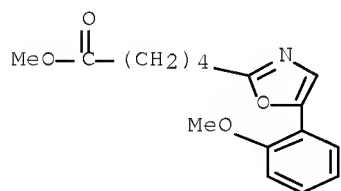
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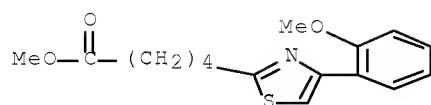
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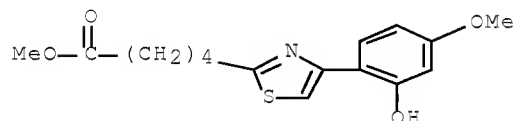
RN 847266-21-1 CAPLUS

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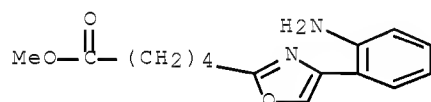
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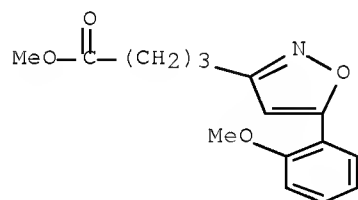
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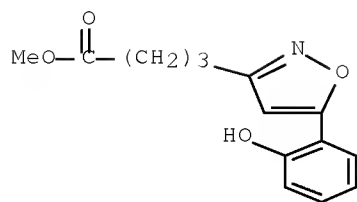
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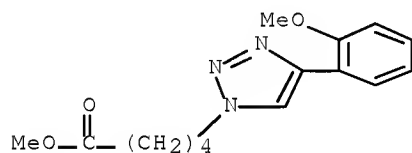
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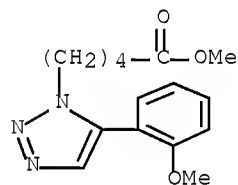
RN 847266-48-2 CAPLUS

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(CA INDEX NAME)



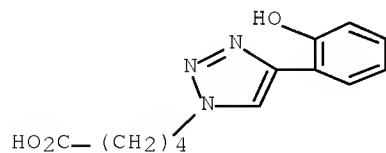
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(CA INDEX NAME)



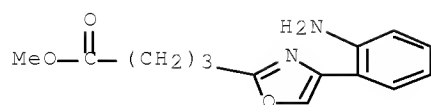
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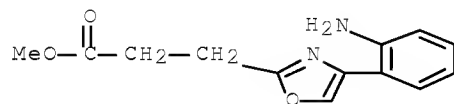
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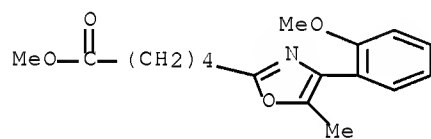
RN 847266-65-3 CAPLUS

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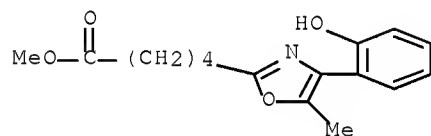
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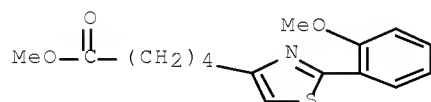
RN 847266-71-1 CAPLUS

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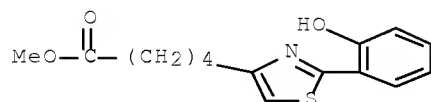
RN 847266-73-3 CAPLUS

CN 4-Thiazolepentanoic acid, 2-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



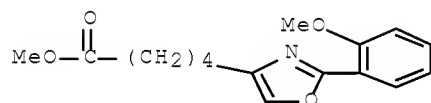
RN 847266-74-4 CAPLUS

CN 4-Thiazolepentanoic acid, 2-(2-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



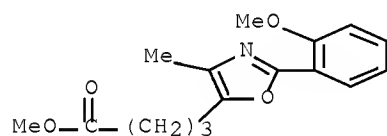
RN 847266-76-6 CAPLUS

CN 4-Oxazolepentanoic acid, 2-(2-methoxyphenyl)-, methyl ester (CA INDEX NAME)



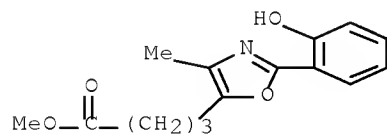
RN 847266-77-7 CAPLUS

CN 5-Oxazolebutanoic acid, 2-(2-methoxyphenyl)-4-methyl-, methyl ester (CA INDEX NAME)



RN 847266-78-8 CAPLUS

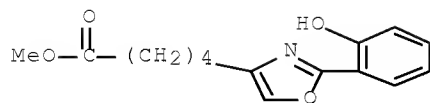
CN 5-Oxazolebutanoic acid, 2-(2-hydroxyphenyl)-4-methyl-, methyl ester (CA INDEX NAME)



RN 847266-80-2 CAPLUS

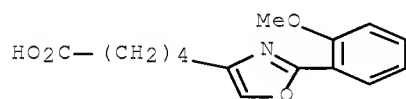
CN 4-Oxazolepentanoic acid, 2-(2-hydroxyphenyl)-, methyl ester (CA INDEX NAME)

NAME)



RN 847266-82-4 CAPLUS

CN 4-Oxazolepentanoic acid, 2-(2-methoxyphenyl)- (CA INDEX NAME)



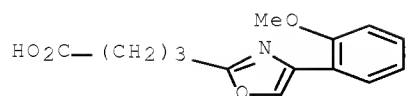
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 847268-20-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of aryl-substituted heterocyclylalkanoic acid derivs. for oral
 delivery of glucagon like peptide-1 compound or melanocortin 4 receptor
 agonist peptide)

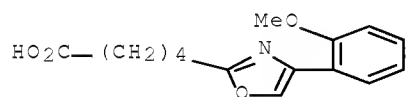
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CN 2-Oxazolebutanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



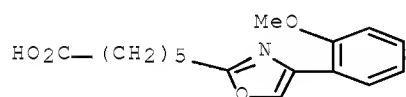
RN 847265-19-4 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



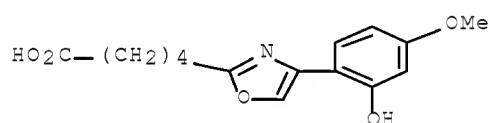
RN 847265-20-7 CAPLUS

CN 2-Oxazolehexanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



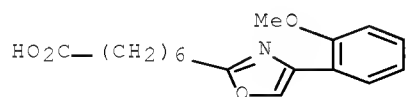
RN 847265-22-9 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



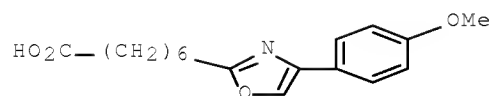
RN 847265-23-0 CAPLUS

CN 2-Oxazoleheptanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



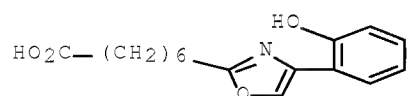
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CN 2-Oxazoleheptanoic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



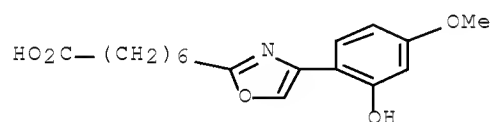
RN 847265-25-2 CAPLUS

CN 2-Oxazoleheptanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



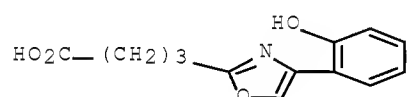
RN 847265-26-3 CAPLUS

CN 2-Oxazoleheptanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



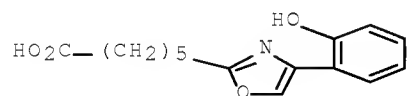
RN 847265-28-5 CAPLUS

CN 2-Oxazolebutanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



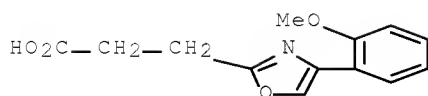
RN 847265-30-9 CAPLUS

CN 2-Oxazolehexanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



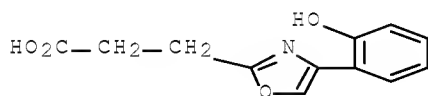
RN 847265-31-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



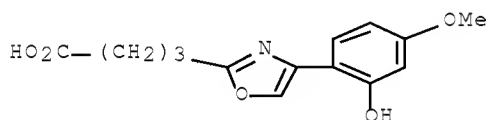
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CN 2-Oxazolepropanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



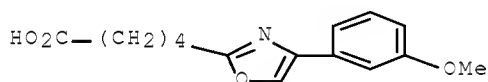
RN 847265-33-2 CAPLUS

CN 2-Oxazolebutanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



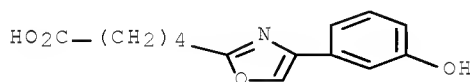
RN 847265-36-5 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(3-methoxyphenyl)- (CA INDEX NAME)



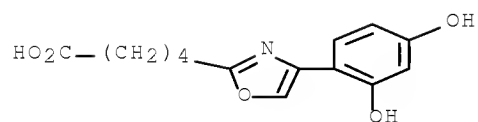
RN 847265-37-6 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(3-hydroxyphenyl)- (CA INDEX NAME)



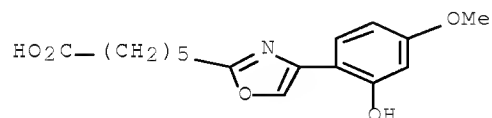
RN 847265-38-7 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(2,4-dihydroxyphenyl)- (CA INDEX NAME)



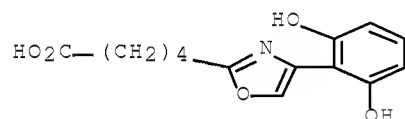
RN 847265-39-8 CAPLUS

CN 2-Oxazolehexanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



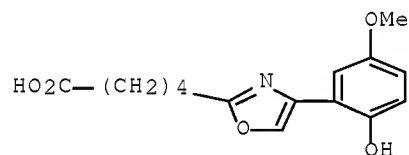
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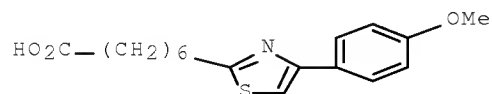
RN 847265-45-6 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(2-hydroxy-5-methoxyphenyl)- (CA INDEX NAME)



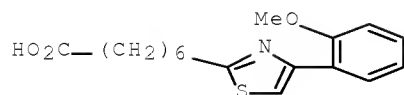
RN 847265-46-7 CAPLUS

CN 2-Thiazoleheptanoic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



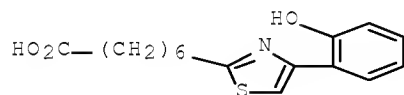
RN 847265-47-8 CAPLUS

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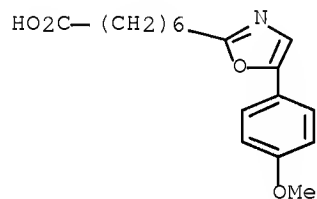
RN 847265-48-9 CAPLUS

CN 2-Thiazoleheptanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



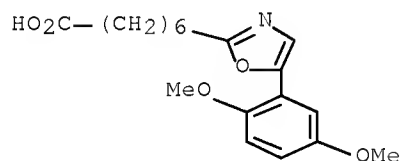
RN 847265-50-3 CAPLUS

CN 2-Oxazoleheptanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



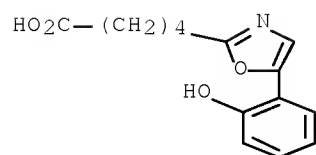
RN 847265-52-5 CAPLUS

CN 2-Oxazoleheptanoic acid, 5-(2,5-dimethoxyphenyl)- (CA INDEX NAME)



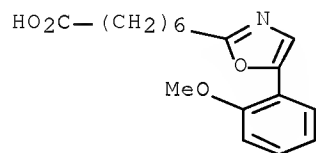
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CN 2-Oxazolepentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



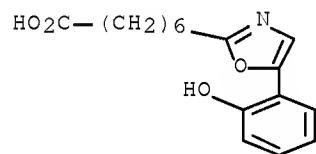
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CN 2-Oxazoleheptanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



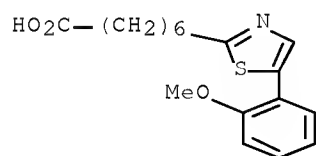
RN 847265-55-8 CAPLUS

CN 2-Oxazoleheptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



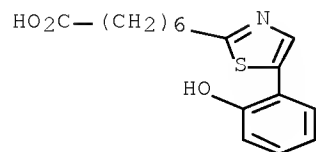
RN 847265-59-2 CAPLUS

CN 2-Thiazoleheptanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



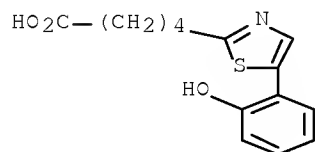
RN 847265-60-5 CAPLUS

CN 2-Thiazoleheptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



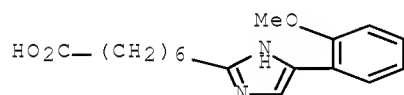
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CN 2-Thiazolepentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



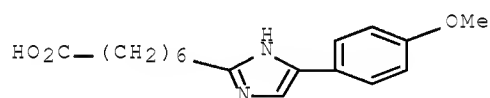
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CN 1H-Imidazole-2-heptanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



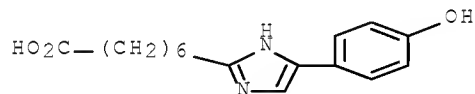
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CN 1H-Imidazole-2-heptanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



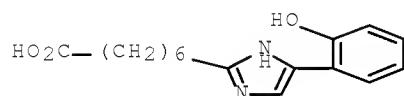
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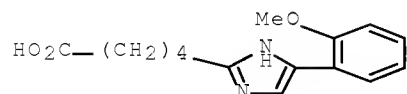
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CN 1H-Imidazole-2-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



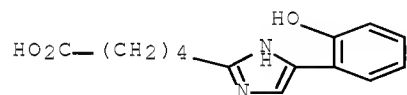
RN 847265-68-3 CAPLUS

CN 1H-Imidazole-2-pentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



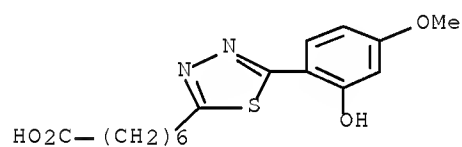
RN 847265-69-4 CAPLUS

CN 1H-Imidazole-2-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



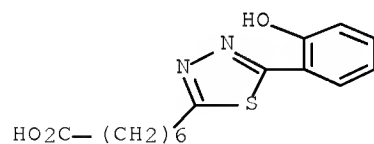
RN 847265-72-9 CAPLUS

CN 1,3,4-Thiadiazole-2-heptanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



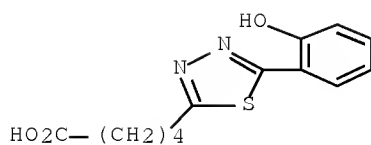
RN 847265-73-0 CAPLUS

CN 1,3,4-Thiadiazole-2-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



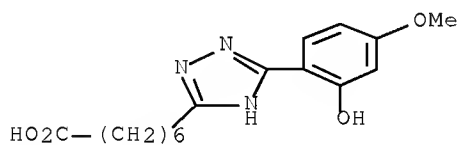
RN 847265-75-2 CAPLUS

CN 1,3,4-Thiadiazole-2-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



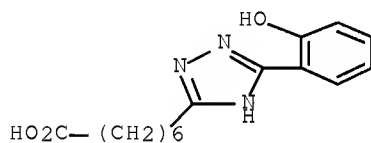
RN 847265-78-5 CAPLUS

CN 1H-1,2,4-Triazole-3-heptanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



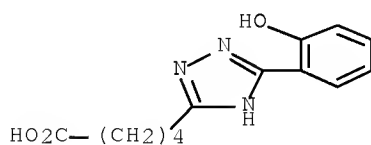
RN 847265-79-6 CAPLUS

CN 1H-1,2,4-Triazole-3-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



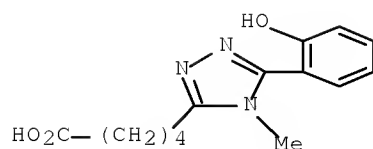
RN 847265-81-0 CAPLUS

CN 1H-1,2,4-Triazole-3-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



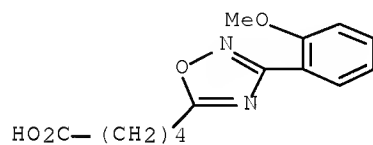
RN 847265-82-1 CAPLUS

CN 4H-1,2,4-Triazole-3-pentanoic acid, 5-(2-hydroxyphenyl)-4-methyl- (CA INDEX NAME)



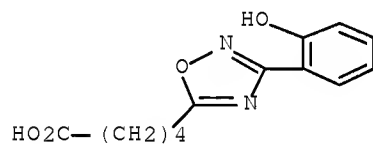
RN 847265-84-3 CAPLUS

CN 1,2,4-Oxadiazole-5-pentanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



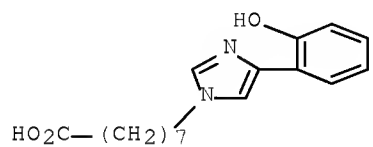
RN 847265-85-4 CAPLUS

CN 1,2,4-Oxadiazole-5-pentanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



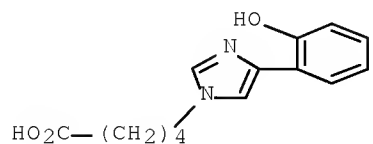
RN 847265-90-1 CAPLUS

CN 1H-Imidazole-1-octanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



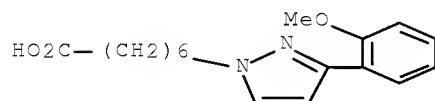
RN 847265-92-3 CAPLUS

CN 1H-Imidazole-1-pentanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



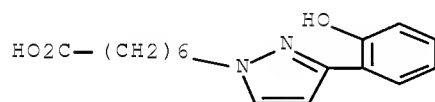
RN 847265-95-6 CAPLUS

CN 1H-Pyrazole-1-heptanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



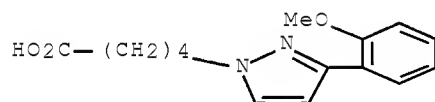
RN 847265-96-7 CAPLUS

CN 1H-Pyrazole-1-heptanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



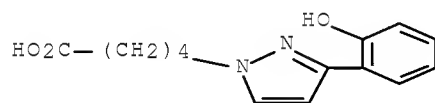
RN 847265-99-0 CAPLUS

CN 1H-Pyrazole-1-pentanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



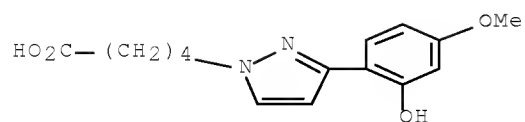
RN 847266-00-6 CAPLUS

CN 1H-Pyrazole-1-pentanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



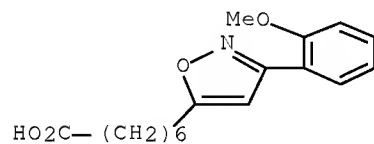
RN 847266-01-7 CAPLUS

CN 1H-Pyrazole-1-pentanoic acid, 3-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



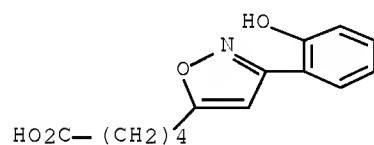
RN 847266-05-1 CAPLUS

CN 5-Isioxazoleheptanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



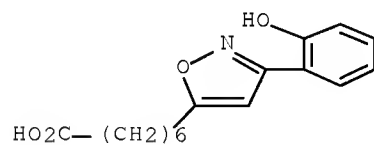
RN 847266-06-2 CAPLUS

CN 5-Isioxazolepentanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



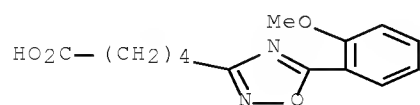
RN 847266-11-9 CAPLUS

CN 5-Isioxazoleheptanoic acid, 3-(2-hydroxyphenyl)- (CA INDEX NAME)



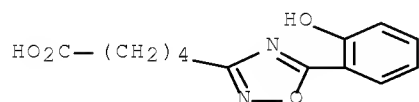
RN 847266-14-2 CAPLUS

CN 1,2,4-Oxadiazole-3-pentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



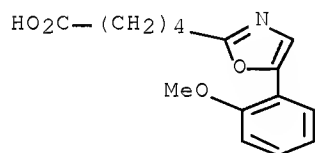
RN 847266-15-3 CAPLUS

CN 1,2,4-Oxadiazole-3-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



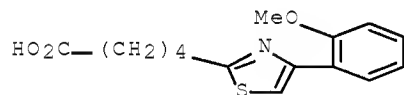
RN 847266-17-5 CAPLUS

CN 2-Oxazolepentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



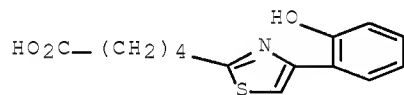
RN 847266-22-2 CAPLUS

CN 2-Thiazolepentanoic acid, 4-(2-methoxyphenyl)- (CA INDEX NAME)



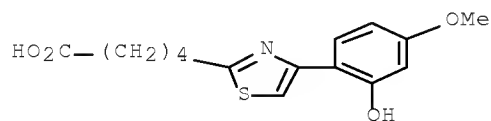
RN 847266-23-3 CAPLUS

CN 2-Thiazolepentanoic acid, 4-(2-hydroxyphenyl)- (CA INDEX NAME)



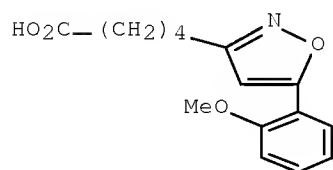
RN 847266-25-5 CAPLUS

CN 2-Thiazolepentanoic acid, 4-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



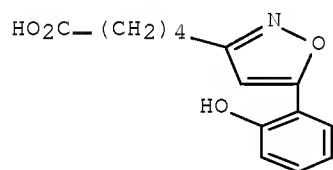
RN 847266-27-7 CAPLUS

CN 3-Isoxazolepentanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



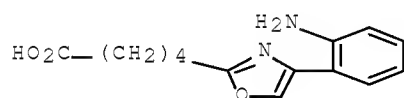
RN 847266-29-9 CAPLUS

CN 3-Isoxazolepentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



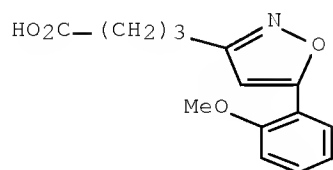
RN 847266-34-6 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



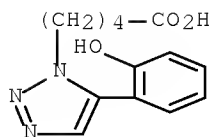
RN 847266-46-0 CAPLUS

CN 3-Isoxazolebutanoic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



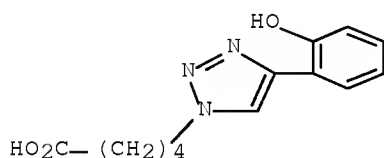
RN 847266-51-7 CAPLUS

CN 1H-1,2,3-Triazole-1-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



RN 847266-52-8 CAPLUS

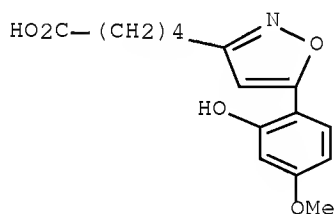
CN 1H-1,2,3-Triazole-1-pentanoic acid, 4-(2-hydroxyphenyl)-, sodium salt
(1:2) (CA INDEX NAME)



●2 Na

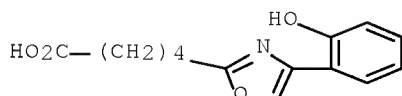
RN 847266-56-2 CAPLUS

CN 3-Isoxazolepentanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



RN 847266-57-3 CAPLUS

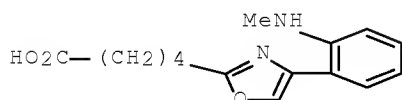
CN 2-Oxazolepentanoic acid, 4-(2-hydroxyphenyl)-, sodium salt (1:2) (CA INDEX NAME)



●2 Na

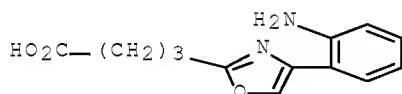
RN 847266-60-8 CAPLUS

CN 2-Oxazolepentanoic acid, 4-[2-(methylamino)phenyl]- (CA INDEX NAME)



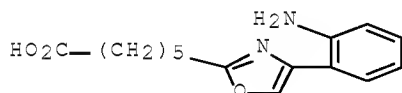
RN 847266-62-0 CAPLUS

CN 2-Oxazolebutanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



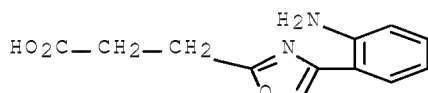
RN 847266-64-2 CAPLUS

CN 2-Oxazolehexanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



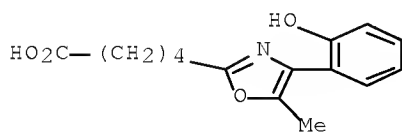
RN 847266-66-4 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(2-aminophenyl)- (CA INDEX NAME)



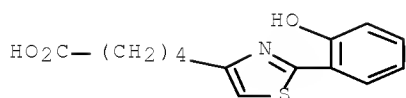
RN 847266-72-2 CAPLUS

CN 2-Oxazolepentanoic acid, 4-(2-hydroxyphenyl)-5-methyl- (CA INDEX NAME)



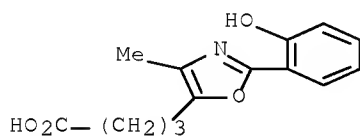
RN 847266-75-5 CAPLUS

CN 4-Thiazolepentanoic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



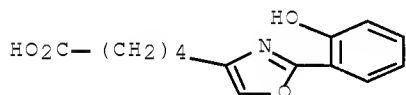
RN 847266-79-9 CAPLUS

CN 5-Oxazolebutanoic acid, 2-(2-hydroxyphenyl)-4-methyl- (CA INDEX NAME)



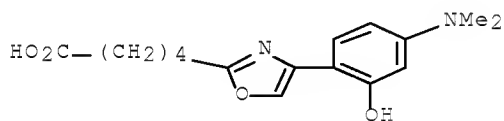
RN 847266-81-3 CAPLUS

CN 4-Oxazolepentanoic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



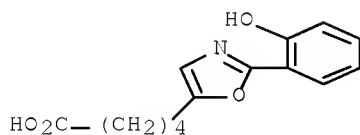
RN 847266-89-1 CAPLUS

CN 2-Oxazolepentanoic acid, 4-[4-(dimethylamino)-2-hydroxyphenyl]- (CA INDEX NAME)



RN 847268-20-6 CAPLUS

CN 5-Oxazolepentanoic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:158627 CAPLUS Full-text

DOCUMENT NUMBER: 142:261304

TITLE: Preparation of anthranilic acid derivatives as selective agonists of the nicotinic acid receptor HM74A

INVENTOR(S): Campbell, Mathew; Hatley, Richard Jonathan; Heer, Jag Paul; Mason, Andrew McMurtrie; Nicholson, Neville Hubert; Pinto, Ivan Leo; Rahman, Shahzad Sharooq; Smith, Ian Edward David

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

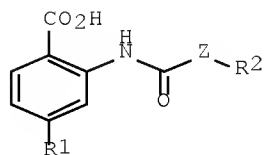
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005016870	A1	20050224	WO 2004-GB3528	20040813 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1670749	A1	20060621	EP 2004-768088	20040813 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007502264	T	20070208	JP 2006-523062	20040813 <--
PRIORITY APPLN. INFO.:			GB 2003-19124	A 20030814 <--
			WO 2004-GB3528	W 20040813
OTHER SOURCE(S):			CASREACT 142:261304; MARPAT 142:261304	
GI				



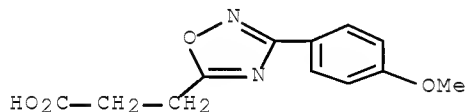
I

AB Therapeutically active anthranilic acid derivs. I [R1 = H, halo, alkyl; R2 = 5-6 membered aryl, heteroaryl, heterocyclyl, alicyclic ring; Z = (CH2)q, CH:CH, (CH2)nO, etc.; q = 1-4; n = 2-4], processes for the preparation of said compds. I, pharmaceutical formulations containing the active compds. and the use of the compds. in therapy, particularly in the treatment of diseases in which under-activation of the HM74A receptor contributes to the disease or in which activation of the receptor will be beneficial, are disclosed. Over sixty compds. I were prepared E.g., a 3-step synthesis of I [R1 = H; R2 = 3'-methoxybiphenyl; Z = CH2O], starting from Me anthranilate, was given. The compds. I showed EC50 of 5.0 or greater and efficacy of 30% or greater in HM74A in-vitro assays.

IT 94192-18-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of anthranilic acid derivs. as selective agonists of the nicotinic acid receptor HM74A for treating lipid metabolic diseases)

RN 94192-18-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:857162 CAPLUS Full-text

DOCUMENT NUMBER: 141:350185

TITLE: Preparation of pyrimidine derivatives with lysophosphatidic acid acyltransferase β (LPAAT- β) inhibitory activity

INVENTOR(S): Bhatt, Rama; Gong, Baoqing; Hong, Feng; Jenkins, Scott A.; Klein, J. Peter; Kohm, Cory T.; Tulinsky, John

PATENT ASSIGNEE(S): Cell Therapeutics, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 80 pp., which CODEN: USXXCO

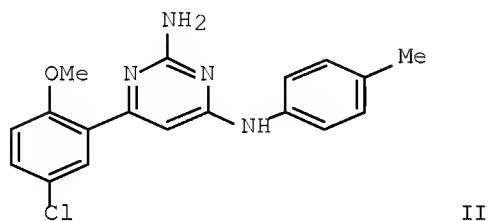
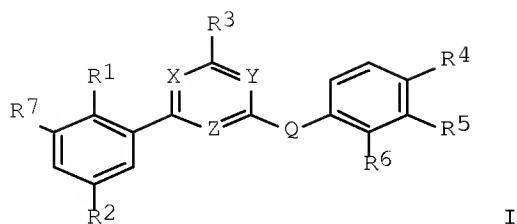
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040204386	A1	20041014	US 2003-671070	20030924 <--
US 7419984	B2	20080902		
US 20090099183	A1	20090416	US 2008-194192	20080819 <--
PRIORITY APPLN. INFO.:			US 2002-419694P	P 20021017 <--
			US 2003-460776P	P 20030404 <--
			US 2003-671070	A1 20030924 <--
OTHER SOURCE(S):			CASREACT 141:350185; MARPAT 141:350185	
GI				



AB The title compds. I [X, Y, Z = N, CH, or CR with the proviso that two of X, Y and Z are N; R = alkyl, alkoxy, Cl, Br, (substituted)amino; Q = NR', R'N-(CH₂)_n, (CH₂)_n-NR', O, O-(CH₂)_n, (CH₂)_n-O, S, S-(CH₂)_n, or (CH₂)_n-S; n = 1-10; R' = H or alkyl; R₁ = H, OH, alkyl, alkoxy, Cl, F, Br, etc.; R₂, R₇ = H, OH, alkyl, alkoxy, Cl, F, Br, I, etc.; R₃ = H, alkyl, alkoxy, Cl, CCl₃, (substituted)amino; R₄, R₅, R₆ = H, OH, alkyl, alkenyl, alkynyl, alkoxy, etc. or R₄, R₅ or R₅, R₆ are taken together with benzene ring to form a heterocycle] are prepared as lysophosphatidic acid acyltransferase β (LPAAT-β) inhibitors for the treatment of diseases related to cell proliferation, such as cancer. For example, reaction of 6-chloro-N4-(4-methylphenyl)-pyrimidine-2,4-diamine (preparation given) with 5-chloro-2-methoxy-Ph boronic acid yielded compound II. The latter exhibits an IC₅₀ = 0.12 μM in the LPAAT-β assay.

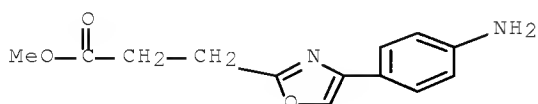
IT 774608-15-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. with lysophosphatidic acid acyltransferase β (LPAAT-β) inhibitory activity)

RN 774608-15-0 CAPLUS

CN 2-Oxazolepropanoic acid, 4-(4-aminophenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT:	6	THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT:	69	THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:696891 CAPLUS Full-text

DOCUMENT NUMBER: 139:230765

TITLE: Preparation of
pyridylethylaminoethoxyphenylazoleacetamides as
 β 3-adrenergic receptor agonists.

INVENTOR(S): Lafontaine, Jennifer Anne; Morgan, Bradley Paul

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

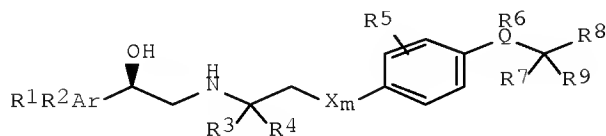
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072572	A1	20030904	WO 2003-IB590	20030217 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476316	A1	20030904	CA 2003-2476316	20030217 <--
AU 2003248356	A1	20030909	AU 2003-248356	20030217 <--
EP 1485379	A1	20041215	EP 2003-742884	20030217 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008070	A	20041221	BR 2003-8070	20030217 <--
JP 2005518448	T	20050623	JP 2003-571278	20030217 <--
US 20030212063	A1	20031113	US 2003-373119	20030224 <--
US 6864268	B2	20050308		
MX 2004007127	A	20050705	MX 2004-7127	20040723 <--
PRIORITY APPLN. INFO.:				
			US 2002-360251P	P 20020227 <--
			US 2002-432074P	P 20021209 <--
			WO 2003-IB590	W 20030217 <--

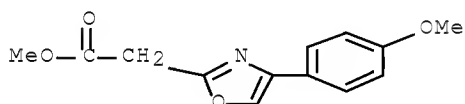
OTHER SOURCE(S): MARPAT 139:230765

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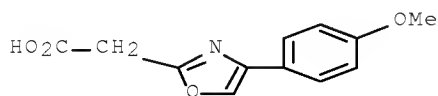


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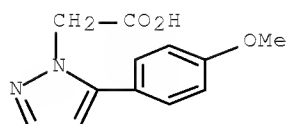
- AB Title compds. [I; Ar = Ph, (aromatic) 5-6 membered heterocyclyl containing 1-4 O, S, N, benzo(hetero)cyclyl containing 1-3 O, S, N, heterocyclyl containing 1-3 O, S, N fused to an (aromatic) 5-6 membered heterocyclyl containing 1-3 O, S, N; R1, R2 = H, OH, halo, cyano, NO2, NR1aR2a, NR1aSO2R2a, OR1a, SO2R2a, CF3, cycloalkyl, Ph, NR1aCOR2a, COR2a, alkyl optionally substituted with ≥ 1 OH, NO2, halo, cyano; R1a, R2a = H, cycloalkyl, Ph optionally substituted with 1-3 halo, alkyl, alkoxy, alkyl optionally substituted with 1-3 OH, F, CO2H, Ph, NR1bR2b; R1b, R2b = H, amino, aminoalkyl, aminoaryl, alkyl optionally substituted with ≥ 1 OH, alkoxy, F, amino, alkylamino, acyl, cycloalkyl optionally substituted with ≥ 1 F, alkyl, alkoxy, OH, amino, aminoalkyl, acyl, amido, 3-8 membered (aromatic) heterocyclyl optionally substituted with ≥ 1 halo, alkyl, alkoxy, OH, amino, aminoalkyl, acyl, amido; R1bR2bN = 3-8 membered (aromatic) heterocyclyl optionally containing 1-2 addnl. O, S, N; R3, R4 = H, alkyl optionally substituted with 1-3 OH, alkoxy, F; R5 = H, alkyl optionally substituted with 1-3 OH, alkoxy, F; R6, R7 = H, halo, alkyl optionally substituted with ≥ 1 OH, alkoxy, F; R8 = CONR1bR2b, SOR1b, SO2R1b, SO2NR1bR2b, NR1bSO2R2b, CO2R1b; R9 = H, halo, alkoxy, alkyl optionally substituted with ≥ 1 F, OH, alkoxy; X = O, NH, NR1a, CH2, CH2CH2, CH2O; m = 0, 1; Q = imidazole, oxazole, pyrazole, thiazole], were prepared Thus, (R)-2-chloro-5-oxiranylpiperidine and 2-[4-[4-(2-aminoethoxy)phenyl]oxazol-2-yl]-1-pyrrolidin-1-ylethanone (preparation given) were heated at 80° in EtOH for about 16 h to give 54% 2-[4-[4-[2-[2-(6-chloropyridin-3-yl)-2(R)-hydroxyethylamino]ethoxy]phenyl]oxazol-2-yl]-1-pyrrolidin-1-ylethanone. I showed EC50 = 13-155 μ M for β 3 agonist activity.
- IT 595544-45-9P 595544-46-0P 595544-61-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyridylethylaminoethoxyphenylazoleacetamides as β 3-adrenergic receptor agonists)
- RN 595544-45-9 CAPLUS
- CN 2-Oxazoleacetic acid, 4-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



- RN 595544-46-0 CAPLUS
- CN 2-Oxazoleacetic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



- RN 595544-61-9 CAPLUS
- CN 1H-Pyrazole-1-acetic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:696781 CAPLUS Full-text
DOCUMENT NUMBER: 139:235382
TITLE: Method for administering GLP-1 molecules orally
INVENTOR(S): Khan, Mohammed Amin
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Jones, Bryan Edward;
McGill, John McNeill
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072195	A2	20030904	WO 2003-US3111	20030207 <--
WO 2003072195	A3	20040325		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2473340	A1	20030904	CA 2003-2473340	20030207 <--
AU 2003208945	A1	20030909	AU 2003-208945	20030207 <--
AU 2003208945	B2	20080501		
EP 1478233	A2	20041124	EP 2003-707669	20030207 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003007727	A	20050125	BR 2003-7727	20030207 <--
CN 1635832	A	20050706	CN 2003-804365	20030207 <--
CN 1332711	C	20070822		
JP 2005524658	T	20050818	JP 2003-570937	20030207 <--
NZ 534125	A	20061130	NZ 2003-534125	20030207 <--
RU 2332229	C2	20080827	RU 2004-127916	20030207 <--
IN 2004KN01148	A	20060714	IN 2004-KN1148	20040810 <--
US 20050148497	A1	20050707	US 2004-504717	20040817 <--
MX 2004008068	A	20041126	MX 2004-8068	20040819 <--
ZA 2004006626	A	20050922	ZA 2004-6626	20040819 <--
IN 2008DN04056	A	20080801	IN 2008-DN4056	20080512 <--
PRIORITY APPLN. INFO.:			US 2002-358184P	P 20020220 <--
			WO 2003-US3111	W 20030207 <--

IN 2004-KN1148

A3 20040810

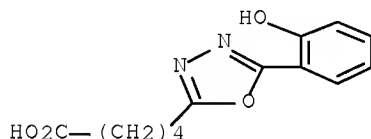
AB The invention encompasses formulations that demonstrate the feasibility of oral absorption comprising GLP-1 compds. and specified delivery agents.

IT 288249-12-7

RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (method for administering GLP-1 mols. orally)

RN 288249-12-7 CAPLUS

CN 1,3,4-Oxadiazole-2-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:633398 CAPLUS Full-text

DOCUMENT NUMBER: 139:161818

TITLE: Methods of diagnosis, monitoring and treatment of fertility

INVENTOR(S): Ai-Abed, Yousef

PATENT ASSIGNEE(S): North Shore-Long Island Jewish Research Institute, USA

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003065979	A2	20030814	WO 2002-US38629	20021205 <--
WO 2003065979	A3	20040212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002365941	A1	20030902	AU 2002-365941	20021205 <--
PRIORITY APPLN. INFO.:			US 2001-355755P	P 20011205 <--
			WO 2002-US38629	W 20021205 <--

AB The present invention generally relates to methods of using dopachrome tautomerase activity of macrophage migration inhibitory factor (MIF) and related or other proteins present in the seminal fluid (ejaculate) of a male

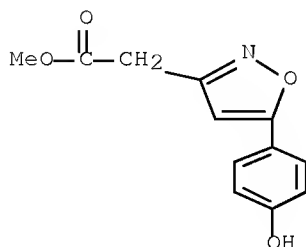
subject to evaluate fertility and other related diseases. Further utilities relate to the diagnosis and treatment of fertility, to new methods of contraception, and to methods of enhancing artificial insemination and in vitro fertilization.

IT 573984-66-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of diagnosis, monitoring and treatment of fertility)

RN 573984-66-4 CAPLUS

CN 3-Isloxazoleacetic acid, 5-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:551377 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:117427

TITLE: Preparation of 3-(isoxazolyl)propionic acid
derivatives as neurotrophic factor
production/secretion accelerator

INVENTOR(S): Hazama, Masatoshi; Iwakami, Norihisa; Miyazaki, Takeshi; Sakai, Nozomu; Maekawa, Tsuyoshi; Momose, Yu; Kawamura, Toru

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 282 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057215	A1	20030717	WO 2002-JP13654	20021226 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002367426	A1	20030724	AU 2002-367426	20021226 <--
JP 2003261545	A	20030919	JP 2002-375898	20021226 <--

PRIORITY APPLN. INFO.:

JP 2001-401380

A 20011228 <--

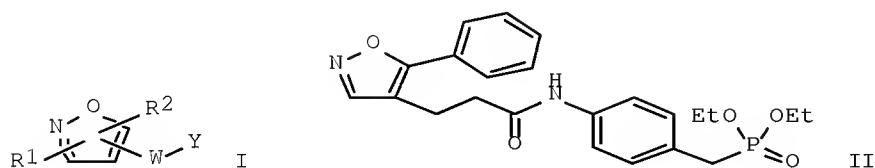
WO 2002-JP13654

W 20021226 <--

OTHER SOURCE(S):

MARPAT 139:117427

GI



AB The title compds. I [wherein R1 and R2 = independently H or (un)substituted cyclyl; W = a bond or alkylene; Y = OR3; R3 = H, (un)substituted hydrocarbyl, heterocyclyl, or acyl, etc.] and salts and prodrugs thereof are prepared as neurotrophic factor production/secretion accelerator. For example, di-Et 4-aminobenzylphosphonate was reacted with 3-(5-phenyl-4-isoxazolyl)propionic acid (preparation given) in DMF in the presence of dehydrating reagents to afford the amide II (93%). II showed 49% pain feeling increase in rat. Formulations containing I as an active ingredient were also described.

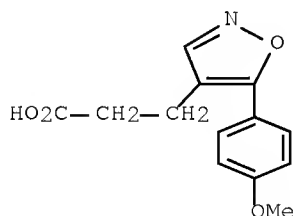
IT 430529-66-1P 430530-74-8P 562084-08-6P
562084-09-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of isoxazolylpropionic acid derivs. as neurotrophic factor production/secretion accelerator)

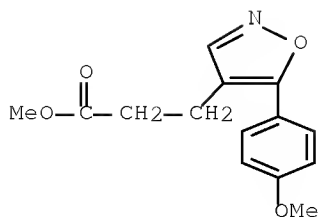
RN 430529-66-1 CAPLUS

CN 4-Isioxazolepropanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)

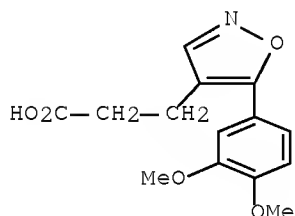


RN 430530-74-8 CAPLUS

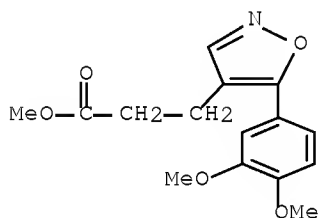
CN 4-Isioxazolepropanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 562084-08-6 CAPLUS
 CN 4-Isioxazolepropanoic acid, 5-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



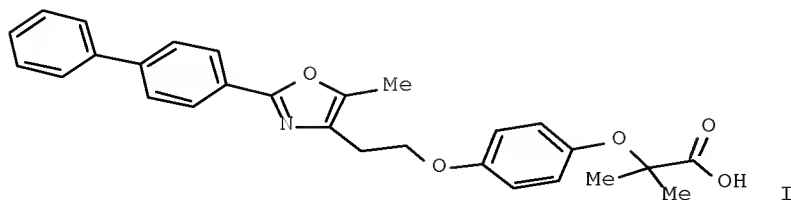
RN 562084-09-7 CAPLUS
 CN 4-Isioxazolepropanoic acid, 5-(3,4-dimethoxyphenyl)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:167955 CAPLUS Full-text
 DOCUMENT NUMBER: 138:353870
 TITLE: Application of the Dakin-West Reaction for the
 Synthesis of Oxazole-Containing Dual
 PPARα/γ Agonists
 AUTHOR(S): Godfrey, Alexander G.; Brooks, Dawn A.; Hay, Lynne A.;
 Peters, Mary; McCarthy, James R.; Mitchell, David
 CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly Company,
 Indianapolis, IN, 46285, USA
 SOURCE: Journal of Organic Chemistry (2003), 68(7), 2623-2632
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:353870
 GI



AB An improved method for the preparation of a series of oxazole-containing dual PPAR α / γ agonists, e.g., I, is described. A synthetic sequence utilizing a Dakin-West reaction was devised that allows for the introduction of the oxazole ring either late in the synthetic sequence via aminomalonate-derived chemical or in pivotal SAR intermediates derived from aspartic acid.

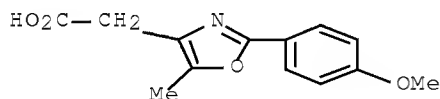
IT 136058-68-9F 518343-86-7F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazoles via Dakin West reaction of amino acid derivs. to form keto amides with subsequent cyclodehydration)

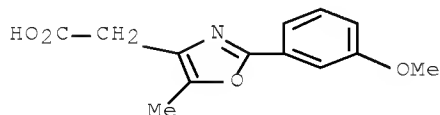
RN 136058-68-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)



RN 518343-86-7 CAPLUS

CN 4-Oxazoleacetic acid, 2-(3-methoxyphenyl)-5-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (39 CITINGS)

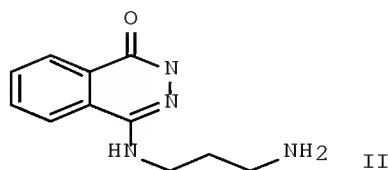
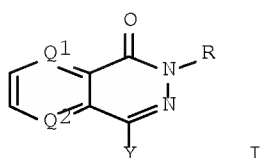
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:154251 CAPLUS [Full-text](#)

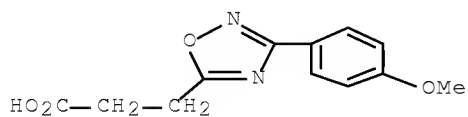
DOCUMENT NUMBER: 138:205069
 TITLE: Preparation of 2H-phthalazin-1-ones as poly(ADP-ribose)polymerase inhibitors for treatment of cancer
 INVENTOR(S): Beaton, Graham; Moree, Wilna J.; Rueter, Jaimie K.; Dahl, Russell S.; McElligott, David L.; Goldman, Phyllis; Demaggio, Anthony J.; Christenson, Erik; Herendeen, Dan; Fowler, Kerry W.; Huang, Danwen; Bertino, Jaimie A.; Bourdon, Lisa H.; Fairfax, David J.; Jiang, Qin; Reisch, Helge A.; Song, Ren Hua; Zhichkin, Pavel E.
 PATENT ASSIGNEE(S): Icos Corporation, USA
 SOURCE: PCT Int. Appl., 229 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003015785	A1	20030227	WO 2002-US26271	20020815 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2456985	A1	20030227	CA 2002-2456985	20020815 <--
AU 2002331621	A1	20030303	AU 2002-331621	20020815 <--
AU 2002331621	B2	20080605		
US 20040087588	A1	20040506	US 2002-222749	20020815 <--
US 6924284	B2	20050802		
EP 1423120	A1	20040602	EP 2002-768596	20020815 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1568187	A	20050119	CN 2002-820219	20020815 <--
JP 2005501848	T	20050120	JP 2003-520744	20020815 <--
NZ 531245	A	20050930	NZ 2002-531245	20020815 <--
MX 2004001353	A	20041027	MX 2004-1353	20040212 <--
PRIORITY APPLN. INFO.:			US 2001-312540P	P 20010815 <--
			WO 2002-US26271	W 20020815 <--
OTHER SOURCE(S):			MARPAT 138:205069	
GI				



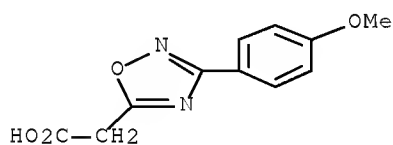
- AB Title compds. and derivs. thereof I [wherein Q1 and Q2 = independently N or CRa; Ra = H, halo, NO₂, or alkyl; R = H, alkyl, or N-protecting group; Y = NR1R2, R3C(=X1)Y1, (alkylene)x- NR11R12NR13[C(=X3)]c(NR14)d(R15)e[C(=X4)]fR16, or NR11R12N=CR2OR21; R1, R14, and R20 = independently H or alkyl; R2 = arylcarbonyl, heteroalkyl, cyclo(alkyl), alkenyl, alkynyl, etc.; R3 = alkylene; X1, X3, and X4 = independently O or S; Y1 = NR4R5; R4 = H, (hetero)alkyl, or aralkyl; R5 = (un)substituted aralkyl, heteroalkyl, heterocyclyl, heteroaryl(alkyl), arylsulfonylamino, etc.; x = 0-1; R11 = H, alkyl, or (un)substituted heteroaralkyl; R12 = (cyclo)alkylene, heteroalkylene, aralkylene, or arylene; or NR11R12 = (un)substituted heterocyclyl; c = 0-2; d-f = independently 0-1; R13 = H, alkyl, arylcarbonylalkylene, etc.; R15 = (hetero)alkylene or alkenylene; R16 = H, (un)substituted (hetero)aryl, (hetero)alkyl, cycloalkyl, aralkoxy, amino, arylsulfonylamino, etc.; R21 = alkyl, or substituted heteroaryl; and pharmaceutically acceptable salts, hydrates, solvates, or prodrugs thereof] were prepared as poly(ADP-ribose)polymerase (PARP) inhibitors (no data). For example, condensation of 1,3-propanediamine with phthalic anhydride in EtOH gave 3,4-dihydropyrimido[1,2-a]indol-10(2H)-one, which was dissolved in ethylene glycol and reacted with NH₂NH₂•H₂O to afford II (51%). I are useful for radiosensitizing and chemosensitizing tumor cells for the treatment of cancer (no data).
- II 94192-18-4P, 3-[3-(4-Methoxyphenyl)-[1,2,4]oxadiazol-5-yl]propionic acid 500024-33-9P, 2-[3-(4-Methoxyphenyl)-1,2,4-oxadiazol-5-yl]acetic acid 500024-35-1P, 3-[4-(4-Methoxyphenyl)-[1,2,3]triazol-1-yl]propionic acid 500024-37-3P, 3-[5-(4-Methoxyphenyl)isoxazol-3-yl]propionic acid 500025-10-5P, 3-[3-(4-Hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-11-6P, 3-[3-(3-Hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-24-1P, 3-[3-(2,3-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-25-2P, 3-[3-(2,4-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-26-3P, 3-[3-(2,5-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-27-4P, 3-[3-(2,6-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-28-5P, 3-[3-(3,5-Dimethoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500025-83-2P, Methyl 3-[3-(4-hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionate 500025-84-3P, Methyl 3-[3-(3-hydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionate 500025-86-5P, Methyl 3-[3-(3-Methoxyphenyl)-1,2,4-oxadiazol-5-yl]propionate 500026-33-5P, 3-[3-(3-Methoxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-55-1P, 3-[3-(2,4-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-56-2P, 3-[3-(2,3-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-57-3P, 3-[3-(3,5-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-58-4P, 3-[3-(2,5-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-59-5P, 3-[3-(2,6-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid 500026-61-9P, 3-[3-(3,4-Dihydroxyphenyl)-1,2,4-oxadiazol-5-yl]propionic acid
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (intermediate; preparation of phthalazinone PARP inhibitors for treatment of cancer)
- RN 94192-18-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



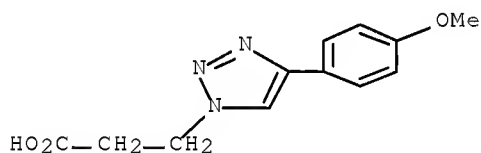
RN 500024-33-9 CAPLUS

CN 1,2,4-Oxadiazole-5-acetic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



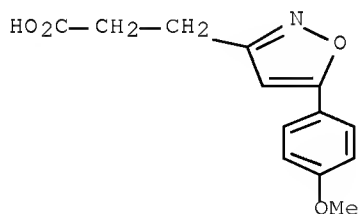
RN 500024-35-1 CAPLUS

CN 1H-1,2,3-Triazole-1-propanoic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



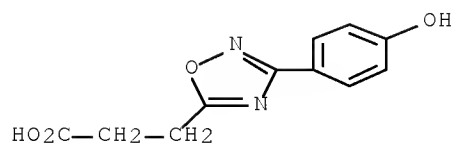
RN 500024-37-3 CAPLUS

CN 3-Isoxazolepropanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



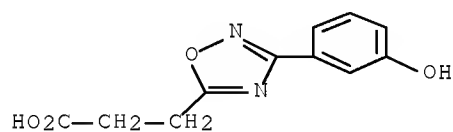
RN 500025-10-5 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-hydroxyphenyl)- (CA INDEX NAME)



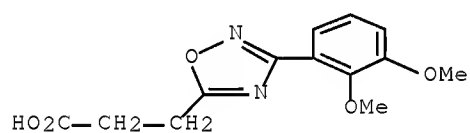
RN 500025-11-6 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-hydroxyphenyl)- (CA INDEX NAME)



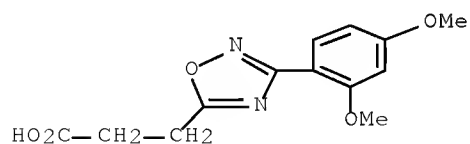
RN 500025-24-1 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,3-dimethoxyphenyl)- (CA INDEX NAME)



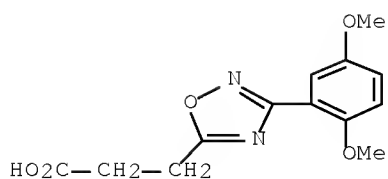
RN 500025-25-2 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,4-dimethoxyphenyl)- (CA INDEX NAME)



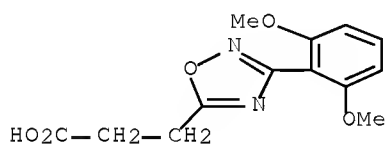
RN 500025-26-3 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,5-dimethoxyphenyl)- (CA INDEX NAME)



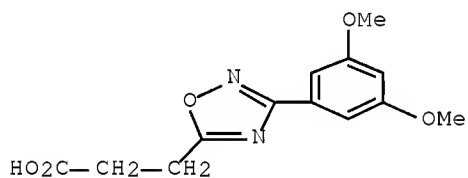
RN 500025-27-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,6-dimethoxyphenyl)- (CA INDEX NAME)



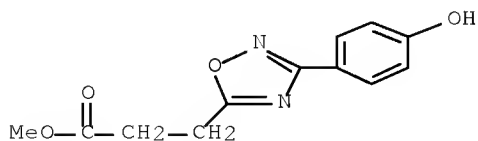
RN 500025-28-5 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3,5-dimethoxyphenyl)- (CA INDEX NAME)



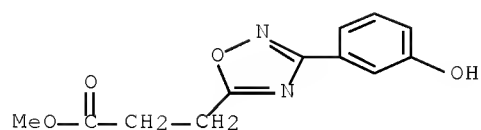
RN 500025-83-2 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



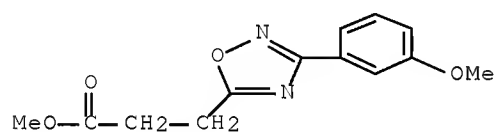
RN 500025-84-3 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



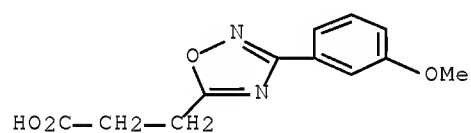
RN 500025-86-5 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-methoxyphenyl)-, methyl ester (CA INDEX NAME)



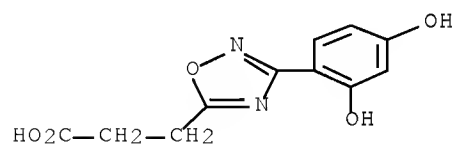
RN 500026-33-5 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3-methoxyphenyl)- (CA INDEX NAME)



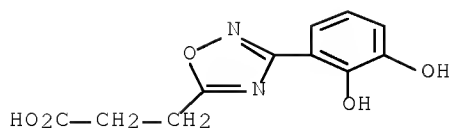
RN 500026-55-1 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,4-dihydroxyphenyl)- (CA INDEX NAME)



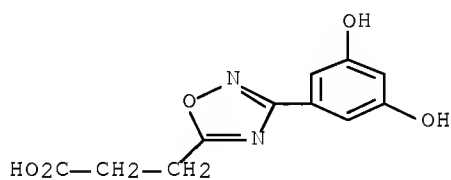
RN 500026-56-2 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,3-dihydroxyphenyl)- (CA INDEX NAME)



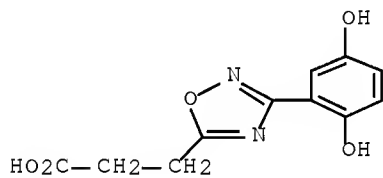
RN 500026-57-3 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3,5-dihydroxyphenyl)- (CA INDEX NAME)



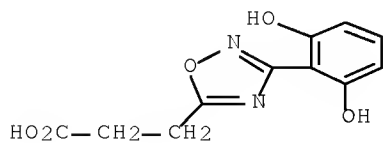
RN 500026-58-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,5-dihydroxyphenyl)- (CA INDEX NAME)



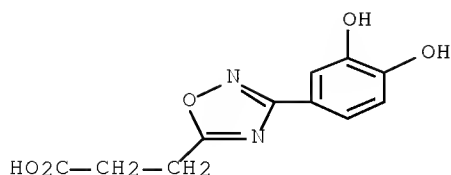
RN 500026-59-5 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2,6-dihydroxyphenyl)- (CA INDEX NAME)

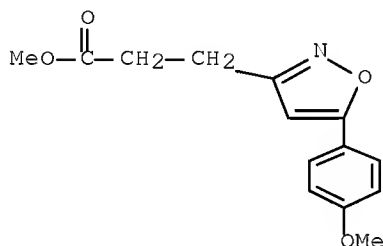


RN 500026-61-9 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(3,4-dihydroxyphenyl)- (CA INDEX NAME)



IT 500024-36-2, 3-[5-(4-Methoxyphenyl)isoxazol-3-yl]propionic acid
methyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phthalazinone PARP inhibitors for treatment of cancer)
RN 500024-36-2 CAPLUS
CN 3-Isioxazolepropanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX
NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:964126 CAPLUS Full-text
DOCUMENT NUMBER: 138:33331
TITLE: Isoxazoline compounds having macrophage migration
inhibitory factor (MIF) antagonist activity
INVENTOR(S): Al-Abed, Yousef
PATENT ASSIGNEE(S): The Picower Institute for Medical Research, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100332	A2	20021219	WO 2002-US17898	20020610 <--
WO 2002100332	A3	20030403		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
 GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
 GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2450589 A1 20021219 CA 2002-2450589 20020610 <--
 AU 2002314944 A1 20021223 AU 2002-314944 20020610 <--
 AU 2002314944 B2 20080306
 EP 1411930 A2 20040428 EP 2002-741873 20020610 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004534054 T 20041111 JP 2003-503159 20020610 <--
 US 20040204464 A1 20041014 US 2004-828240 20040421 <--
 PRIORITY APPLN. INFO.: US 2001-296478P P 20010608 <--
 US 2002-164630 A1 20020610 <--
 WO 2002-US17898 W 20020610 <--

OTHER SOURCE(S): MARPAT 138:33331

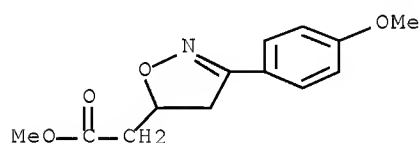
AB Methods of use and pharmaceutical compns. for a genus of low mol. weight compds. comprising an optionally substituted isoxazoline ring system and that act as inhibitors of MIF (macrophage migration inhibitory factor) are disclosed. Specifically, the compds. are useful for treating a variety of diseases involving inflammatory activity or pro-inflammatory cytokine responses, e.g. autoimmune diseases (including rheumatoid arthritis, insulin-dependent diabetes, multiple sclerosis, graft vs. host disease, lupus syndromes), asthma, arthritis, ARDS, psoriasis, interleukin-2 toxicity, proliferative vascular disease, and various forms of sepsis and septic shock, as well as other conditions characterized by underlying MIF responses including e.g. tumor growth and neovascularization (angiogenesis). Compound preparation is described.

IT 211388-28-2 478336-93-5

RL: PAC (Pharmacological activity); BIOL (Biological study)
 (isoxazoline compound MIF antagonists, and therapeutic use)

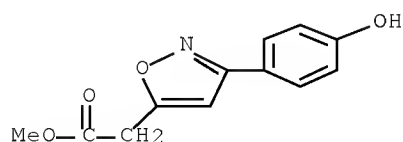
RN 211388-28-2 CAPLUS

CN 5-Isioxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, methyl ester
 (CA INDEX NAME)

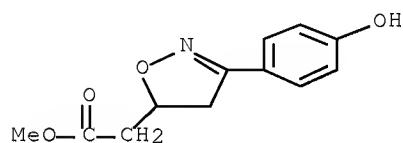


RN 478336-93-5 CAPLUS

CN 5-Isioxazoleacetic acid, 3-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)

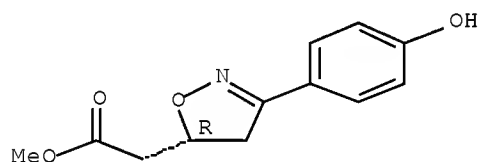


IT 478336-92-4P
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (isoxazoline compound MIF antagonists, and therapeutic use)
 RN 478336-92-4 CAPLUS
 CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester
 (CA INDEX NAME)



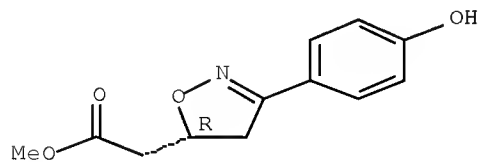
IT 478336-88-8 478336-88-8D, esters
 478336-90-2D, esters
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (isoxazoline compound MIF antagonists, and therapeutic use)
 RN 478336-88-8 CAPLUS
 CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester,
 (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 478336-88-8 CAPLUS
 CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester,
 (5R)- (CA INDEX NAME)

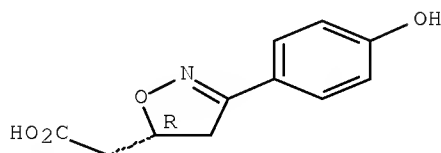
Absolute stereochemistry.



RN 478336-90-2 CAPLUS
 CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, (5R)- (CA INDEX

NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 12 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:907188 CAPLUS Full-text

DOCUMENT NUMBER: 138:1673

TITLE: Inhibitors of histone deacetylase and their therapeutic use

INVENTOR(S): Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 49 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020177594	A1	20021128	US 2001-45747	20011026 <--
PRIORITY APPLN. INFO.:			US 2001-275770P	P 20010314 <--
			US 2001-308435P	P 20010726 <--

OTHER SOURCE(S): MARPAT 138:1673

AB Compds. having the formula (R₄L₂)nL₁CR₁R₂R₃ (n = 1,2; L₁ = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, alkylene-CONR₅-alkylene, alkylene-O-alkylene; L₂ = bond, C₂-alkenylene, O, S, SO₂, OC(:O)NR₅, NR₆C:O, C(:O)NR₆, SO₂NR₆, NR₆SO₂, C(:N)O, NR₆C(:O)NR₆, C(:O)NR₆NR₆C:O; R₁ = alkanoyl, alkoxy carbonyl, aminocarbonyl, carboxy, haloalkyl, heterocycle; R₂,R₃ = OH or R₂,R₃ together = oxo; R₄ = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocycle, (heterocycle)alkyl; R₅,R₆ = hydrogen, alkyl, aryl, arylalkyl; R₄,R₆ and N to which they are attached = heterocycle) or therapeutically acceptable salts thereof, are histone deacetylase (HDAC) inhibitors. Preparation of the compds., compns. containing the compds., and treatment of diseases using the compds. are disclosed. Thus, more than 200 histone deacetylase inhibitors (no data) were synthesized.

IT 436152-26-0P, Methyl 6-(5-(4-methoxyphenyl)-1,3-oxazol-2-yl)hexanoate

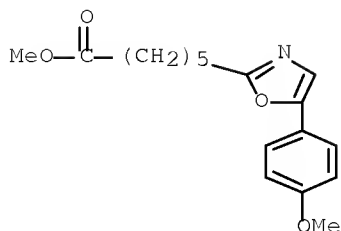
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(inhibitors of histone deacetylase and their therapeutic use)

RN 436152-26-0 CAPLUS

CN 2-Oxazolehexanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX

NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L24 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:855864 CAPLUS Full-text

DOCUMENT NUMBER: 139:214344

TITLE: Product class 1: pyrazoles

AUTHOR(S): Stanovnik, B.; Svete, J.

CORPORATE SOURCE: Faculty of Chemistry and Chemical Technology, Division
of Organic Chemistry, Ljubljana, 61000, Slovenia

SOURCE: Science of Synthesis (2002), 12, 15-225

CODEN: SSCYJ9

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Methods for preparing pyrazoles are reviewed including cyclization,
ring transformation, aromatization and substituent modifications.

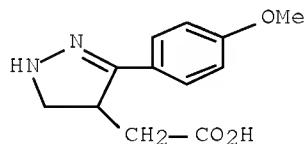
IT 127847-41-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazoles via cyclization, ring transformation,
aromatization and substituent modifications)

RN 127847-41-0 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

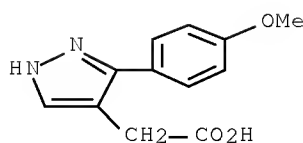
IT 591234-50-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyrazoles via cyclization, ring transformation,
aromatization and substituent modifications)

RN 591234-50-3 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 49 THERE ARE 49 CAPLUS RECORDS THAT CITE THIS RECORD (49 CITINGS)
 REFERENCE COUNT: 909 THERE ARE 909 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:541158 CAPLUS Full-text

DOCUMENT NUMBER: 138:163143

TITLE: The tautomerase active site of macrophage migration inhibitory factor is a potential target for discovery of novel anti-inflammatory agents

AUTHOR(S): Lubetsky, Jodi B.; Dios, Angeles; Han, Jialian; Aljabari, Bayan; Ruzsicska, Bela; Mitchell, Robert; Lolis, Elias; Al-Abed, Yousef

CORPORATE SOURCE: Departments of Pharmacology and Molecular Biophysics and Biochemistry, Yale University School of Medicine, New Haven, CT, 06510, USA

SOURCE: Journal of Biological Chemistry (2002), 277(28), 24976-24982

CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Macrophage migration inhibitory factor (MIF) is an immunoregulatory protein that is a potential therapeutic target for a number of inflammatory diseases. Evidence exists that an unexpected catalytic active site of MIF may have a biol. function. To gain further insight into the role of the catalytic active site, a series of mutational, structural, and biol. activity studies were performed. The insertion of an alanine between Pro-1 and Met-2 (PAM) abolishes a non-physiol. catalytic activity, and this mutant is defective in the in vitro glucocorticoid counter-regulatory activity of MIF. The crystal structure of MIF complexed to (S,R)-3-(4-hydroxyphenyl)-4,5-dihydro-5-isoxazole acetic acid Me ester (ISO-1), an inhibitor of MIF D-dopachrome tautomerase activity, reveals that ISO-1 binds to the same position of the active site as p-hydroxyphenylpyruvic acid, a substrate of MIF. ISO-1 inhibits several MIF biol. activities, further establishing a role for the catalytic active site of MIF.

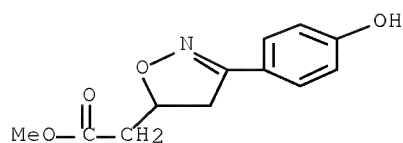
IT 478336-92-4

RL: PAC (Pharmacological activity); BIOL (Biological study)

(the tautomerase active site of macrophage migration inhibitory factor is a potential target for discovery of novel anti-inflammatory agents)

RN 478336-92-4 CAPLUS

CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 61 THERE ARE 61 CAPLUS RECORDS THAT CITE THIS RECORD (61 CITINGS)
 REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

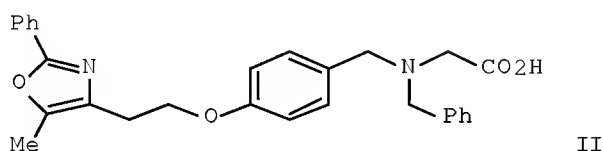
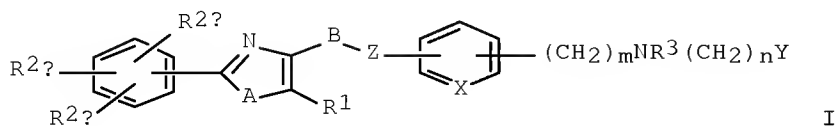
L24 ANSWER 15 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:502825 CAPLUS Full-text
 DOCUMENT NUMBER: 137:63237
 TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents
 INVENTOR(S): Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen, Sean; Zhang, Hao
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598. CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6414002	B1	20020702	US 2001-812960	20010320 <--
EP 1589006	A1	20051026	EP 2005-10760	20000919 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
RU 2327692	C2	20080627	RU 2005-117879	20000919 <--
EP 1939188	A1	20080702	EP 2007-18602	20000919 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 20030069275	A1	20030410	US 2002-80965	20020222 <--
US 6919358	B2	20050719		
US 20030087935	A1	20030508	US 2002-81075	20020222 <--
US 6727271	B2	20040427		
US 20030096846	A1	20030522	US 2002-80981	20020222 <--
US 6653314	B2	20031125		
US 20040171644	A1	20040902	US 2003-655876	20030905 <--
US 7084162	B2	20060801		
US 20040147560	A1	20040729	US 2003-737210	20031216 <--
US 7053106	B2	20060530		
US 20050119311	A1	20050602	US 2004-964395	20041013 <--
US 7241780	B2	20070710		
US 20070015797	A1	20070118	US 2005-155965	20050822 <--
US 7579479	B2	20090825		
JP 2009102360	A	20090514	JP 2008-325065	20081222 <--
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922 <--
			US 2000-664598	A2 20000918 <--
			EP 2000-965172	A3 20000919 <--
			JP 2001-524981	A3 20000919 <--
			RU 2002-108928	A3 20000919 <--

US 2001-812960
 US 2002-80965
 US 2002-80981
 US 2002-81075
 US 2003-655876

A3 20010320 <--
 A3 20020222 <--
 A3 20020222 <--
 A3 20020222 <--
 A3 20030905 <--

OTHER SOURCE(S): MARPAT 137:63237
 GI

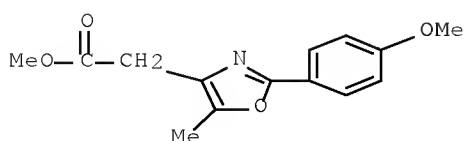


AB Title compds. I [wherein Q = C, N; A = O, S; B = (CH₂)_x; Z = O, bond; X = CH, N; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, amino; R₃ = H, alkyl, aralkyl, aryloxy, carbonyl, alkoxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxy, arylalkyl, etc.; R_{2a}, R_{2b}, R_{2c} = H, alkyl, alkoxy, halo, amino; Y = CO₂R₄, 1-tetrazolyl, PO(OR_{4a})R₅; R₄ = H, alkyl, prodrug or ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; x = 1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph₃P, and DEAD were stirred in THF at 0°-room temperature to give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)₃ in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for 14 h to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

IT 196810-26-1P, 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS
RECORD (29 CITINGS)
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

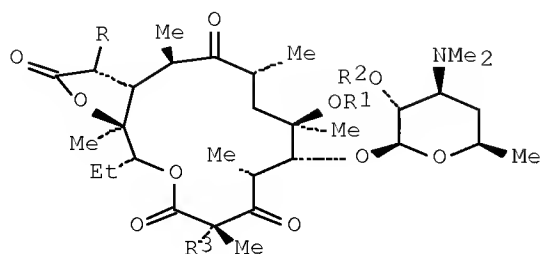
L24 ANSWER 16 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:487577 CAPLUS Full-text
DOCUMENT NUMBER: 137:63420
TITLE: Preparation of lactone ketolide macrolide erythromycin
antibiotics
INVENTOR(S): Andreotti, Daniele; Arista, Luca; Biondi, Stefano;
Cardullo, Francesca; Damiani, Frederica; Lociuero,
Sergio; Marchioro, Carla; Merlo, Giancarlo; Mingardi,
Anna; Niccolai, Daniela; Paio, Alfredo; Piga,
Elisabetta; Pozzan, Alfonso; Seri, Catia; Tarsi, Luca;
Terreni, Silvia; Tibasco, Jessica
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050091	A1	20020627	WO 2001-GB5665	20011220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2432429	A1	20020627	CA 2001-2432429	20011220 <--
AU 2002017277	A	20020701	AU 2002-17277	20011220 <--
EP 1363925	A1	20031126	EP 2001-271380	20011220 <--
EP 1363925	B1	20061115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003002526	A2	20031128	HU 2003-2526	20011220 <--
CN 1492874	A	20040428	CN 2001-822651	20011220 <--
BR 2001016431	A	20040622	BR 2001-16431	20011220 <--
JP 2004531471	T	20041014	JP 2002-551984	20011220 <--
NZ 526450	A	20050429	NZ 2001-526450	20011220 <--
AU 2002217277	B2	20050616	AU 2002-217277	20011220 <--
AT 345350	T	20061215	AT 2001-271380	20011220 <--
ES 2275621	T3	20070616	ES 2001-271380	20011220 <--
IN 2003DN00933	A	20070420	IN 2003-DN933	20030616 <--
ZA 2003004748	A	20040423	ZA 2003-4748	20030619 <--
NO 2003002846	A	20030820	NO 2003-2846	20030620 <--
MX 2003005668	A	20041203	MX 2003-5668	20030620 <--
US 20040077557	A1	20040422	US 2003-450893	20031119 <--
US 20050215495	A1	20050929	US 2005-127701	20050512 <--
US 20060211636	A1	20060921	US 2006-422122	20060605 <--
PRIORITY APPLN. INFO.:			GB 2000-31309	A 20001221 <--
			GB 2001-26276	A 20011101 <--

GB 2001-26277
 WO 2001-GB5665
 US 2003-450893
 US 2005-127701

A 20011101 <--
 W 20011220 <--
 B1 20031119 <--
 A1 20050512

OTHER SOURCE(S): MARPAT 137:63420
 GI



I

AB The present invention relates to lactone ketolides I wherein R is H, CN, substituted alkyl; R1 is alkyl, alkenyl; R2 is H, hydroxy protecting group; R3 is H, halogen, and pharmaceutically acceptable salts and solvates thereof, to process for their preparation and their use in therapy or prophylaxis of systemic or topical bacterial infections in a human or animal body. Thus, (11S,21R)-3-decladinosyl-11,12-dideoxy-6-O-methyl-3-oxo-12,11-[oxycarbonyl-(cyano)-methylene]erythromycin A was prepared and tested as antibacterial agent against Streptococcus pneumoniae and Streptococcus pyogenes (MIC ≤ 1 $\mu\text{g/mL}$).

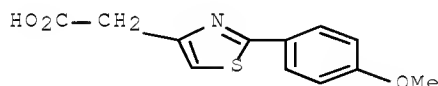
IT 23353-14-2 94192-18-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of lactone ketolide macrolide erythromycin antibiotics and their use in therapy or prophylaxis of systemic or topical bacterial infections)

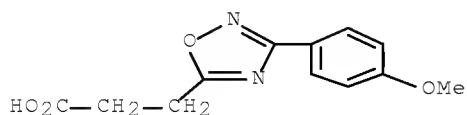
RN 23353-14-2 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



RN 94192-18-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 17 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:449627 CAPLUS Full-text

DOCUMENT NUMBER: 137:33319

TITLE: Preparation of N-aryl, N-arylalkyl, and
N-heterocyclylnonanamide and -octanamide derivatives
and related compounds as inhibitors of histone
deacetylase

INVENTOR(S): Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.;
Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms,
James H.; Ji, Zhiqin; Michaelides, Michael R.;
Vasudevan, Anil; Wada, Carol K.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046129	A2	20020613	WO 2001-US50931	20011026 <--
WO 2002046129	A3	20030116		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20020103192	A1	20020801	US 2001-808389	20010314 <--
AU 2002043402	A	20020618	AU 2002-43402	20011026 <--
PRIORITY APPLN. INFO.:			US 2000-697387	A 20001026 <--
			US 2001-808389	A 20010314 <--
			WO 2001-US50931	W 20011026 <--

OTHER SOURCE(S): MARPAT 137:33319

AB Compds. having the formula (R4-L2)nL1-CR1R2R3 or therapeutically acceptable salts thereof [wherein n = 1, 2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, (alkylene)-C(O)N(R5)-(alkylene), (alkylene)-O-(alkylene) (wherein each group is drawn with its left-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to the carbon substituted with R1, R2, and R3); L2 =, C2 alkenylene, O, S, SO2, OC(O)NR5, N(R6)C(O), C(O)N(R6), SO2N(R6), N(R6)SO2, C:N-O, N(R6)C(O)N(R6), and C(O)N(R6)N(R6)C(O) (wherein each group is drawn with its left-hand end being the end which attaches to R4, and its right-hand end being the end which attaches to L1); R1 is selected from the group consisting of alkanoyl, alkoxy carbonyl, CONH2, CO2H, haloalkyl, heterocyclyl (wherein the heterocycle is selected from the group consisting of oxazolyl, dihydrooxazolyl, oxadiazolyl, and tetrazolyl); R2 = R3 = HO; or R2 and R3 together are oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclylalkyl; R5, R6 = H, alkyl, aryl, arylalkyl; or R5 and R6, together with the nitrogen atom to which they are attached, form a

heterocycle selected from the group consisting of (un)substituted morpholinyl, piperazinyl, piperidinyl, and thiomorpholinyl, which are histone deacetylase (HDAC) inhibitors (no data), are prepared. These compds. are used for the treatment of diseases, possibly e.g. several human cancers associated with malfunction in histone deacetylases. Thus, a mixture of 9,9,9-trifluoro-8-oxononanoic acid (50 mg, 0.22 mmol), HOBt (30 mg, 0.22 mmol), carbodiimide PS resin (720 mg), and 4-phenyl-1,3-thiazol-2-amine (0.27 mmol) in DMF (5 mL) at room temperature was agitated in a Quest 210 parallel synthesizer for 18 h, treated with trisamine PS resin (220 mg), and agitated for 2 h. The solution was decanted, the resin was rinsed with dichloromethane, and the combined solns. were concentrated, followed by purification using preparative HPLC with a gradient system of 0 to 95 % over 10 min of MeCN (containing 0.1% CF₃CO₂H) in water to give 9,9,9-trifluoro-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide.

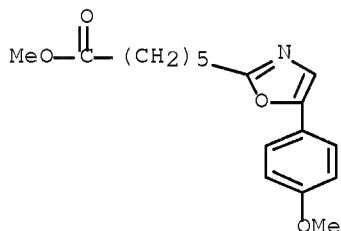
IT 436152-26-OP, Methyl 6-[5-(4-methoxyphenyl)-1,3-oxazol-2-yl]hexanoate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-aryl, N-arylalkyl, and N-heterocyclylnonanamide and -octanamide derivs. and related compds. as inhibitors of histone deacetylase)

RN 436152-26-0 CAPLUS

CN 2-Oxazolehexanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L24 ANSWER 18 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:391693 CAPLUS Full-text

DOCUMENT NUMBER: 136:401786

TITLE: Preparation of isoxazole derivatives for prevention and treatment of diabetes

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Asakawa, Tomoko; Sakai, Nozomu

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002040458	A1	20020523	WO 2001-JP10001	20011116 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

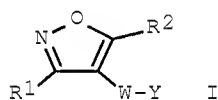
CA 2429426 A1 20020523 CA 2001-2429426 20011116 <--
AU 2002015218 A 20020527 AU 2002-15218 20011116 <--
JP 2002212171 A 20020731 JP 2001-352466 20011116 <--
JP 4148672 B2 20080910
EP 1340749 A1 20030903 EP 2001-983808 20011116 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 20040048908 A1 20040311 US 2003-416658 20030514 <--
US 7022725 B2 20060404
US 20060084690 A1 20060420 US 2005-295058 20051206 <--

PRIORITY APPLN. INFO.: JP 2000-350869 A 20001117 <--
WO 2001-JP10001 W 20011116 <--
US 2003-416658 A3 20030514 <--

OTHER SOURCE(S): MARPAT 136:401786
GI



AB Described are preventives or remedies for diabetes containing compds. of the general formula (I) or their salts or prodrugs thereof [wherein one of R1 and R2 is hydrogen or a substituent and the other is an optionally substituted cyclic group; W is a free valency or a divalent aliphatic hydrocarbon group; and Y is a group represented by the general formula OR3 (wherein R3 is hydrogen, optionally substituted hydrocarbonyl, an optionally substituted heterocyclic group, or optionally substituted acyl) or carboxyl which may be converted into an ester or an amide]. These compds. have excellent insulin secretion-promoting and blood sugar-decreasing effects and low toxicity and are useful as drugs, particularly preventive and therapeutic agents for diabetes and diabetic complication. Thus, reduction of 3-[5-(3,4-dichlorophenyl)-4-isoxazolyl]propionic acid Me ester (preparation given) by diisobutylaluminum hydride in hexane/THF at room temperature for 1 h gave 97% 3-[5-(3,4-chlorophenyl)-4-isoxazolyl]propanol (II). II at 30 mg/kg p.o. was administered to rats and after 60 min, the rats were fed with glucose at 2 g/kg p.o. After 30 min, the blood sample was taken and the blood sugar level measured was 75% of the control. A capsule and tablet formulation containing II were formulated.

IT 430529-66-1F 430530-53-3F 430530-54-4F
430530-74-8F

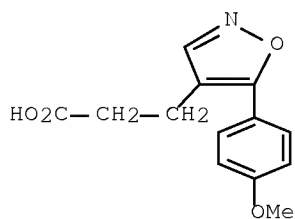
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of isoxazole derivs. having insulin secretion promoting and blood sugar decreasing effects for prevention and treatment of diabetes

and diabetes complication)

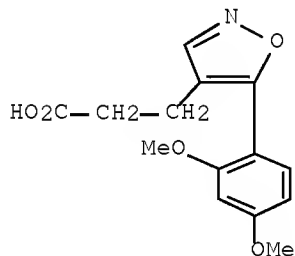
RN 430529-66-1 CAPLUS

CN 4-Isioxazolepropanoic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



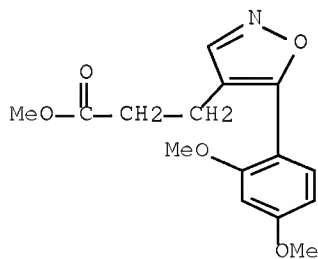
RN 430530-53-3 CAPLUS

CN 4-Isioxazolepropanoic acid, 5-(2,4-dimethoxyphenyl)- (CA INDEX NAME)



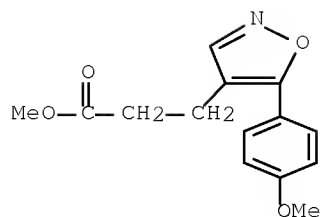
RN 430530-54-4 CAPLUS

CN 4-Isioxazolepropanoic acid, 5-(2,4-dimethoxyphenyl)-, methyl ester (CA INDEX NAME)



RN 430530-74-8 CAPLUS

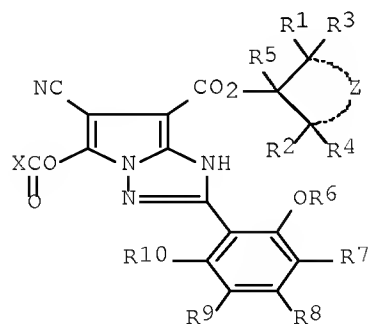
CN 4-Isioxazolepropanoic acid, 5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



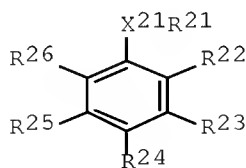
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 19 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:268891 CAPLUS Full-text
 DOCUMENT NUMBER: 136:301722
 TITLE: Silver halide color photographic material showing excellent color density, color reproduction, and light-fastness
 INVENTOR(S): Seto, Nobuo; Nakamine, Takeshi; Deguchi, Yasuaki
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 58 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002107881	A	20020410	JP 2000-295010	20000927 <--
PRIORITY APPLN. INFO.:			JP 2000-295010	20000927 <--
OTHER SOURCE(S):		MARPAT 136:301722		
GI				



I



II

AB The invention relates to a color photog. paper which contains a pyrazolotriazole coupler represented by I (R1-5 = H, substituent; Z = nonmetal atoms for forming ring; X = H, substituent; R6 = aliphatic, aromatic, heterocycle, acyl, aliphatic oxycarbonyl, aromatic oxycarbonyl, carbamoyl,

aliphatic sulfonyl, aromatic sulfonyl, sulfamoyl; R7-10 = H, substituent; R6-R7, R7-R8, R8-R9, R9-R10 may form 5- to 8-membered ring) and an image stabilizer represented by II (R21 = H, aliphatic, aromatic, heterocycle, acyl, aliphatic oxycarbonyl, aromatic oxycarbonyl, aliphatic sulfonyl, aromatic sulfonyl; X21 = S, NR27; R22-26 = H, substituent; R27 = H, aliphatic, aromatic, heterocycle, acyl, aliphatic oxycarbonyl, aromatic oxycarbonyl, aliphatic sulfonyl, aromatic sulfonyl; R21 joining together with R27 may form 5- to 7-membered ring). The combination of the above two compds. provides excellent color d., color reproduction, and light-fastness to the color photog. paper.

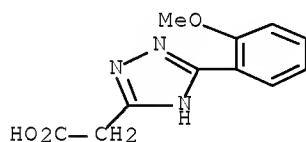
IT 173982-13-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazolotriazole cyan coupler for color photog. paper showing excellent color d., color reproduction, and light-fastness)

RN 173982-13-3 CAPLUS

CN 1H-1,2,4-Triazole-3-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 20 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:29404 CAPLUS Full-text

DOCUMENT NUMBER: 136:340636

TITLE: Synthesis of 5-(hetero)aryl-1,3,4-oxadiazolyl-2-acetic acids

AUTHOR(S): Janda, Lubomir

CORPORATE SOURCE: Aldrich Chemical Co., Inc., Milwaukee, WI, 53233, USA

SOURCE: Heterocyclic Communications (2001), 7(5), 411-416

CODEN: HCOMEX; ISSN: 0793-0283

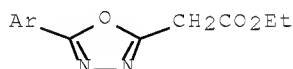
PUBLISHER: Freund Publishing House Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:340636

GI



I

AB Et (1H-tetrazol-5-yl)acetate is acylated with aroyl chlorides and heteroaroyl chlorides in pyridine. The intermediate acyltetrazoles undergo thermal degradation to Et [5-(hetero)aryl-1,3,4-oxadiazol-2-yl]acetates [I; Ar = 2-furanyl, 2-thienyl, (un)substituted phenyl]. The corresponding acetic acids

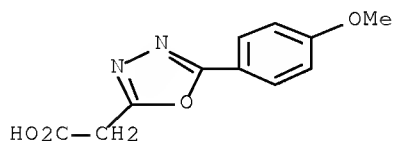
are obtained by potassium hydroxide mediated hydrolysis of the esters in anhydrous ethanol.

IT 415679-24-2P 415679-26-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

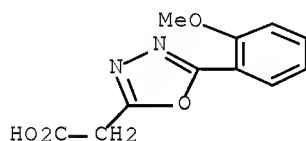
RN 415679-24-2 CAPLUS

CN 1,3,4-Oxadiazole-2-acetic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



RN 415679-26-4 CAPLUS

CN 1,3,4-Oxadiazole-2-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 21 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:228872 CAPLUS Full-text

DOCUMENT NUMBER: 134:266299

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents.

INVENTOR(S): Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

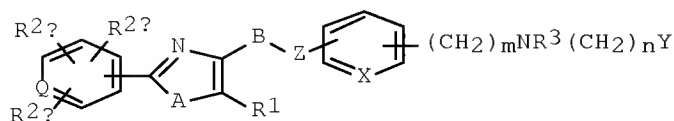
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021602	A1	20010329	WO 2000-US25710	20000919 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

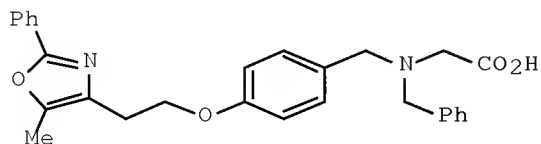
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

TW 260321	B	20060821	TW 2000-89119155	20000918 <--
CA 2388452	A1	20010329	CA 2000-2388452	20000919 <--
CA 2388452	C	20070403		
EP 1218361	A1	20020703	EP 2000-965172	20000919 <--
EP 1218361	B1	20090107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014189	A	20020820	BR 2000-14189	20000919 <--
TR 200200732	T2	20021021	TR 2002-732	20000919 <--
JP 2003509503	T	20030311	JP 2001-524981	20000919 <--
JP 4332315	B2	20090916		
HU 2002004416	A2	20030428	HU 2002-4416	20000919 <--
HU 2002004416	A3	20060130		
NZ 516820	A	20041126	NZ 2000-516820	20000919 <--
AU 782031	B2	20050630	AU 2000-75935	20000919 <--
EP 1589006	A1	20051026	EP 2005-10760	20000919 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
RU 2279427	C2	20060710	RU 2002-108928	20000919 <--
CN 1289490	C	20061213	CN 2000-813140	20000919 <--
IL 147939	A	20080320	IL 2000-147939	20000919 <--
RU 2327692	C2	20080627	RU 2005-117879	20000919 <--
EP 1939188	A1	20080702	EP 2007-18602	20000919 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
EG 24169	A	20080910	EG 2000-1198	20000919 <--
AT 420080	T	20090115	AT 2000-965172	20000919 <--
ES 2319097	T3	20090504	ES 2000-965172	20000919 <--
IN 2002DN00107	A	20070406	IN 2002-DN107	20020128 <--
ZA 2002000937	A	20030502	ZA 2002-937	20020201 <--
MX 2002001847	A	20021023	MX 2002-1847	20020221 <--
NO 2002001408	A	20020514	NO 2002-1408	20020321 <--
NO 322500	B1	20061016		
HK 1049337	A1	20070729	HK 2003-101528	20030228 <--
JP 2009102360	A	20090514	JP 2008-325065	20081222 <--
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922 <--
			EP 2000-965172	A3 20000919 <--
			JP 2001-524981	A3 20000919 <--
			RU 2002-108928	A3 20000919 <--
			WO 2000-US25710	W 20000919 <--

OTHER SOURCE(S): MARPAT 134:266299
GI



I



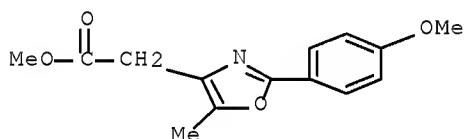
II

AB Title compds. [I; Q = C, N; A = O, S; B = (CH₂)_x; Z = O, bond; X = CH, N; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, amino; R₃ = H, alkyl, aralkyl, aryloxy, carbonyl, alkoxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R_{2a}, R_{2b}, R_{2c} = H, alkyl, alkoxy, halo, amino; Y = CO₂R₄, 1-tetrazolyl, PO(OR_{4a})R₅; R₄ = H, alkyl, prodrug or ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph₃P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)₃ in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h with aqueous NaOH in MeOH to give 71% title compound (II).

IT 196810-26-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 22 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:180354 CAPLUS Full-text

DOCUMENT NUMBER: 134:360991

TITLE: Discovery of an Orally Active Non-Peptide Fibrinogen Receptor Antagonist Based on the Hydantoin Scaffold

AUTHOR(S): Stilz, Hans Ulrich; Guba, Wolfgang; Jablonka, Bernd; Just, Melitta; Klingler, Otmar; Koenig, Wolfgang; Wehner, Volkmar; Zoller, Gerhard

CORPORATE SOURCE: Chemistry and DG Cardiovascular Agents, Aventis Pharma AG, Frankfurt am Main, D-65926, Germany

SOURCE: Journal of Medicinal Chemistry (2001), 44(8), 1158-1176
 CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:360991

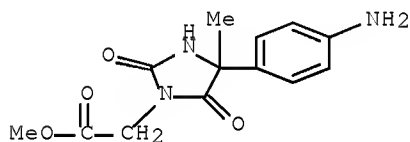
AB Antagonists of the platelet fibrinogen receptor (GP IIb/IIIa receptor) are expected to be a promising new class of antithrombotic agents. The binding of fibrinogen to the fibrinogen receptor depends on an Arg-Gly-Asp-Ser (RGDS) tetrapeptide recognition motif. Structural modifications of the RGDS lead have led to the discovery of a non-peptide RGD mimetic GP IIb/IIIa antagonist (S 1197). S 1197 inhibited, in a dose dependent and reversible manner, human and dog platelet aggregation as well as ¹²⁵I-fibrinogen binding to ADP-activated human gel filtered platelets and isolated GP IIb/IIIa with *K_i* values of 9 nM and 0.17 nM, resp. A pharmacophore mapping procedure with QXP and a 3D-QSAR anal. applying the GRID/GOLPE methodol. yielded a stable, rather predictive model and revealed structural features which are important for binding. Hydrophobic substitutions both at the hydantoin nucleus and at the C-terminus increase the affinity toward the fibrinogen receptor. The crystalline Et ester prodrug (HMR 1794) is an orally active antithrombotic agent which is a promising drug candidate for the treatment of thrombotic diseases in humans.

IT 169808-26-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(design, synthesis, antiplatelet effect and structure activity
relationship of orally active non-peptide glycoprotein IIb/IIIa
receptor antagonists)

RN 169808-26-8 CAPLUS

CN 1-Imidazolidineacetic acid, 4-(4-aminophenyl)-4-methyl-2,5-dioxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 37 THERE ARE 37 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)
REFERENCE COUNT: 75 THERE ARE 75 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 23 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:78361 CAPLUS Full-text

DOCUMENT NUMBER: 134:147496

TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands

INVENTOR(S): Block, Michael Howard; Donald, Samuel Craig; Foote, Kevin; Schofield, Paul; Marsham, Peter Robert

PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK

SOURCE: PCT Int. Appl., 169 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

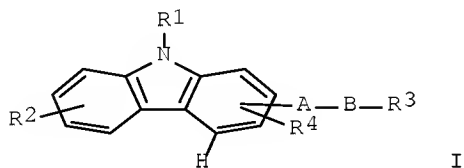
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007409	A1	20010201	WO 2000-GB2745	20000715 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,				

ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
 LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
 SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-17173 A 19990723 <--
 GB 1999-18380 A 19990805 <--
 GB 1999-30314 A 19991222 <--

OTHER SOURCE(S): MARPAT 134:147496
 GI



AB The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, CN, etc.; A = NH, CH2NH, NHCO, etc.; B = alkylene, alkenylene, a direct bond, etc.; R3 = H, OH, alkoxy, etc.; R4 = H, alkyl, halo, NO2] and their pharmaceutically acceptable salts, useful for the treatment of disorders mediated by the neuropeptide Y5 receptor, were prepared and formulated. E.g., reacting 3-amino-9-ethylcarbazole with PrNCO in the presence of Et3N in DMF afforded 50% I [R1 = Et; R2, R4 = H; ABR3 = 3-(NHCONHPr)]. In general, the compds. I possess an IC50 of 0.0002-200 μ M against neuropeptide Y5 receptor binding.

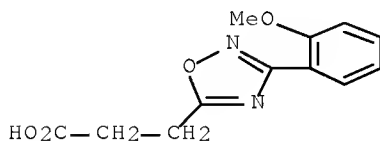
IT 322725-48-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbazoles as neuropeptide Y5 receptor ligands)

RN 322725-48-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(2-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 24 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:573647 CAPLUS Full-text

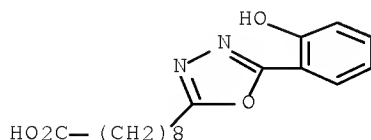
DOCUMENT NUMBER: 133:182977

TITLE: Oxadiazole compositions for drug delivery

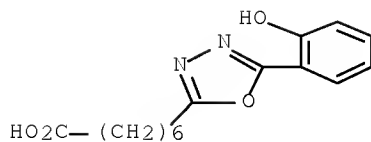
INVENTOR(S): Gschneidner, David

PATENT ASSIGNEE(S): Emisphere Technologies, Inc., USA
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047188	A1	20000817	WO 2000-US3899	20000211 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2360220	A1	20000817	CA 2000-2360220	20000211 <--
CA 2360220	C	20090908		
EP 1156787	A1	20011128	EP 2000-913480	20000211 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002536400	T	20021029	JP 2000-598141	20000211 <--
AT 311373	T	20051215	AT 2000-913480	20000211 <--
ES 2251976	T3	20060516	ES 2000-913480	20000211 <--
US 7084279	B1	20060801	US 2001-913049	20010912 <--
US 20060210533	A1	20060921	US 2006-440332	20060523 <--
US 7417061	B2	20080826		
PRIORITY APPLN. INFO.:			US 1999-119638P	P 19990211 <--
			WO 2000-US3899	W 20000211 <--
			US 2001-913049	A1 20010912 <--
OTHER SOURCE(S):		MARPAT 133:182977		
AB Oxadiazoles and compns. for the delivery of active agents are provided. Methods of administration and preparation are provided as well. The effectiveness of the oxadiazoles in increasing the serum concns. of recombinant human growth hormone and in colon delivery of this hormones and other active agents was demonstrated.				
IT 288249-10-5P 288249-11-6P 288249-12-7P 288249-13-8P 288249-17-2P 288249-18-3P				
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of oxadiazole compns. for drug delivery)				
RN 288249-10-5 CAPLUS				
CN 1,3,4-Oxadiazole-2-nonanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)				

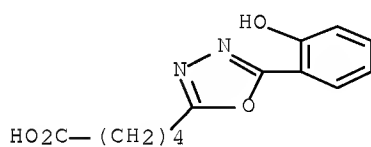


RN 288249-11-6 CAPLUS
 CN 1,3,4-Oxadiazole-2-heptanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



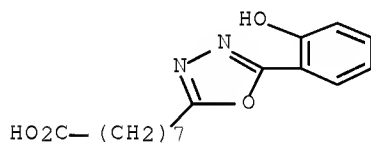
RN 288249-12-7 CAPLUS

CN 1,3,4-Oxadiazole-2-pentanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



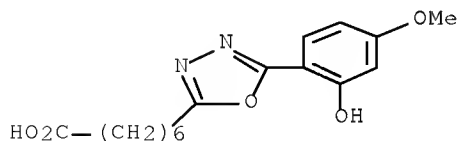
RN 288249-13-8 CAPLUS

CN 1,3,4-Oxadiazole-2-octanoic acid, 5-(2-hydroxyphenyl)- (CA INDEX NAME)



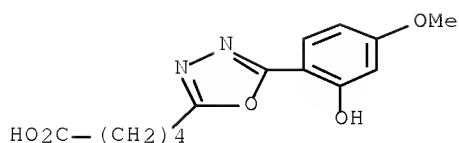
RN 288249-17-2 CAPLUS

CN 1,3,4-Oxadiazole-2-heptanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



RN 288249-18-3 CAPLUS

CN 1,3,4-Oxadiazole-2-pentanoic acid, 5-(2-hydroxy-4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 25 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:209892 CAPLUS Full-text
DOCUMENT NUMBER: 132:237087
TITLE: Preparation of desmethyldesferrithiocin derivatives
and related compounds as iron-chelating antimalarials.
INVENTOR(S): Bergeron, Raymond J.; Brittenham, Gary M.
PATENT ASSIGNEE(S): University of Florida Research Foundation, Inc., USA;
Trustees of Columbia University In the City of New
York
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000016763	A2	20000330	WO 1999-US21726	19990921 <--
WO 2000016763	A3	20011011		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344913	A1	20000330	CA 1999-2344913	19990921 <--
AU 9963946	A	20000410	AU 1999-63946	19990921 <--
AU 774956	B2	20040715		
EP 1143951	A2	20011017	EP 1999-951524	19990921 <--
EP 1143951	A3	20020206		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 509172	A	20040227	NZ 1999-509172	19990921 <--
EP 1488791	A2	20041222	EP 2004-77214	19990921 <--
EP 1488791	A3	20050406		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1585639	A	20050223	CN 1999-810403	19990921 <--
NZ 526880	A	20050527	NZ 1999-526880	19990921 <--
US 20030083349	A1	20030501	US 2002-216492	20020808 <--
US 6864270	B2	20050308		
US 20050245579	A1	20051103	US 2004-993417	20041119 <--
US 7144904	B2	20061205		

US 20070232664 A1 20071004 US 2006-582846 20061017 <--
 PRIORITY APPLN. INFO.: US 1998-101321P P 19980921 <--
 EP 1999-951524 A3 19990921 <--
 WO 1999-US21726 W 19990921 <--
 US 2000-723809 B1 20001128 <--
 US 2002-216492 A1 20020808 <--
 US 2004-993417 A1 20041119

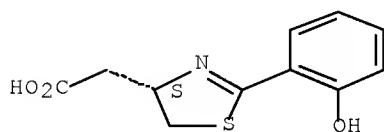
OTHER SOURCE(S): MARPAT 132:237087
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R = OH, OR7, N(OH)R8; R1-R3 = H, Me, available electron; R1R3 or R2R3 = double bond; R4 = H, acyl; R5 = H, OH, acyloxy, alkoxy, (CH2)a(R10)b(CH2)aR10(CH2)a(R10)bX; R6 = H, OH, alkyl, halo, (CH2)aR10(CH2)rR10Y; R6R11 = CH:CHCH:CH; R7 = alkyl, (substituted) PhCH2; R8, R9 = H, R7; R10 = O, CH2; R11 = H, OH, acyloxy, alkoxy; A = N, CH, C(OH); B = S, O, N, CH2, CH2S; q = 2, 3; b = 0, 1; m = 1-8; n = 0, 1; p = 0-2; r = 2, 3; X = Q1; Y = Q2; Z = Q3], and cyclic dimers thereof, were prepared Thus, (S)-desmethyldesferrithiocin and N-methylhydroxylamine hydrochloride in DMF at 0° were treated with benzotriazol-1-yloxytris(dimethylamino)phosphonium hexafluorophosphate and then with diisopropylethylamine in DMF followed by stirring at 0° for 15 min. and at room temperature overnight to give 47% (S)-desmethyldesferrithiocin N-methylhydroxamate. Tested I were active against malarial parasites in vitro.

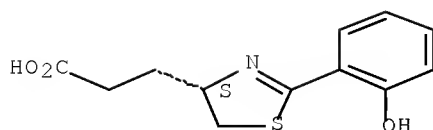
IT 220541-99-1F 220542-00-7F
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of desmethyldesferrithiocin derivs. and related compds. as iron-chelating antimalarials)
 RN 220541-99-1 CAPLUS
 CN 4-Thiazoleacetic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 220542-00-7 CAPLUS
 CN 4-Thiazolepropanoic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



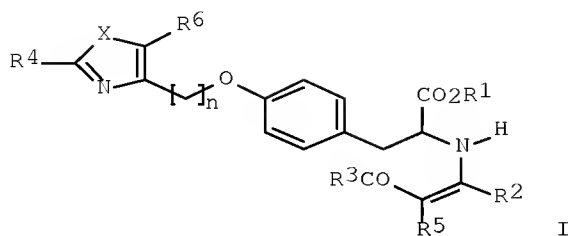
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 26 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:117035 CAPLUS Full-text
DOCUMENT NUMBER: 132:151814
TITLE: Preparation of substituted oxazoles and thiazoles as
hPPAR gamma and hPPAR alpha activators
INVENTOR(S): Collins, Jon Loren; Dezube, Milana; Oplinger, Jeffrey
Alan; Willson, Timothy Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008002	A1	20000217	WO 1999-EP5666	19990805 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339773	A1	20000217	CA 1999-2339773	19990805 <--
AU 9957310	A	20000228	AU 1999-57310	19990805 <--
EP 1102757	A1	20010530	EP 1999-944335	19990805 <--
EP 1102757	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100372	T2	20010921	TR 2001-372	19990805 <--
BR 9912866	A	20011030	BR 1999-12866	19990805 <--
HU 2001003469	A2	20020128	HU 2001-3469	19990805 <--
HU 2001003469	A3	20020828		
EE 200100074	A	20020617	EE 2001-74	19990805 <--
AT 264313	T	20040415	AT 1999-944335	19990805 <--
ES 2220110	T3	20041201	ES 1999-944335	19990805 <--
ZA 2001000983	A	20020305	ZA 2001-983	20010205 <--
NO 2001000628	A	20010406	NO 2001-628	20010206 <--
MX 2001001419	A	20010930	MX 2001-1419	20010207 <--
HR 2001000095	A1	20020228	HR 2001-95	20010207 <--
US 6498174	B1	20021224	US 2001-762445	20010222 <--
IN 2001KN00166	A	20050311	IN 2001-KN166	20010313 <--
PRIORITY APPLN. INFO.:			GB 1998-17118	A 19980807 <--

OTHER SOURCE(S):
GI

MARPAT 132:151814



AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, haloalkyl; R3 = alkyl, cycloalkyl, cycloalkenyl, etc.; R4 = (un)substituted 5-6 membered heterocyclyl containing at least one O, N or S atom, Ph; R5 = H, halo, alkyl, haloalkyl; R6 = H, alkyl; X = O, S; n = 1-3], which are dual activators of hPPAR γ and hPPAR α , were prepared. Thus, refluxing a suspension of (2S)-2-amino-3-{4-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl}propanoic acid (preparation given) and benzoylacetone in MeOH and trimethylorthoformate afforded 43% (2S)-(Z)-I [R1 = H; R2 = Me; R3 = Ph; R4 = Ph; R5 = H; R6 = Me; X = O; n = 2] which showed 39% glucose reduction in rats.

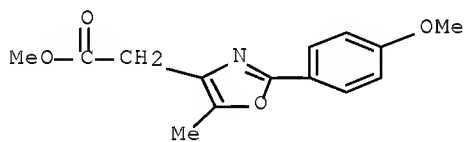
IT 196810-26-1F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted oxazoles and thiazoles as hPPAR gamma and hPPAR alpha activators)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 27 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:421668 CAPLUS Full-text

DOCUMENT NUMBER: 131:58832

TITLE: Preparation of thiazole, isothiazole, and thiadiazole derivatives having microbicidal and plant immunizing activities.

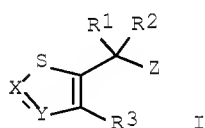
INVENTOR(S): Chemla, Philippe; Maetzke, Thomas; Ertl, Peter

PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen

SOURCE: Verwaltungsgesellschaft mbH
PCT Int. Appl., 70 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932464	A1	19990701	WO 1998-EP8335	19981218 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2309973	A1	19990701	CA 1998-2309973	19981218 <--
AU 9920546	A	19990712	AU 1999-20546	19981218 <--
BR 9814394	A	20001010	BR 1998-14394	19981218 <--
EP 1042306	A1	20001011	EP 1998-965285	19981218 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TR 200001740	T2	20001121	TR 2000-1740	19981218 <--
HU 2001000619	A2	20010628	HU 2001-619	19981218 <--
HU 2001000619	A3	20020328		
JP 2001526277	T	20011218	JP 2000-525401	19981218 <--
ZA 9811706	A	19990622	ZA 1998-11706	19981221 <--
IN 2000CN00079	A	20050304	IN 2000-CN79	20000516 <--
MX 2000005363	A	20010405	MX 2000-5363	20000531 <--
PRIORITY APPLN. INFO.:			GB 1997-26989	A 19971222 <--
			WO 1998-EP8335	W 19981218 <--
OTHER SOURCE(S):			MARPAT 131:58832	
GI				



AB A process for protecting and immunizing plants against attack by phytopathogenic microorganisms by application of title compds. [I; X = CR₄, Y = N; or X = N and Y = CR₅; or X and Y = N; Z = C atom to which 1-3 halo or 1-3 (substituted) O, S, or N atoms are bonded; R₁, R₂ = H, OH, SH, cyano, CO₂H, NO₂, NH₂, halo, alkyl, haloalkyl, alkoxyalkyl, aminoalkyl, (substituted) aryloxycarbonyl, Ph, PhO, etc.; R₁R₂ = O, S, atoms to form isocyclic or heterocyclic ring; R₂ZC = atoms to form a (substituted) 3-7 membered (thio)lactone or (thio)lactam optionally containing addnl. O, N, or S atoms; R₃-R₅ = H, OH, SH, cyano, NO₂, halo, alkyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, alkanoyl, haloalkoxy, alkanoylalkyl, alkanoylamino, (substituted) benzylamino, benzoylamino, Ph, PhO, PhCH₂, PhCH₂CH₂, etc.], is claimed. Thus, 2-chloro-4-trifluoromethylthiazole-5-carboxylic acid was treated successively with SOCl₂, NaAlH₂(OCH₂CH₂OMe)₂, SOCl₂, and CO₂/Co₂(CO)₈ to give 2-chloro-4-

trifluoromethylthiazole-5-acetic acid. I at 20 ppm reduced infection of cucumber plants by *Colletotrichum lagenarium* to 0-20%, vs. 90% for untreated controls.

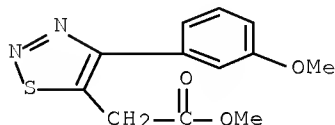
IT 1099480-52-0

RL: PRPH (Prophetic)

(Preparation of thiazole, isothiazole, and thiadiazole derivatives having microbicidal and plant immunizing activities.)

RN 1099480-52-0 CAPLUS

CN 1,2,3-Thiadiazole-5-acetic acid, 4-(3-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 28 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:786634 CAPLUS Full-text

DOCUMENT NUMBER: 130:177117

TITLE: Desazadesmethyldesferrithiocin Analogs as Orally Effective Iron Chelators

AUTHOR(S): Bergeron, Raymond J.; Wiegand, Jan; Weimar, William R.; Vinson, J. R. Timothy; Bussenius, Joerg; Yao, Guo Wei; McManis, James S.

CORPORATE SOURCE: Department of Medicinal Chemistry, University of Florida, Gainesville, FL, 32610-0485, USA

SOURCE: Journal of Medicinal Chemistry (1999), 42(1), 95-108
 CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:177117

AB Further structure-activity studies of desferrithiocin analogs are carried out. (S)-desazadesmethyldesferrithiocin (2-(2-hydroxyphenyl)-Δ²-thiazoline-4(S)-carboxylic acid) serves as the principal framework in the current paper. Desazadesmethyldesferrithiocin can be structurally altered with facility, and data are already available on its iron-clearing properties and toxicity parameters. Four different kinds of structural modifications of this framework are undertaken: introduction of hydroxy, carboxy, or methoxy groups on the aromatic ring; alteration of the thiazoline ring; increasing the distance between the ligand donor atoms; and benz-fusion of the aromatic rings. The structural modifications described are shown to have a tremendous impact on both the iron clearance and toxicity profiles of the desazadesmethyldesferrithiocin mol. All of the compds. are assessed in a bile-duct-cannulated rodent model to determine iron clearance efficiency. Ligands which demonstrate an efficiency of greater than 2% are carried forward to the iron-overloaded primate for iron-clearing measurements. Ligands with efficiencies greater than 3% in the primate are then evaluated in a formal toxicity study in rodents. On the basis of the results of the present work,

2-(2,4-dihydroxyphenyl)- Δ^2 -thiazoline- 4(S)-carboxylic acid is a promising candidate for clin. evaluation.

IT 220541-99-1P 220542-00-7P

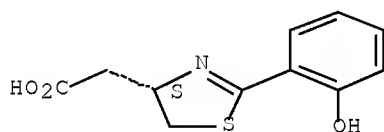
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(desazadesmethyldesferrithiocin analogs as orally effective iron chelators)

RN 220541-99-1 CAPLUS

CN 4-Thiazoleacetic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

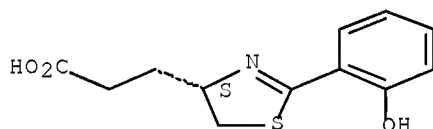
Absolute stereochemistry.



RN 220542-00-7 CAPLUS

CN 4-Thiazolepropanoic acid, 4,5-dihydro-2-(2-hydroxyphenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS RECORD (47 CITINGS)

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 29 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:713389 CAPLUS Full-text

DOCUMENT NUMBER: 130:104774

TITLE: N-(2-Benzoylphenyl)-L-tyrosine PPAR γ Agonists.

2. Structure-Activity Relationship and Optimization of the Phenyl Alkyl Ether Moiety

AUTHOR(S): Collins, Jon L.; Blanchard, Steven G.; Boswell, G. Evan; Charifson, Paul S.; Cobb, Jeff E.; Henke, Brad R.; Hull-Ryde, Emily A.; Kazmierski, Wieslaw M.; Lake, Debra H.; Leesnitzer, Lisa M.; Lehmann, Juergen; Lenhard, James M.; Orband-Miller, Lisa A.; Gray-Nunez, Yolanda; Parks, Derek J.; Plunkett, Kelli D.; Tong, Wei-Qin

CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(25),

5037-5054

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We previously reported the identification of (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-phenyloxazol-4-yl)ethoxy]phenyl}propanoic acid (I) (PPAR γ pK_i = 8.94, PPAR γ pEC₅₀ = 9.47) as a potent and selective PPAR γ agonist. We now report the expanded structure-activity relationship around the Ph alkyl ether moiety by pursuing both a classical medicinal chemical approach and a solid-phase chemical approach for analog synthesis. The solution-phase strategy focused on evaluating the effects of oxazole and Ph ring replacements of the 2-(5-methyl-2-phenyloxazol-4-yl)ethyl side chain of I with several replacements providing potent and selective PPAR γ agonists with improved aqueous solubility. Specifically, replacement of the Ph ring of the phenyloxazole moiety with a 4-pyridyl group to give (2S)-((2-benzoylphenyl)amino)-3-{4-[2-(5-methyl-2-pyridin-4-yl)ethoxy]phenyl}propionic acid (PPAR γ pK_i = 8.85, PPAR γ pEC₅₀ = 8.74) or a 4-methylpiperazine to give (2S)-((2-benzoylphenyl)amino)-3-{4-[2-[5-methyl-2-(4-methylpiperazin-1-yl)thiazol-4-yl]ethoxy]phenyl}propionic acid (PPAR γ pK_i = 8.66, PPAR γ pEC₅₀ = 8.89) provided two potent and selective PPAR γ agonists with increased solubility in pH 7.4 phosphate buffer and simulated gastric fluid as compared to I. The second strategy took advantage of the speed and ease of parallel solid-phase analog synthesis to generate a more diverse set of Ph alkyl ethers which led to the identification of a number of novel, high-affinity PPAR γ ligands (PPAR γ pK_i's 6.98-8.03). The combined structure-activity data derived from the two strategies provide valuable insight on the requirements for PPAR γ binding, functional activity, selectivity, and aqueous solubility.

IT 196810-26-1F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

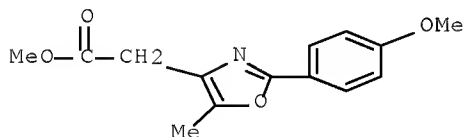
(preparation, optimization and SAR of N-(2-benzoylphenyl)-L-tyrosine

analogues

as PPAR γ agonists)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 96 THERE ARE 96 CAPLUS RECORDS THAT CITE THIS RECORD (97 CITINGS)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 30 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:414148 CAPLUS Full-text

DOCUMENT NUMBER: 129:175576

ORIGINAL REFERENCE NO.: 129:35689a,35692a

TITLE: A novel base promoted reaction of methyl
2-isoxazoline-5-acetates to
5-(2-oxoethyl)-3-isoxazolidinones

AUTHOR(S): Eichinger, Karl; Rostami, Mohammad Reza; Sieder,
Florian

CORPORATE SOURCE: Institute of Organic Chemistry, Vienna University of
Technology, Vienna, A-1060, Austria

SOURCE: Synthetic Communications (1998), 28(13), 2457-2466
CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

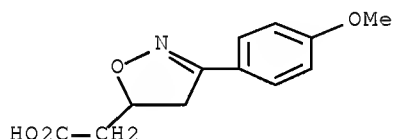
OTHER SOURCE(S): CASREACT 129:175576

AB Some 5-(2-aryl-2-oxoethyl)-3-isoxazolidinones were prepared from the Me 3-
aryl-2-isoxazoline-5-acetates and sodium hexanolate in boiling hexanol in
yields from 46-86%. The reaction conditions were optimized and a mechanism
for this reaction is proposed and discussed.

IT 193267-59-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (oxoethyl)isoxazolidinones from isoxazolineacetate derivs.)

RN 193267-59-3 CAPLUS

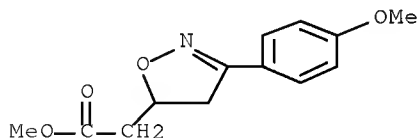
CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)- (CA INDEX NAME)



IT 211388-28-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of (oxoethyl)isoxazolidinones from isoxazolineacetate derivs.)

RN 211388-28-2 CAPLUS

CN 5-Isoxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, methyl ester
(CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 31 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

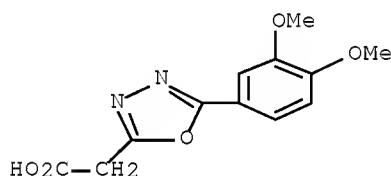
ACCESSION NUMBER: 1997:762771 CAPLUS Full-text

DOCUMENT NUMBER: 127:358603

ORIGINAL REFERENCE NO.: 127:70195a, 70198a

TITLE: Relationship between the structure and the UV, IR,

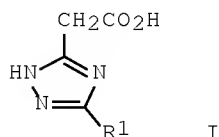
1H-NMR, 13C-NMR and mass spectra of some
5-aryl-1,3,4-oxadiazole-2-acetic acids
AUTHOR(S): Ngo, Van Vu; Nguyen, Van Tong; Nguyen, Dinh Trieu
CORPORATE SOURCE: Vietnam National Univ., Ha Noi, Vietnam
SOURCE: Tap Chi Hoa Hoc (1997), 35(2), 13-16
CODEN: TCHHDC; ISSN: 0378-2336
PUBLISHER: Toa Soan Tap Chi Hoa Hoc
DOCUMENT TYPE: Journal
LANGUAGE: Vietnamese
AB The UV, IR, 1H-NMR, 13C-NMR and mass spectra of some 5-aryl-1,3,4-oxadiazole-2-acetic acids and their esters were studied. The relationship between the structure and spectra of these compds. was explained.
IT 191800-80-3
RL: PRP (Properties)
(relationship between the structure and the UV,IR, NMR, and mass spectra of aryloxadiazolylacetic acids)
RN 191800-80-3 CAPLUS
CN 1,3,4-Oxadiazole-2-acetic acid, 5-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 32 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:681911 CAPLUS Full-text
DOCUMENT NUMBER: 127:307390
ORIGINAL REFERENCE NO.: 127:60121a,60124a
TITLE: Process for preparation of 1H-1,2,4-triazol-5-ylacetic acid derivatives by cyclization
INVENTOR(S): Shimura, Yoshio; Shimada, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09268182	A	19971014	JP 1996-82688	19960404 <--
JP 3808538	B2	20060816		
EP 805150	A2	19971105	EP 1997-105609	19970404 <--
EP 805150	A3	19971210		
EP 805150	B1	20011219		
R: BE, CH, DE, FR, GB, IT, LI, NL				
EP 919549	A1	19990602	EP 1998-123055	19970404 <--
EP 919549	B1	20021211		
R: BE, CH, DE, FR, GB, IT, LI, NL				
PRIORITY APPLN. INFO.:			JP 1996-82688	A 19960404 <--
			EP 1997-105609	A3 19970404 <--

OTHER SOURCE(S): CASREACT 127:307390; MARPAT 127:307390
GI



AB Characterized is a process for preparation of the title compds. [I; R1 = (un)substituted alkyl, or aryl] by cyclization of R1CONHNHC(:NH)CH2CO2R3 (II; R1 = same as above; R3 = alkyl, aryl), which are prepared by reacting R1CONHNH2 (R1 = same as above) with (A)nHN:C(OR2)CH2CO2R3 (R2 = alkyl; R3 = same as above; A = HCl, HBr, HI, H2SO4, etc.; n = 0.5, 0, 1), in the presence of M2CO3 (M = alkali metal). I, useful intermediates in the production of photog. couplers, drugs and pesticides, are prepared in high yield easily. Thus, II (R1 = MeOC6H4, R3 = Et) was cyclized in the presence of Na2CO3 to give 95% I (R1 = MeOC6H4).

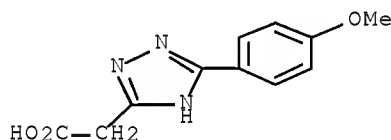
IT 197293-84-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for preparation of 1H-1,2,4-triazol-5-ylacetic acid derivs. by cyclization)

RN 197293-84-8 CAPLUS

CN 1H-1,2,4-Triazole-3-acetic acid, 5-(4-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:620846 CAPLUS Full-text

DOCUMENT NUMBER: 127:293172

ORIGINAL REFERENCE NO.: 127:57303a, 57306a

TITLE: Preparation and reactions of 3-[3-(aryl)-1,2,4-oxadiazol-5-yl]propionic acids

AUTHOR(S): Srivastava, R. M.; Seabra, G. M.

CORPORATE SOURCE: Departamento de Quimica Fundamental, Universidade Federal de Pernambuco, Recife - PE, 50.670-901, Brazil
SOURCE: Journal of the Brazilian Chemical Society (1997), 8(4), 397-405

CODEN: JOCSET; ISSN: 0103-5053

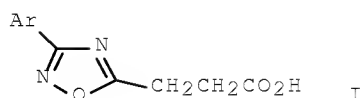
PUBLISHER: Sociedade Brasileira de Quimica

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:293172

GI

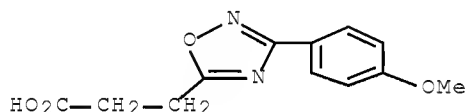


AB The synthesis of title compds. I (Ar = Ph, 2-MeC6H4, 4-ClC6H4, etc.), from arylamidoximes ArC(NH2):NOH and succinic anhydride in high yields is described. 1,2,4-Oxadiazoles I were also obtained by carrying out the reaction in a domestic microwave oven. Preliminary pharmacol. evaluations demonstrated that I (Ar = 2-, 3-, 4-MeC6H4, 4-ClC6H4) possess analgesic properties. Ab initio MO calcns. of the type STO-3G have been performed.

IT 94192-18-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation and esterification of (aryloxadiazolyl)propionic acids)

RN 94192-18-4 CAPLUS

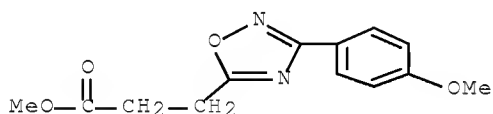
CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



IT 155500-83-7F
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and esterification of (aryloxadiazolyl)propionic acids)

RN 155500-83-7 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 34 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:594721 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 127:278064

ORIGINAL REFERENCE NO.: 127:54305a, 54308a

TITLE: Substituted 4-hydroxyphenylalkanoic acid derivatives with agonist activity to PPAR-gamma

INVENTOR(S): Willson, Timothy Mark; Mook, Robert Anthony, Jr.;
Kaldor, Istvan; Henke, Brad Richard; Deaton, David
Norman; Collins, Jon Loren; Cobb, Jeffrey Edmond; et
al.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9731907	A1	19970904	WO 1997-EP916	19970226 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2247443	A1	19970904	CA 1997-2247443	19970226 <--
CA 2247443	C	20090127		
AU 9720935	A	19970916	AU 1997-20935	19970226 <--
AU 717699	B2	20000330		
ZA 9701645	A	19971210	ZA 1997-1645	19970226 <--
EP 888317	A1	19990107	EP 1997-906130	19970226 <--
EP 888317	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1218460	A	19990602	CN 1997-193988	19970226 <--
CN 1093124	C	20021023		
BR 9707786	A	19990727	BR 1997-7786	19970226 <--
JP 2000507216	T	20000613	JP 1997-530586	19970226 <--
JP 3255930	B2	20020212		
NZ 331381	A	20000623	NZ 1997-331381	19970226 <--
HU 2000004845	A2	20010528	HU 2000-4845	19970226 <--
HU 2000004845	A3	20010730		
IL 125796	A	20010614	IL 1997-125796	19970226 <--
AT 205485	T	20010915	AT 1997-906130	19970226 <--
ES 2163125	T3	20020116	ES 1997-906130	19970226 <--
SK 282753	B6	20021203	SK 1998-1163	19970226 <--
HR 9700110	B1	20030630	HR 1997-110	19970226 <--
IN 1997DE00491	A	20050311	IN 1997-DE491	19970226 <--
CZ 295383	B6	20050713	CZ 1998-2750	19970226 <--
PL 191118	B1	20060331	PL 1997-328871	19970226 <--
TW 391958	B	20000601	TW 1997-86102826	19970307 <--
US 6294580	B1	20010925	US 1998-125750	19980825 <--
NO 9803940	A	19981027	NO 1998-3940	19980827 <--
NO 311516	B1	20011203		
HK 1015369	A1	20020215	HK 1999-100498	19990205 <--
PRIORITY APPLN. INFO.:			GB 1996-4242	A 19960228 <--
			WO 1997-EP916	W 19970226 <--

OTHER SOURCE(S): MARPAT 127:278064

AB Compds. 4-(A-B-O)C6H4-Q-CHZCO2R1 [A = (un)substituted Ph, heterocyclyl, fused bicyclic ring; B = alkylene, heterocyclyl; Q = alkylene; R1 = H, alkyl; Z = alkylphenyl, NR3R4 (R3 = H, alkyl; R4 = YXOTR5, YCH(OH)TR5 with Y = bond, alkylene, alkenylene, cycloalkylene, etc. and T = bond, O, etc. and R5 =

alkyl, cycloalkyl, (un)substituted Ph)] were prepared and their agonist activity to PPAR-gamma determined. E.g., O-benzyl L-tyrosine, dicyclohexylamine, and 1-benzoylacetone were refluxed in MeOH to give 3-(4-benzyloxyphenyl)-2(S)-(1-methyl-3-oxo-3-phenylpropenylamino)propionic acid dicyclohexylamine salt.

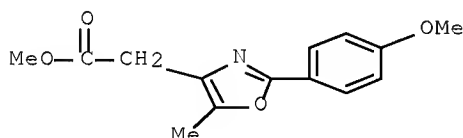
IT 196810-26-1F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hydroxyphenyl)alkanoic acids with agonist activity to PPAR-gamma)

RN 196810-26-1 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 69 THERE ARE 69 CAPLUS RECORDS THAT CITE THIS RECORD (101 CITINGS)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 35 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:431584 CAPLUS Full-text

DOCUMENT NUMBER: 127:149098

ORIGINAL REFERENCE NO.: 127:28805a,28808a

TITLE: A convenient synthesis of 3- and 3,4-substituted 4,5-dihydroisoxazole-5-acetic acids

AUTHOR(S): Eichinger, Karl; Wokurek, Michael; Zauner, Bernd; Rostami, Mohammad Reza

CORPORATE SOURCE: Institute of Organic Chemistry, Vienna University of Technology, Vienna, A-1060, Austria

SOURCE: Synthetic Communications (1997), 27(16), 2733-2742

CODEN: SYNCAV; ISSN: 0039-7911

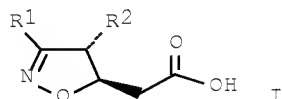
PUBLISHER: Dekker

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 127:149098

GI



AB The 4,5-dihydroisoxazole-5-acetic acids I [R1 = Ph, Me, 4-ClC6H4, 4-MeOC6H4, 4-PhC6H4, R2 = H, SPh, OPh, 4-ClC6H4; R1R2 = (CH2)4, (CH2)10, 1,2,3,4-

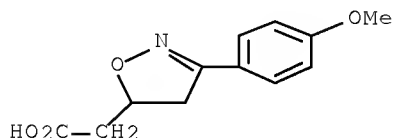
tetrahydronaphth-1,2-diyl] were prepared from the ketoximes R1C(CH2R2):NOH, 2,2-dimethyl-5-methoxymethylene-1,3-dioxan-4,6-dione and butyllithium in yields from 35 to 79 %.

IT 193267-59-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of isoxazoleacetic acids)

RN 193267-59-3 CAPLUS

CN 5-Isioxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 36 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:391742 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 127:81403

ORIGINAL REFERENCE NO.: 127:15609a,15612a

TITLE: Synthesis of some 5-aryl-1,3,4-oxadiazolyl-2-acetic acids

AUTHOR(S): Ngo, Van Vu; Nguyen, Van Tong; Nguyen, Dinh Trieu

CORPORATE SOURCE: Ha Noi Natural Univ., Vietnam

SOURCE: Tap Chi Hoa Hoc (1996), 34(4), 69-72

CODEN: TCHHDC; ISSN: 0378-2336

PUBLISHER: Toa Soan Tap Chi Hoa Hoc

DOCUMENT TYPE: Journal

LANGUAGE: Vietnamese

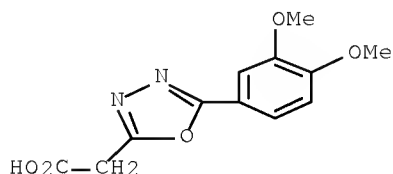
AB The 5-aryl-1,3,4-oxadiazolyl-2-acetic acids and their esters have been synthesized by cyclization of 1,2-diacylhydrazines. Their structures were confirmed by UV, IR, H1-NMR, C13-NMR spectra.

IT 191800-80-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of aryloxadiazolylacetic acids)

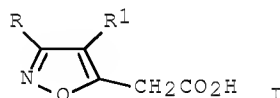
RN 191800-80-3 CAPLUS

CN 1,3,4-Oxadiazole-2-acetic acid, 5-(3,4-dimethoxyphenyl)- (CA INDEX NAME)

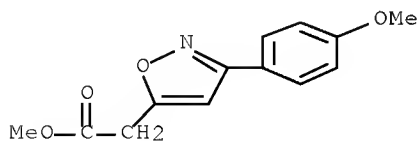


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

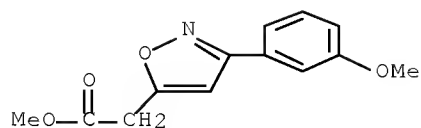
L24 ANSWER 37 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1997:84657 CAPLUS Full-text
 DOCUMENT NUMBER: 126:186013
 ORIGINAL REFERENCE NO.: 126:35917a,35920a
 TITLE: A novel method for the synthesis of 3- and
 3,4-substituted isoxazole-5-acetic acids
 AUTHOR(S): Eichinger, Karl; Wokurek, Michael; Zauner, Bernd;
 Wischinka, Petra
 CORPORATE SOURCE: Institut Organische Chemie, Technische Universitaet
 Wien, Vienna, A-1060, Austria
 SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung
 (1997), 339(1), 92-95
 CODEN: JPCCEM; ISSN: 0941-1216
 PUBLISHER: Barth
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 126:186013
 GI



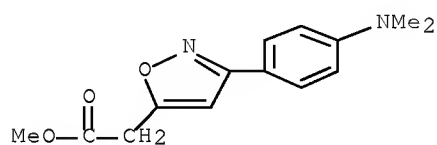
AB EtO2CCH:C(OEt)2 reacts with ethanone oximes such as R(R1CH2)C:NOH (R = 3- or
 4-BrC6H4, 3- or 4-MeOC6H4, Me3C, Ph, PhSCH2, 2-furyl, 2-thienyl, 4-Me2NC6H4;
 R1 = H, PhS) and LDA to give the corresponding isoxazoleacetates I in 23-66%
 yield.
 IT 187672-53-3P 187672-57-7P 187672-63-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of isoxazoleacetates)
 RN 187672-53-3 CAPLUS
 CN 5-Isoxazoleacetic acid, 3-(4-methoxyphenyl)-, methyl ester (CA INDEX
 NAME)



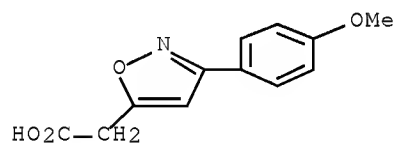
RN 187672-57-7 CAPLUS
 CN 5-Isoxazoleacetic acid, 3-(3-methoxyphenyl)-, methyl ester (CA INDEX
 NAME)



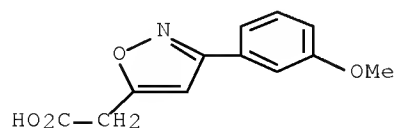
RN 187672-63-5 CAPLUS
 CN 5-Isioxazoleacetic acid, 3-[4-(dimethylamino)phenyl]-, methyl ester (CA INDEX NAME)



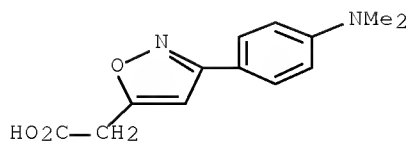
IT 187672-68-0P 187672-77-1P 187672-93-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of isioxazoleacetates)
 RN 187672-68-0 CAPLUS
 CN 5-Isioxazoleacetic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



RN 187672-77-1 CAPLUS
 CN 5-Isioxazoleacetic acid, 3-(3-methoxyphenyl)- (CA INDEX NAME)



RN 187672-93-1 CAPLUS
 CN 5-Isioxazoleacetic acid, 3-[4-(dimethylamino)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L24 ANSWER 38 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:411056 CAPLUS Full-text

DOCUMENT NUMBER: 125:86395

ORIGINAL REFERENCE NO.: 125:16285a,16288a

TITLE: 7-substituted-amino-3-substituted-3-cephem-4-carboxylic acids for treatment of bacterial infections.

INVENTOR(S): Lin, Ho Shen

PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: U.S., 14 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

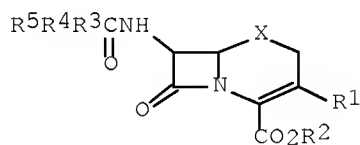
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

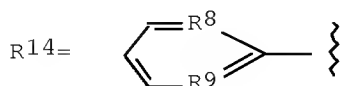
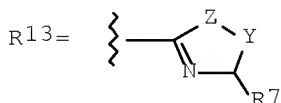
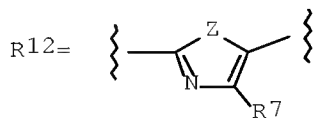
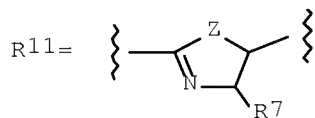
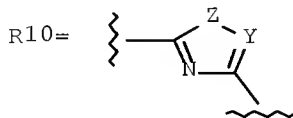
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5525599	A	19960611	US 1993-95383	19930721 <--
US 5527792	A	19960618	US 1995-448823	19950524 <--
US 5620968	A	19970415	US 1995-449129	19950524 <--
PRIORITY APPLN. INFO.:			US 1993-95383	A3 19930721 <--
OTHER SOURCE(S):		CASREACT 125:86395; MARPAT 125:86395		

GI



I



AB The invention provides compds. of the formula I wherein X is CH₂, S or O; R₁ is a 3 position substituent such as hydrogen, hydroxy, halo, trifluoromethyl, C₂ F₅, C₁ -C₆ alkyl, C₁ -C₆ substituted alkyl, C₁ -C₆ alkenyl, C₁ -C₆ alkynyl, CH₂ O(CO)R', CH₂ O(CO)NH₂, CO₂ R', thio(C₁ -C₆)alkyl, thio(C₁ C₆)alkenyl, oxo(C₁ -C₆)alkyl, phosphine oxide, quaternary ammonium group, substituted or unsubstituted thiazolothio, or oxo(C₁ -C₆)alkenyl; wherein R' is hydrogen, C₁ -C₆ alkyl, or C₁ -C₆ alkenyl; R₂ is hydrogen or a carboxy protecting group; R₃ is C(:NOR₆), C:(CHR₆), (CH₂)_n; wherein R₆ is hydrogen Me, CH₂ F, CF₃, C₂ H₅, CH₂ CH₂ F, CH₂ CF₃, C₂ F₅, CH₂ CO₂ R', CH₂ CONH₂, C(Me)₂ CO₂ R', or C(Me)₂ CONH₂; and n is 0-5; R₄ is R₁₀, R₁₁, R₁₂, R₁₃, wherein Z is O, S, NH, or CH₂; Y is CH or N; and R₇ is hydrogen, C₁ -C₆ alkyl, CONH₂, or CO₂ R'; and R₅ is R₁₄, 3-hydroxy-4-oxo-1,4-dihydropyridino, wherein R₈ is CH, N, COH, CO(C₁ -C₆ alkyl) CSH, or CNH₂; and R₉ is R₈ as defined; said R₅ optionally substituted 1-4 times with halo, OH, SH, NH₂, NO₂, CH₃, C₂ H₅, CO₂ R', CONH₂, SO₃ H, or SO₂ NHR'; and salts thereof. Also, pharmaceutical formulations and methods for treating bacterial infections in man or other animals using the above compds. are disclosed.

IT 171017-49-5P 171017-50-8P 171017-52-0P
178765-31-6P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

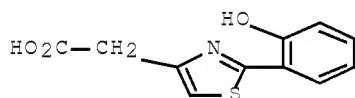
(preparation of 7-amino-3-cephem-4-carboxylic acids derivs. for treatment

of

bacterial infections)

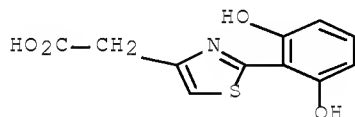
RN 171017-49-5 CAPLUS

CN 4-Thiazoleacetic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



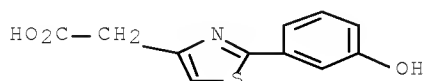
RN 171017-50-8 CAPLUS

CN 4-Thiazoleacetic acid, 2-(2,6-dihydroxyphenyl)- (CA INDEX NAME)

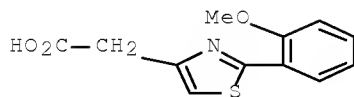


RN 171017-52-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(3-hydroxyphenyl)- (CA INDEX NAME)



RN 178765-31-6 CAPLUS
 CN 4-Thiazoleacetic acid, 2-(2-methoxyphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 39 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:262408 CAPLUS Full-text

DOCUMENT NUMBER: 125:22192

ORIGINAL REFERENCE NO.: 125:4259a, 4262a

TITLE: Silver halide color photographic material containing cyan coupler and unsaturated carbon compound as solvent in hydrophobic micrograins

INVENTOR(S): Takizawa, Hiroo; Yoshioka, Yasuhiro; Seto, Nobuo; Morigaki, Masakazu

PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
 CODEN: JKXXAF

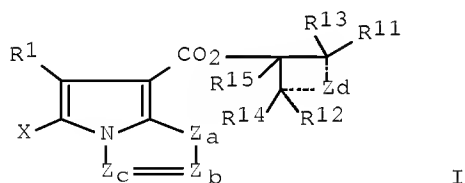
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 08044015	A	19960216	JP 1994-200314	19940803 <--
PRIORITY APPLN. INFO.: GI			JP 1994-200314	19940803 <--



I

AB The photog. material has a Ag halide emulsion layer containing a cyan coupler I [Za = NH, CHR2; Zb, Zc = CR3, N; R1, R2 = electron-withdrawing group with Hammett's constant σ_p 0.20-1.0; R3 = H, substituent; X = H, leaving group; R11, R12 = substituent other than Me; R13-15 = H, substituent; Zd = (substituted) nonmetallic group forming a saturated ring] and a compound having ≥ 1 C-C unsatd. bond in a mol. (other than Ph) in oleophilic micrograins. The C compound may be O:P(OR)3 (R = C2-40 alkenyl, alkynyl), for example. The compound is a high-m.p. solvent. The photog. material shows

high maximum color d. and gives a color image with resistance to light, heat, and moisture.

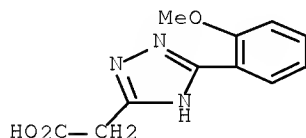
IT 173982-13-3P

RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation);
 RACT (Reactant or reagent)

(in azo heterocyclic compound photog. cyan coupler preparation)

RN 173982-13-3 CAPLUS

CN 1H-1,2,4-Triazole-3-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 40 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:248875 CAPLUS Full-text

DOCUMENT NUMBER: 125:10797

ORIGINAL REFERENCE NO.: 125:2369a,2372a

TITLE: Oxazolidinedione hypoglycemic agents

INVENTOR(S): Dow, Robert L.; Hulin, Bernard; Clark, David A.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 13 pp., Cont.of U. S. Ser. No. 855,038,
 abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

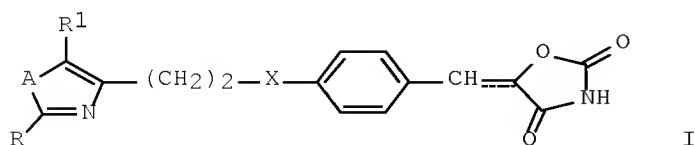
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

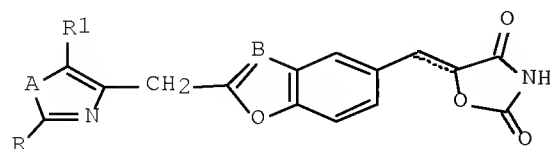
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 5498621	A	19960312	US 1994-289612	19940812 <--
PRIORITY APPLN. INFO.:			US 1992-855038	B1 19920501 <--
OTHER SOURCE(S):		CASREACT 125:10797; MARPAT 125:10797		

GI



I



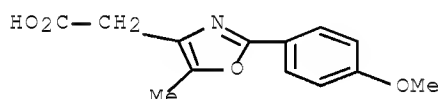
II

AB Oxazolidinediones I or II or a pharmaceutically acceptable salt thereof wherein the dotted line represents a bond or no bond, R is cycloalkyl having three to seven carbon atoms, naphthyl, thienyl, furyl, Ph or substituted Ph wherein said substituent is alkyl having one to three carbon atoms, alkoxy having one to three carbon atoms, trifluoromethyl, chloro, fluoro or bis(trifluoromethyl); R1 is alkyl having one to three carbon atoms; X is O or CO; A is O or S; and B is N or CH are useful as hypoglycemic agents (no data). Thus, e.g., amidation of cyclohexylcarbonyl chloride with Et α -aminoacetoacetate hydrochloride afforded Et α -cyclohexylcarbonylaminoacetoacetate which was cyclized with phosphorus oxychloride to provide Et 2-cyclohexyl-5-methyloxazole-4-carboxylate; homologation to 2-cyclohexyl-4-hydroxyethyl-5-methyloxazole followed by coupling with 3-triphenylmethyl-5-(p-hydroxybenzyl)-2,4-oxazolidinedione and deprotection afforded 5-(4-[2-cyclohexyl-5-methyloxazol-4-ylethoxy]benzyl)-2,4-oxazolidinedione (I; R = cyclohexyl; R1 = Me; A = O; X = O; dotted line is no bond, and links the oxazolidinedione ring to a CH2 group).

IT 136058-68-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (oxazolidinedione hypoglycemic agents)

RN 136058-68-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 41 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:120343 CAPLUS Full-text

DOCUMENT NUMBER: 124:289462

ORIGINAL REFERENCE NO.: 124:53679a, 53682a

TITLE: Synthesis of azoles, azolomethylazoles and azolomethyl triazines as biodynamic agents

AUTHOR(S): Ram, Vishnu Ji; Nath, Mahendra; Singh, Sunil K.

CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(3), 273-5

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 124:289462

AB Various (substituted pyrazol-5-yl)acetic acids have been prepared from the acid hydrolysis of resp. (pyrazol-5-yl)acetonitriles (1). The acid-catalyzed condensation-cyclization of 1 with thiosemicarbazide leads to the formation of 2-amino-5-(pyrazol-5-ylmethyl)-1,3,4-thiadiazoles which on reaction with

phenacyl bromide yield imidazo[2,1-b]-1,3,4-thiadiazoles. The analogous reaction of 1 with 4-(thiazol-2-yl)thiosemicarbazide in TFA affords pyrazolylmethyl(thiadiazolylamino)thiadiazoles. Interaction of 1 with dicyandiamide under basic condition yields pyrazolylmethyltriazines.

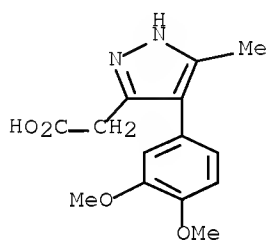
IT 175604-02-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of azoles, azolomethylazoles and azolomethyl triazines as biodynamic agents)

RN 175604-02-1 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 4-(3,4-dimethoxyphenyl)-5-methyl- (CA INDEX NAME)



L24 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:50755 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 124:189399

ORIGINAL REFERENCE NO.: 124:34799a

TITLE: Silver halide color photographic material providing highly stable cyan image by coupler with azole-condensed pyrrole structure

INVENTOR(S): Takizawa, Hiroo; Yoneyama, Hiroyuki

PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 50 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

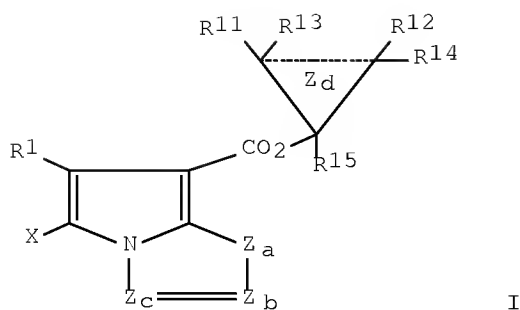
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07270990	A	19951020	JP 1994-81113	19940329 <--
JP 3442136	B2	20030902		
PRIORITY APPLN. INFO.:			JP 1994-81113	19940329 <--

GI



AB The claimed color photog. material contains a cyan coupler I ($Z_a = \text{NH}$, CHR_2 ; $Z_b, Z_c = \text{CR}_3, \text{N}$; $R_1, R_2 =$ electron-attracting group having the Hammett's σ_p of ≥ 0.20 ; $R_3 = \text{H}$, substituent; $X = \text{H}$, leaving group to be released by the coupling reaction with the oxidized color developing agent; $R_{11}, R_{12} =$ substituent other than methyl; $R_{13}, R_{14}, R_{15} = \text{H}$, substituent; $Z_d =$ saturated ring) and a H-bond-forming proton donor. The proton donor is preferably selected from derivs. of phenol, amine, urea and phosphonoamine. The coupler with high coupling activity, provides a cyan dye with good stability to light and sharp spectral absorption.

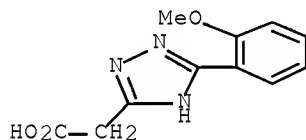
IT 173982-13-3P

RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(in azole-condensed pyrrole compound preparation)

RN 173982-13-3 CAPLUS

CN 1H-1,2,4-Triazole-3-acetic acid, 5-(2-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 43 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:931237 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 123:340969

ORIGINAL REFERENCE NO.: 123:61223a, 61226a

TITLE: Preparation of substituted 5-member-ring heterocyclic-compound blood platelet aggregation inhibitors and anticancer agents

INVENTOR(S): Zoller, Gerhard; Klingler, Otmar; Jablonka, Bernd; Just, Melitta; Breipohl, Gerhard; Knolle, Jochen; Koenig, Wolfgang; Stolz, Hans-Ulrich

PATENT ASSIGNEE(S): Cassella Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

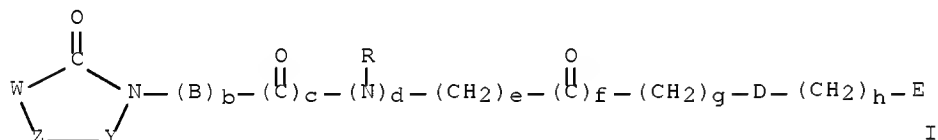
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9514008	A1	19950526	WO 1994-EP3491	19941024 <--
W: AU, CA, CN, CZ, FI, HU, JP, KR, PL, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 4338944	A1	19950518	DE 1993-4338944	19931115 <--
DE 4427979	A1	19960215	DE 1994-4427979	19940808 <--
AU 9479397	A	19950606	AU 1994-79397	19941024 <--
AU 693811	B2	19980709		
EP 729460	A1	19960904	EP 1994-930215	19941024 <--
EP 729460	B1	20020515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 74736	A2	19970228	HU 1996-1300	19941024 <--
HU 223806	B1	20050128		
JP 09505062	T	19970520	JP 1995-514176	19941024 <--
JP 3813983	B2	20060823		
RU 2151143	C1	20000620	RU 1996-113118	19941024 <--
PL 180906	B1	20010430	PL 1994-314306	19941024 <--
AT 217615	T	20020615	AT 1994-930215	19941024 <--
SK 284471	B6	20050401	SK 1996-585	19941024 <--
FI 9602043	A	19960514	FI 1996-2043	19960514 <--
FI 113265	B1	20040331		
US 5981492	A	19991109	US 1996-640895	19960719 <--
PRIORITY APPLN. INFO.:			DE 1993-4338944	A 19931115 <--
			DE 1994-4427979	A 19940808 <--
			WO 1994-EP3491	W 19941024 <--
OTHER SOURCE(S):			MARPAT 123:340969	
GI				



AB The title compds. (I; W,Y,Z,B,D,E, and R, as well as b,c,d,e,f,g, and h are defined in the patent application claims) [e.g., [(R,S)-4-[4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetyl-L-aspartyl-L-phenylglycine; II], useful as inhibitors of blood platelet aggregation (e.g., II demonstrated a IC50 of 0.05 μ M for thrombin-stimulated platelet aggregation), inhibitors of metastasis of cancerous cells, and inhibitors of the attachment of osteoclasts to bone surfaces, are prepared

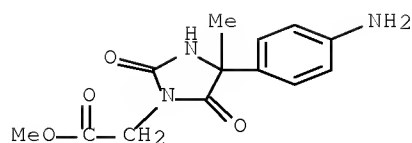
IT 169808-26-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted 5-member-ring heterocyclic-compound blood platelet aggregation inhibitors and anticancer agents from)

RN 169808-26-8 CAPLUS

CN 1-Imidazolidineacetic acid, 4-(4-aminophenyl)-4-methyl-2,5-dioxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 44 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:896112 CAPLUS Full-text

DOCUMENT NUMBER: 123:314540

ORIGINAL REFERENCE NO.: 123:56407a,56410a

TITLE: Preparation of heterocyclylpeptides and related
compounds as inhibitors of thrombocyte aggregation,
cancer cell metastasis, and binding of osteoclasts to
bone surfaces.

INVENTOR(S): Zoller, Gerhard; Klingler, Otmar; Jablonka, Bernd;
Just, Melitta; Breipohl, Gerhard; Knolle, Jochen;
Koenig, Wolfgang; Stilz, Hans Ulrich

PATENT ASSIGNEE(S): Cassella AG, Germany

SOURCE: Ger. Offen., 19 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4338944	A1	19950518	DE 1993-4338944	19931115 <--
DE 4427979	A1	19960215	DE 1994-4427979	19940808 <--
CA 2169643	A1	19950526	CA 1994-2169643	19941024 <--
WO 9514008	A1	19950526	WO 1994-EP3491	19941024 <--
W: AU, CA, CN, CZ, FI, HU, JP, KR, PL, RU, SK, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9479397	A	19950606	AU 1994-79397	19941024 <--
AU 693811	B2	19980709		
EP 729460	A1	19960904	EP 1994-930215	19941024 <--
EP 729460	B1	20020515		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1134696	A	19961030	CN 1994-194043	19941024 <--
CN 1069316	C	20010808		
HU 74736	A2	19970228	HU 1996-1300	19941024 <--
HU 223806	B1	20050128		
JP 09505062	T	19970520	JP 1995-514176	19941024 <--
JP 3813983	B2	20060823		
RU 2151143	C1	20000620	RU 1996-113118	19941024 <--
PL 180906	B1	20010430	PL 1994-314306	19941024 <--
AT 217615	T	20020615	AT 1994-930215	19941024 <--
ES 2176261	T3	20021201	ES 1994-930215	19941024 <--
CZ 292573	B6	20031015	CZ 1996-1162	19941024 <--
SK 284471	B6	20050401	SK 1996-585	19941024 <--

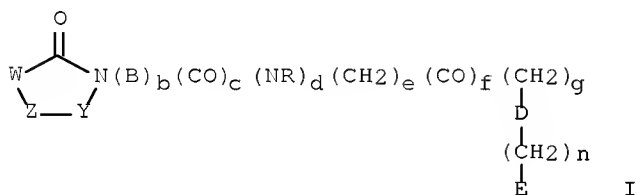
ZA 9409017	A	19950515	ZA 1994-9017	19941114 <--
IL 111622	A	20001031	IL 1994-111622	19941114 <--
FI 9602043	A	19960514	FI 1996-2043	19960514 <--
FI 113265	B1	20040331		
US 5981492	A	19991109	US 1996-640895	19960719 <--
US 6191282	B1	20010220	US 1999-362363	19990728 <--

PRIORITY APPLN. INFO.:

DE 1993-4338944	A	19931115 <--
DE 1994-4427979	A	19940808 <--
WO 1994-EP3491	W	19941024 <--
US 1996-640895	A3	19960719 <--

OTHER SOURCE(S): MARPAT 123:314540

GI



AB Title compds. [I; W = R1ACR13, R1ACH:C; Y = CO, CS, CH2; Z = NR, O, S, CH2; A = (cyclo)alkylene, phenylene, phenylenealkyl, phenylenealkenyl, (substituted) divalent N-containing heterocycle; B = alkylene, alkenylene, phenylene, phenylenealkyl; D = CR2R3, CHCR3; E = tetrazolyl, (R8O)2PO, HO3S, R9NHSO2, R10CO; R = H, alkyl, cycloalkyl, (substituted) aryl, aralkyl; R1 = XNHC(:NH)(CH2)p, X1NH(CH2)p; p = 0-3; X = H, alkyl, alkylcarbonyl, alkoxy, cyano, OH, amino, (substituted) arylcarbonyl, etc.; X1 = X, R'NHC(:NR''); R', R'' = X; R2 = H, alkyl, (substituted) aryl, aralkyl, cycloalkyl; R3 = R2, alkenyl, alkynyl, alkenylcarbonyl, alkynylcarbonyl, pyridyl, etc.; R8 = H, alkyl, (substituted) aryl, aralkyl; R9 = H, aminocarbonyl, alkylaminocarbonyl, alkyl, (substituted) aryl, cycloalkyl; R10 = OH, alkoxy, (substituted) arylalkoxy, aryloxy, amino; R13 = H, alkyl, (substituted) aralkyl, cycloalkyl; b, c, d, f = 0, 1; e, g, h = 0-6], were prepared as inhibitors of cell-cell adhesion dependent on the interaction of Arg-Gly-Asp containing proteins and other adhesive proteins (no data). Thus, [(S,R)-4-(aminoiminomethyl)phenyl]-4-methyl-2,5-dioxoimidazolidin-1-yl]acetylasparylphenylglycine was prepared by solution phase methods.

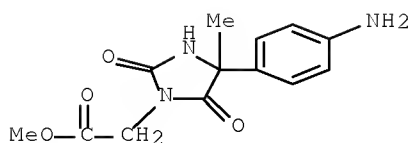
IT 169808-26-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylpeptides and related compds. as inhibitors of thrombocyte aggregation, cancer cell metastasis, and binding of osteoclasts to bone surfaces)

RN 169808-26-8 CAPLUS

CN 1-Imidazolidineacetic acid, 4-(4-aminophenyl)-4-methyl-2,5-dioxo-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 45 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:871660 CAPLUS Full-text

DOCUMENT NUMBER: 123:337515

ORIGINAL REFERENCE NO.: 123:60592h,60593a

TITLE: Synthesis and in vitro evaluation of new
cephalosporins exhibiting antimicrobial activity
against gram-positive bacteria, in particular
methicillin-resistant Staphylococci

AUTHOR(S): Lin, Ho-Shen; Rampersaud, Ashraff A.; Flokowitsch,
Jane E.; Alborn, William E., Jr.; Wu, Ernie C.;
Preston, David A.

CORPORATE SOURCE: Lilly Res. Lab., Eli Lilly Co., Indianapolis, IN,
46285, USA

SOURCE: Journal of the Chinese Chemical Society (Taipei)
(1995), 42(5), 833-45

CODEN: JCCTAC; ISSN: 0009-4536

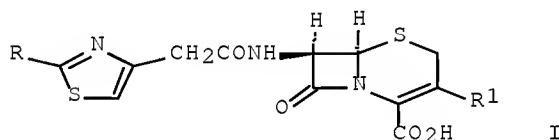
PUBLISHER: Chinese Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:337515

GI



AB The preparation and biol. evaluation of cephalosporins I [R = 2-HOC6H4, 2,6-(HO)2C6H3, 3-hydroxy-2-pyridyl, 3-HOC6H4, 2-MeOC6H4; R1 = CH2OAc, Cl, H, Me] are described. Hantzsch's thiazole synthesis is employed to provide thiazoleacetic acids, followed by Morpho CDI-assisted amidation to complete the synthesis of I. I display activity selectively against Gram-pos. bacteria, but are inactive against most Gram-neg. bacteria tested. I [R1 = CH2OAc] exhibit activity with minimal inhibitory concns. of 16 µg/mL or lower against four strains of methicillin-resistant staphylococci. Notably, I [R = 2-HOC6H4, R1 = CH2OAc] displays an activity profile similar to that of vancomycin regarding its spectrum and potency.

IT 171017-49-SP 171017-50-8P 171017-52-0P

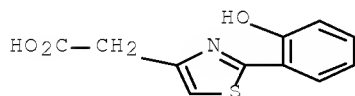
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and bactericidal activity of

arylthiazolylacetamidocephalosporins)

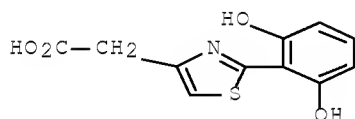
RN 171017-49-5 CAPLUS

CN 4-Thiazoleacetic acid, 2-(2-hydroxyphenyl)- (CA INDEX NAME)



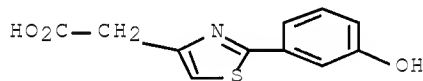
RN 171017-50-8 CAPLUS

CN 4-Thiazoleacetic acid, 2-(2,6-dihydroxyphenyl)- (CA INDEX NAME)



RN 171017-52-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(3-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L24 ANSWER 46 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:465368 CAPLUS Full-text

DOCUMENT NUMBER: 122:214087

ORIGINAL REFERENCE NO.: 122:39139a,39142a

TITLE: 5-Member heterocyclic antithrombotics and blood platelet aggregation inhibitors

INVENTOR(S): Linz, Guenter; Himmelsbach, Frank; Austel, Volkhard; Pieper, Helmut; Mueller, Thomas; Weisenberger, Johannes; Guth, Brian

PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany

SOURCE: Ger. Offen., 35 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

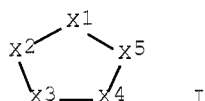
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4302051	A1	19940728	DE 1993-4302051	19930126 <--

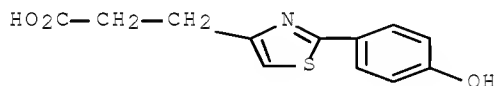
CA 2114178	A1	19940727	CA 1994-2114178	19940125 <--
NO 9400261	A	19940727	NO 1994-261	19940125 <--
JP 07002851	A	19950106	JP 1994-6295	19940125 <--
CN 1097753	A	19950125	CN 1994-100575	19940125 <--
ZA 9400495	A	19950725	ZA 1994-495	19940125 <--
FI 9400378	A	19940727	FI 1994-378	19940126 <--
EP 608858	A1	19940803	EP 1994-101125	19940126 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9453984	A	19940804	AU 1994-53984	19940127 <--
PRIORITY APPLN. INFO.:			DE 1993-4302051	A 19930126 <--
OTHER SOURCE(S):	MARPAT 122:214087			
GI				



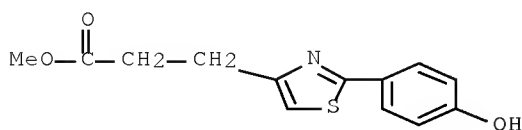
AB The title compds. [I; X1-X5 = C- or heteroatom-containing (heteroatom) substituents], useful as antithrombotics and blood platelet aggregation inhibitors (no data), are prepared and I-containing formulations presented. Thus, 1-[6-(4-amidinophenyl)-3-pyridazinyl]-4-[2-(n-butanesulfonylamino)-2-carboxyethyl]imidazole hydrochloride was prepared and demonstrated an ED50 of 40 nM in a collagen-induced blood platelet aggregation assay.

IT 161975-24-2P 161975-25-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of heterocyclic antithrombotics and blood platelet aggregation inhibitors)

RN 161975-24-2 CAPLUS
 CN 4-Thiazolepropanoic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



RN 161975-25-3 CAPLUS
 CN 4-Thiazolepropanoic acid, 2-(4-hydroxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L24 ANSWER 47 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:51350 CAPLUS Full-text

DOCUMENT NUMBER: 122:55964

ORIGINAL REFERENCE NO.: 122:10847a,10850a

TITLE: Polarized ketene dithioacetals. Part II: Synthesis of S,S- and S,N-cyclic ketene dithioacetals and their transformation to azoles and 1,3-dithiole-2-thiones
 AUTHOR(S): Ram, Vishnu J.; Haque, Navedul; Singh, S. K.; Nath, M.; Shoeb, A.

CORPORATE SOURCE: Medicinal Chem. Div., CDRI, Lucknow, 226 001, India

SOURCE: Phosphorus, Sulfur and Silicon and the Related

Elements (1994), 88(1-4), 155-61

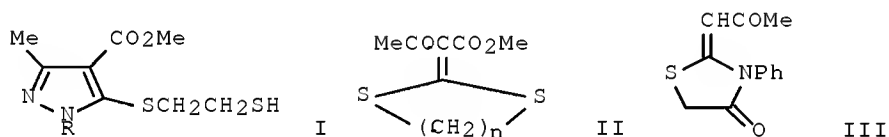
CODEN: PSSLEC; ISSN: 1042-6507

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:55964

GI



AB New procedures are given for the synthesis of azoles, e.g., I (R = H, Me), dithiolane or dithiane II (n = 1, 2), thiazolidinones, e.g., III, thiazolines, and 1,3-dithiole-2-thiones from active methylene compds.

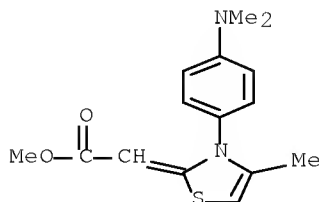
IT 159879-05-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of cyclic ketene dithioacetals and their transformation to azoles and dithiolethiones)

RN 159879-05-7 CAPLUS

CN Acetic acid, 2-[3-[4-(dimethylamino)phenyl]-4-methyl-2(3H)-thiazolylydene]-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L24 ANSWER 48 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:409265 CAPLUS Full-text

DOCUMENT NUMBER: 121:9265

ORIGINAL REFERENCE NO.: 121:1961a,1964a

TITLE: Methyl 3-[3-(aryl)-1,2,4-oxadiazol-5-yl]propionates

AUTHOR(S): Srivastava, Rajendra M.; de Oliveira, Marilu L.; de Albuquerque, Julianna F. C.

CORPORATE SOURCE: Dep. Eng. Quim., Univ. Fed. Pernambuco, Recife, 50739, Brazil

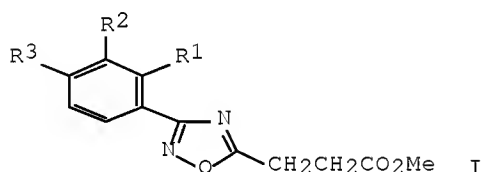
SOURCE: Journal of the Brazilian Chemical Society (1992), 3(3), 117-9

CODEN: JOCSET; ISSN: 0103-5053

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



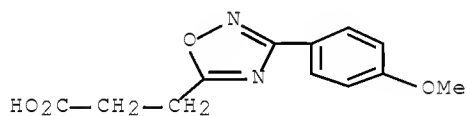
AB Preparation of seven Me 3-[3-(aryl)-1,2,4-oxadiazol-5-yl]propionates (I; R1, R2 = H, Me; R3 = H, Me, OMe, Cl, Br) and their spectroscopic properties (IR, UV, ¹H and ¹³C NMR) are described.

IT 94192-18-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of)

RN 94192-18-4 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)

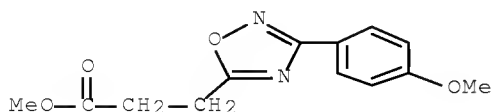


IT 155500-83-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 155500-83-7 CAPLUS

CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L24 ANSWER 49 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1992:128733 CAPLUS Full-text

DOCUMENT NUMBER: 116:128733

ORIGINAL REFERENCE NO.: 116:21795a,21798a

TITLE: Reaction of 4-aryl-2(3H)-dihydrofuranones with
hydroxylamine hydrochloride. Synthesis and
pharmacological study of a series of
3-aryl-4,5-dihydro-4-isoxazoleacetic acids

AUTHOR(S): Curzu, Maria M.; Pinna, Gerard A.; Cignarella,
Giorgio; Barlocco, Daniela; Demontis, Maria Piera
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Sassari, Sassari, 07100, Italy
SOURCE: Collection of Czechoslovak Chemical Communications
(1991), 56(11A), 2494-9

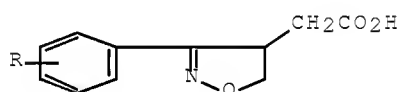
CODEN: CCCCAK; ISSN: 0010-0765

DOCUMENT TYPE: Journal

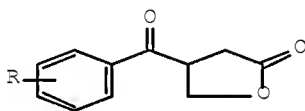
LANGUAGE: English

OTHER SOURCE(S): CASREACT 116:128733

GI



I



II

AB A new series of 3-aryl-4,5-dihydro-4-isoxazoleacetic acids I (R = H, 4-Cl, 4-Me, 4-OMe, 2-OH, 4-NHAc, 4-OH) were prepared by the reaction of title arylfuranones II with H2NOH. I were tested for antiinflammatory activity. Preliminary pharmacol. results seem to indicate the 3-Ph derivative I (R = H) as the most interesting compound. In fact, when tested against carrageenin edema in Wistar rats, it shows antiinflammatory activity comparable to that of naproxene, taken as reference drug, though of shorter duration. All the substituted Ph derivs. were less active or inactive.

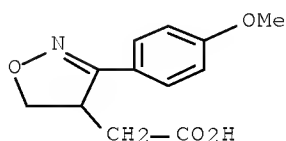
IT 139359-70-9P 139359-71-0P 139359-73-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

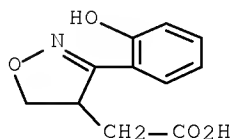
(preparation and antiinflammatory activity of)

RN 139359-70-9 CAPLUS

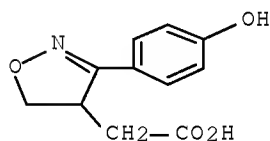
CN 4-Isioxazoleacetic acid, 4,5-dihydro-3-(4-methoxyphenyl)- (CA INDEX NAME)



RN 139359-71-0 CAPLUS
 CN 4-Isloxazoleacetic acid, 4,5-dihydro-3-(2-hydroxyphenyl)- (CA INDEX NAME)



RN 139359-73-2 CAPLUS
 CN 4-Isloxazoleacetic acid, 4,5-dihydro-3-(4-hydroxyphenyl)- (CA INDEX NAME)



L24 ANSWER 50 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:536086 CAPLUS Full-text

DOCUMENT NUMBER: 115:136086

ORIGINAL REFERENCE NO.: 115:23339a,23342a

TITLE: Preparation of oxazolidinediones as hypoglycemic agents

INVENTOR(S): Clark, David Alan; Hulin, Bernard; Dow, Robert Lee

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

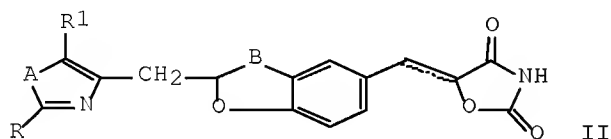
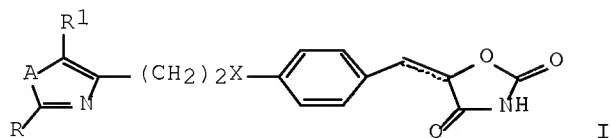
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 428312	A2	19910522	EP 1990-312116	19901106 <--
EP 428312	A3	19911023		
EP 428312	B1	19941228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
WO 9107107	A1	19910530	WO 1989-US5222	19891113 <--
W: FI, HU, NO, RO, SU, US				
ES 2066991	T3	19950316	ES 1990-312116	19901106 <--
CA 2029703	A1	19910514	CA 1990-2029703	19901109 <--
CA 2029703	C	19961015		
JP 03170478	A	19910724	JP 1990-306941	19901113 <--
JP 07008862	B	19950201		
FI 9202141	A	19920512	FI 1992-2141	19920512 <--
FI 95469	B	19951031		

FI 95469 C 19960212
 PRIORITY APPLN. INFO.: WO 1989-US5222 A 19891113 <--
 OTHER SOURCE(S): MARPAT 115:136086
 GI



AB Title compds. I and II [R = C3-7 cycloalkyl, naphthyl, thienyl, furyl, (substituted) Ph; R1 = C1-3 alkyl; X = O, CO; A = O, S; B = N, CH] or a salt thereof, useful as hypoglycemics and anticholesteremics (no data), are prepared I (R = cyclohexyl, R1 = Me, A = X = O) was prepared in 7 steps from Et α -aminoacetoacetate-HCl and cyclohexylcarbonyl chloride. II (R = Ph, R1 = Me, A = O, B = CH) was prepared in 7 steps from 5,2-Br(HO)C6H3CHO and 4-(bromoacetyl)-5-methyl-2-phenyloxazole.

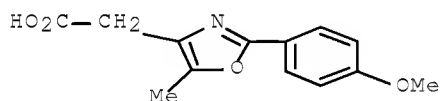
IT 136058-68-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of oxazolidinedione hypoglycemics)

RN 136058-68-9 CAPLUS

CN 4-Oxazoleacetic acid, 2-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L24 ANSWER 51 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1990:478402 CAPLUS Full-text

DOCUMENT NUMBER: 113:78402

ORIGINAL REFERENCE NO.: 113:13271a,13274a

TITLE: Preparation of Δ^2 -1,2,4-triazolin-5-one derivatives as antiulcer agents

INVENTOR(S): Murai, Toshi; Fujii, Masahiro; Nakajima, Tsutomu

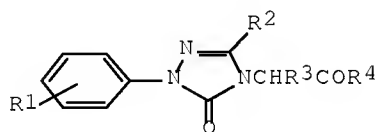
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

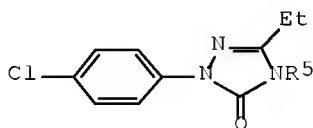
DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02053780	A	19900222	JP 1988-202422	19880812 <--
PRIORITY APPLN. INFO.:			JP 1988-202422	19880812 <--
OTHER SOURCE(S):	MARPAT 113:78402			

GI



I



II

AB The title compds. (I; R1 = H, halo CF3, C1-8 alkyl, C1-4 alkoxy; R2 = C1-8 alkyl; R3 = H, Me; R4 = piperazinyl, diazoninyl, etc.) are prepared Triazolinone derivative II (R5 = H) was added to a NaOEt/EtOH solution, followed by BrCH2CO2ET, and the mixture refluxed to give 85.4% ester II (R5 = CH2CO2Et), which was saponified to give 90.2 % acid II (R5 = CH2CO2H) (III). Refluxing III with SOCl2 gave quant. acid chloride III (R5 = CH2COCl) which was treated with N-methylpiperazine in MeCOEt to give 77.5% I·HCl (R1 = 4-Cl, R2 = Et, R3 = H, R4 = 4-methyl-1-piperazinyl), which showed 94% ulcer inhibition at 100 mg/kg p.o. in mice, vs. 87% with cimetidine. Also prepared were .apprx.50 addnl. I and intermediates. Capsules, tablet and injection formulations were given.

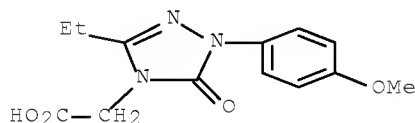
IT 128695-28-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and amidation of, with methylpiperidine)

RN 128695-28-3 CAPLUS

CN 4H-1,2,4-Triazole-4-acetic acid, 3-ethyl-1,5-dihydro-1-(4-methoxyphenyl)-5-oxo- (CA INDEX NAME)



L24 ANSWER 52 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

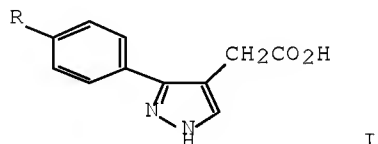
ACCESSION NUMBER: 1990:440552 CAPLUS Full-text

DOCUMENT NUMBER: 113:40552

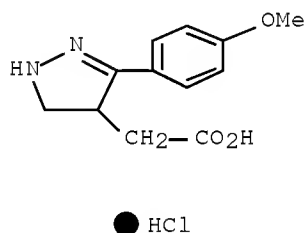
ORIGINAL REFERENCE NO.: 113:6891a,6894a

TITLE: A facile synthesis of 3-aryl-4-pyrazoleacetic acids and of their 4,5-dihydro derivatives

AUTHOR(S): Curzu, Maria M.; Pinna, Gerard A.; Barlocco, Daniela;
Cignarella, Giorgio
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Sassari, Sassari, 07100, Italy
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(2), 205-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:40552
GI



AB 3-Aryl-4-pyrazoleacetic acids I (R = H, F, Cl) and their 4,5-dihydro derivs. can conveniently be prepared by cyclization of 4-RC6H4COC(:CH2)CH2CO2H with hydrazine hydrate in acetic acid and subsequent treatment with bromine in acetic acid with dilute mineral acids resp.
IT 127847-41-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 127847-41-0 CAPLUS
CN 1H-Pyrazole-4-acetic acid, 4,5-dihydro-3-(4-methoxyphenyl)-, hydrochloride (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)

L24 ANSWER 53 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1990:178985 CAPLUS Full-text

DOCUMENT NUMBER: 112:178985

ORIGINAL REFERENCE NO.: 112:30281a,30284a

TITLE: Preparation of α -unsubstituted imidazole
3-oxides as intermediates and phytovirucides

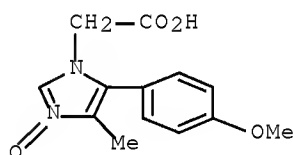
INVENTOR(S): Hossbach, Rosemarie; Kluge, Siegfried; Lettau,
Herbert; Nuhn, Peter; Schneider, Rudolf; Stenger,
Peter; Stiebitz, Beate

PATENT ASSIGNEE(S): Martin-Luther-Universitaet Halle-Wittenberg, Ger. Dem.
 Rep.
 SOURCE: Ger. (East), 7 pp.
 CODEN: GEXXA8
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

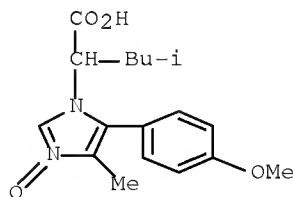
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 271903	A1	19890920	DD 1988-315826	19880517 <--
PRIORITY APPLN. INFO.:			DD 1988-315826	19880517 <--
OTHER SOURCE(S):	CASREACT 112:178985			

AB The title compds. were prepared by a cyclocondensation of an α -hydroxyiminoketone with H₂CO and an amino acid in an H₂O-miscible solvent such as MeOH, EtOH, DMF, dioxane, or HOAc or their mixts. with H₂O at 50-120°. Thus, a mixture of MeCOC(:NOH)(CH₂)₃Me, H₂NCH₂CO₂H, and 30% aqueous H₂CO was refluxed 3 h to give 75% 4-butyl-1-carboxymethyl-5-methylimidazole 3-oxide. The title imidazole oxides are useful as intermediates for bioactive compds. and their D-enantiomers are antiphytovirals.

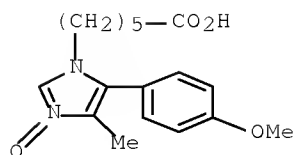
IT 126262-81-5P 126263-12-5P 126263-72-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, from hydroxyaminoketone, formaldehyde, and amino acid)
 RN 126262-81-5 CAPLUS
 CN 1H-Imidazole-1-acetic acid, 5-(4-methoxyphenyl)-4-methyl-, 3-oxide (CA INDEX NAME)



RN 126263-12-5 CAPLUS
 CN 1H-Imidazole-1-acetic acid, 5-(4-methoxyphenyl)-4-methyl- α -(2-methylpropyl)-, 3-oxide (CA INDEX NAME)



RN 126263-72-7 CAPLUS
 CN 1H-Imidazole-1-hexanoic acid, 5-(4-methoxyphenyl)-4-methyl-, 3-oxide (CA INDEX NAME)



L24 ANSWER 54 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:506964 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 109:106964

ORIGINAL REFERENCE NO.: 109:17753a,17756a

TITLE: Stereoelectronic effects at carboxylate: a syn-oriented model for the histidine-aspartate couple in enzymes

AUTHOR(S): Zimmerman, Steven C.; Cramer, Katherine D.

CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA

SOURCE: Journal of the American Chemical Society (1988), 110(17), 5906-8

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 2,5,12,15-Tetraoxa-8,23-diazatricyclo[17.3.1.17,10]-tricos-7,9,17(22),18,20-pentaene-22-carboxylic acid, the 1st crown ether to contain a C-2- and C-4(5)-linked imidazole, was synthesized from 1-benzylimidazole. It is the 1st imidazole-carboxylate in which the syn lone pair of the carboxylate is oriented toward the imidazole and is, therefore, an appropriate model for the histidine (His)-aspartate (Asp) couple found in the active sites of serine proteases. It has been argued that a stereoelectronic effect makes the syn lone pair more basic and therefore preferred in the enzymic His-Asp couple. By use of ¹H NMR titrns. in D₂O, a ΔpK_a of 1.50 was found for the imidazole in this system; this value is identical to that found in trypsin (ΔpK_a = 1.50). All previously studied models use the anti lone pair of the carboxylate, which raises the pK_a of the imidazole by <1 unit.

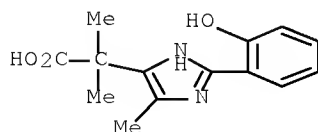
IT 51818-22-5

RL: PRP (Properties)

(ionization constant of)

RN 51818-22-5 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)-α,α,4-trimethyl- (CA INDEX NAME)



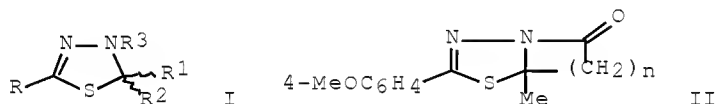
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L24 ANSWER 55 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

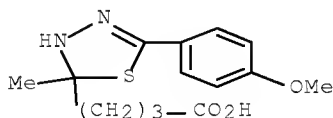
ACCESSION NUMBER: 1987:138348 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 106:138348

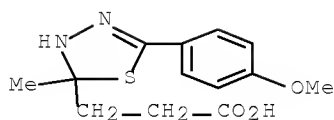
ORIGINAL REFERENCE NO.: 106:22573a,22576a
 TITLE: Thiadiazoles and dihydrothiadiazoles. Part 5.
 Synthesis of 2,3-dihydro-1,3,4-thiadiazoles by
 reaction of aldehydes or ketones with
 thioaroylhydrazines
 AUTHOR(S): Evans, D. Michael; Hill, Lawrence; Taylor, David R.;
 Myers, Malcolm
 CORPORATE SOURCE: Chem. Dep., Univ. Manchester Inst. Sci. Technol.,
 Manchester, M60 1QD, UK
 SOURCE: Journal of the Chemical Society, Perkin Transactions
 1: Organic and Bio-Organic Chemistry (1972-1999)
 (1986), (8), 1499-505
 CODEN: JCPRB4; ISSN: 0300-922X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 106:138348
 GI



AB 1,3,4-Thiadiazole I [R = Ph, 4-MeOC₆H₄; R₁ = H, Me, Ph; R₂ = H, Me, Ph, 4-MeOC₆H₄, 4-MeC₆H₄, 4-ClC₆H₄, CH₂COMe, (CH₂)₂CO₂H, (CH₂)₃CO₂H, 2-HOC₆H₄, R₁R₂ = (CH₂)₅, (CH₂CH₂)₂NMe, R₃ = H, Ph, CH₂Ph, CHMe₂] were prepared by condensation of R₁R₂CO with RCSNHNHR₃. The reaction of 4-MeOC₆H₄CSNHNH₂ with MeCO(CH₂)_nCO₂H (n = 2,3) gave I [R = 4-MeOC₆H₄, R₁ = Me; R₂ = (CH₂)_nCO₂H; R₃ = H], which were cyclized to give lactams II.
 IT 107402-79-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and crystallization of)
 RN 107402-79-9 CAPLUS
 CN 1,3,4-Thiadiazole-2-butanoic acid,
 2,3-dihydro-5-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



IT 107402-78-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 107402-78-8 CAPLUS
 CN 1,3,4-Thiadiazole-2-propanoic acid,
 2,3-dihydro-5-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

L24 ANSWER 56 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1987:102026 CAPLUS Full-text

DOCUMENT NUMBER: 106:102026

ORIGINAL REFERENCE NO.: 106:16707a, 16710a

TITLE: (Z)- and (E)-4,4-Dimethyl-5-oxo-2-pentenoic acids and their derivatives

AUTHOR(S): Ito, Kunio; Miyajima, Shingo

CORPORATE SOURCE: Fac. Eng., Toyo Univ., Saitama, 350, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1986), 59(3), 815-18

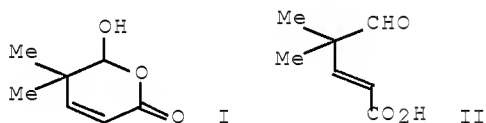
CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:102026

GI



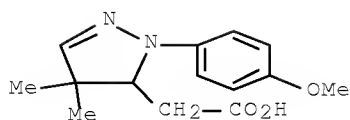
AB The tautomeric equilibrium constant ($K = [\text{ring}]/[\text{chain}]$) of pentenoic acid I, which exists almost exclusively in the hydroxy lactone form, was calculated to be 2.8×10^3 in water by the pKa method. Several examples are described of preference for ring forms of derivs. of I, which are capable of exhibiting ring-chain tautomerism. (E)-4,4-Dimethyl-5-oxo-2-pentenoic acid II reacted with SOCl_2 to afford a trimeric chloro lactone, which on treatment with water or aniline gave the hydroxy or anilino lactone. I and II reacted with a variety of phenylhydrazines to yield dihydropyrazole derivs., except for 2,4-dinitrophenylhydrazine which on reaction with I gave 2,4-dinitrophenylhydrazino lactone.

IT 106896-18-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 106896-18-8 CAPLUS

CN 1H-Pyrazole-5-acetic acid, 4,5-dihydro-1-(4-methoxyphenyl)-4,4-dimethyl-
(CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 57 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1986:572406 CAPLUS Full-text

DOCUMENT NUMBER: 105:172406

ORIGINAL REFERENCE NO.: 105:27789a,27792a

TITLE: Pd(CH₃CN)₄(BF₄)₂-assisted attack of nitriles on olefins. A palladium analog of the Ritter reaction
AUTHOR(S): Hegedus, Louis S.; Mulhern, Thomas A.; Asada, Hideki
CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Fort Collins, CO, 80523, USA

SOURCE: Journal of the American Chemical Society (1986), 108(20), 6224-8

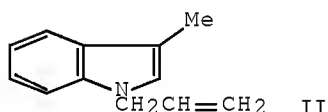
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

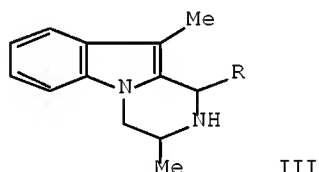
LANGUAGE: English

OTHER SOURCE(S): CASREACT 105:172406

GI



II



III

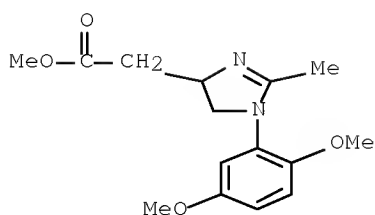
AB The strongly electrophilic complex Pd(CH₃CN)₄(BF₄)₂ (I) activates a variety of olefins to undergo nucleophilic attack by nitriles to give nitrilium salts. These nitrilium salts undergo reaction with a variety of nucleophiles including electron-rich aroms., alcs., and amines, ultimately producing a variety of heterocyclic ring systems. E.g., N-allylskatole (II) was treated with RCN (R = Me, Et, Ph) in the presence of I followed by reduction with NaBH₄ in EtOH to give 37-58% pyrazino[1,2-a]indoles III.

IT 103693-78-3P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

RN 103693-78-3 CAPLUS

CN 1H-Imidazole-4-acetic acid, 1-(2,5-dimethoxyphenyl)-4,5-dihydro-2-methyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L24 ANSWER 58 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1986:224890 CAPLUS Full-text

DOCUMENT NUMBER: 104:224890

ORIGINAL REFERENCE NO.: 104:35675a,35678a

TITLE: Pyridinones

INVENTOR(S): Juraszyk, Horst; Enenkel, Hans Joachim; Minck, Klaus Otto; Schliep, Hans Jochen; Piulats, Jaime

PATENT ASSIGNEE(S): Merck Patent G.m.b.H. , Fed. Rep. Ger.

SOURCE: Ger. Offen., 167 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

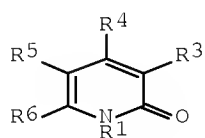
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

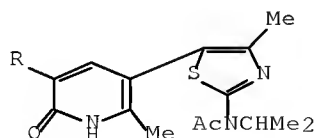
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3406329	A1	19850822	DE 1984-3406329	19840222 <--
HU 39161	A2	19860828	HU 1985-391	19850201 <--
EP 154190	A1	19850911	EP 1985-101326	19850208 <--
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8538926	A	19850829	AU 1985-38926	19850218 <--
ZA 8501335	A	19851030	ZA 1985-1335	19850221 <--
JP 61010557	A	19860118	JP 1985-33023	19850222 <--
PRIORITY APPLN. INFO.:			DE 1984-3406329	A 19840222 <--
OTHER SOURCE(S):	MARPAT 104:224890			

GI



I



II

AB Pyridinones I [R1 = H, A, PhCH2; R3 = H, cyano, CONH2, CO2H, CO2A, CO2CH2Ph, NH2; R4 = H, A, A2NCH:CH, Aralkyl, OH, OA, SA, SOA, SO2A, NR7R8; R5 = H, R9R10CHCO, A2NCH:CR10CO, Aryl, (un)substituted pyridyl, (un)substituted thiazolyl, imidazolyl, pyrazolyl, isoxazolyl, imidazopyridyl; R6 = H, A, CO2A; R6R10 = CH2CH2, CH:CH; A = alkyl, Ar = (un)substituted Ph; R7 = H, A, alkenyl, (di)hydroxyalkyl, alkoxyalkyl, Ar, (un)substituted pyridyl, (di)substituted

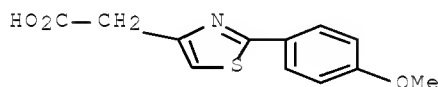
amino, Aralkyl, Arylhydroxyalkyl, indanyl, (un)substituted pyridylalkyl, (un)substituted piperidyl, 2-(4-methyl-5-imidazolylmethylthio)ethyl; R8 = H, A, hydroxyalkyl, Ar, Aralkyl, HCO, ACO, ArCO, (un)substituted pyridylcarbonyl, Aralkylcarbonyl, CO2A, CONHA; R7R8 = A2NCH:, (un)substituted C3-5 alkylene, optionally with O or (un)substituted imino interrupters; R9 = H, HCO, AO2C, Cl, Br, OH, 2-imidazolylthio, furoyl, etc., R10 = H, A], useful as inotropic agents at 5-500 mg, were prepared by 21 methods. MeCOCHBrCH2CO2Et cyclized with Me2CHNHCSNH2 to give Et 2-(isopropylamino)-4-methyl-5-thiazoleacetate which was successively saponified, reacted with Ac2O-pyridine, and cleaved with acid to give 2-(N-isopropylacetamido)-4-methyl-5-(2-oxopropyl)thiazole. Reaction with (EtO)2CHNMe2 gave the 5-[Me2NCH:C(COMe)] analog which cyclized with Na in PrOH and NCCH2CONH2 at the b.p. 6 h to give thiazolylpyridinone II (R = cyano) and byproduct II (R = CONH2).

IT 23353-14-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of, with acetic anhydride)

RN 23353-14-2 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)

L24 ANSWER 59 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:142801 CAPLUS Full-text

DOCUMENT NUMBER: 102:142801

ORIGINAL REFERENCE NO.: 102:22275a,22278a

TITLE: 4-(4-Phenylsubstituted)-1,2,3-triazolacetic acid
derivatives as in vitro inhibitors of prostaglandin
synthesis

AUTHOR(S): Biagi, G.; Livi, O.; Da Settimo, A.; Lucacchini, A.;
Mazzoni, M. R.; Barili, P. L.

CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy

SOURCE: Farmaco, Edizione Scientifica (1985), 40(2), 73-85

CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Title 4-(4-phenylsubstituted)-1,2,3-triazolacetic acid derivs. I (R = H, OH, NH2, NO2, MeO, AcNH, or BzNH; R1 = H, Me, Et or Na) were prepared and were evaluated as in vitro inhibitors of prostaglandin synthesis. Of these compds., 2-carbethoxymethyl-4-(4-aminophenyl)-2H- [95628-71-0] and 1-carbethoxymethyl-4-(4-aminophenyl)-1H-1,2,3-triazole [95603-06-8] inhibited arachidonic acid-induced malondialdehyde formation in human platelets. Structure-activity relations are discussed.

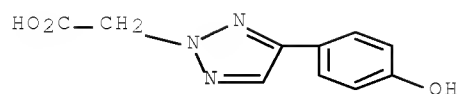
IT 95603-13-7P 95603-15-9P 95603-16-0P

95603-24-0P 95603-27-3P 95603-28-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and prostaglandin synthesis inhibition by)

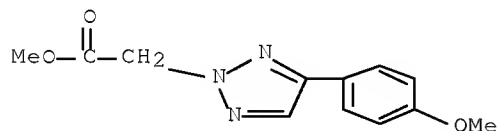
RN 95603-13-7 CAPLUS

CN 2H-1,2,3-Triazole-2-acetic acid, 4-(4-hydroxyphenyl)- (CA INDEX NAME)



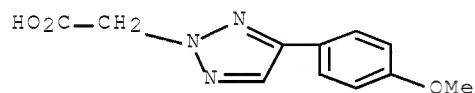
RN 95603-15-9 CAPLUS

CN 2H-1,2,3-Triazole-2-acetic acid, 4-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



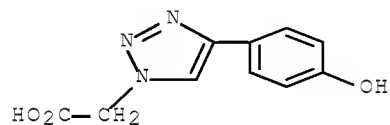
RN 95603-16-0 CAPLUS

CN 2H-1,2,3-Triazole-2-acetic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)



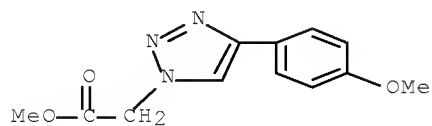
RN 95603-24-0 CAPLUS

CN 1H-1,2,3-Triazole-1-acetic acid, 4-(4-hydroxyphenyl)- (CA INDEX NAME)



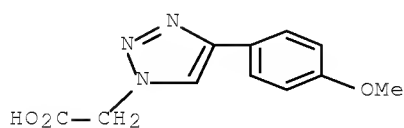
RN 95603-27-3 CAPLUS

CN 1H-1,2,3-Triazole-1-acetic acid, 4-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)



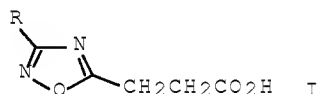
RN 95603-28-4 CAPLUS

CN 1H-1,2,3-Triazole-1-acetic acid, 4-(4-methoxyphenyl)- (CA INDEX NAME)

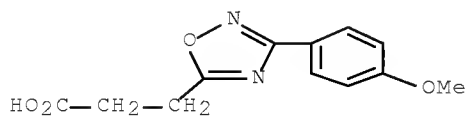


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

L24 ANSWER 60 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1985:45841 CAPLUS Full-text
 DOCUMENT NUMBER: 102:45841
 ORIGINAL REFERENCE NO.: 102:7209a,7212a
 TITLE: Synthesis of 3-[(aryl)-1,2,4-oxadiazol-5-yl]propionic acids
 AUTHOR(S): Srivastava, Rajendra Mohan; Viana, Maria Benedita de Assuncao Borges; Bieber, Lothar
 CORPORATE SOURCE: Dep. Quim., Univ. Fed. Pernambuco, Recife, 50.000, Brazil
 SOURCE: Journal of Heterocyclic Chemistry (1984), 21(4), 1193-5
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Heating RC(NH2):NOH (R = Ph, o-, m-, p-tolyl, p-anisyl, 4-ClC6H4, 4-BrC6H4) with succinimide gave the title compds. I.
 IT 94192-18-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclocondensation of, with succinimide, oxadiazole from)
 RN 94192-18-4 CAPLUS
 CN 1,2,4-Oxadiazole-5-propanoic acid, 3-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
(7 CITINGS)

L24 ANSWER 61 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1984:471972 CAPLUS Full-text

DOCUMENT NUMBER: 101:71972

ORIGINAL REFERENCE NO.: 101:11097a,11100a

TITLE: Cyclophilic reactions of allene-1,3-dicarboxylic esters. Part 2. Regio- and direction-control in the addition of aryl azides to dimethyl allene-1,3-dicarboxylates and x-ray crystal structures of some triazole and triazoline adducts

AUTHOR(S): Barraclough, David; Moorhouse, Nicholas P.; Onwuyali, E. Ify; Scheinmann, Feodor; Hursthouse, Michael B.; Galas, Anita M. R.

CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK

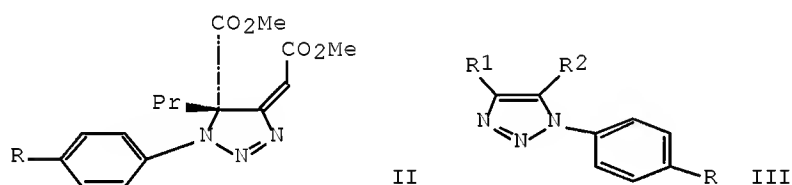
SOURCE: Journal of Chemical Research, Synopses (1984), (4), 102-3

CODEN: JRPSDC; ISSN: 0308-2342

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Cycloaddn. reactions of $\text{MeO}_2\text{CCPr:C:CHCO}_2\text{Me}$ with $p\text{-RC}_6\text{H}_4\text{N}_3$ (I; $\text{R} = \text{H, OMe, NO}_2$) gave triazolines II (R as before) exclusively whereas reaction of $\text{MeO}_2\text{CCH:C:CHCO}_2\text{Me}$ with I ($\text{R} = \text{OMe, NO}_2$) gave mixts. of isomers III (R as before; $\text{R}_1 = \text{CO}_2\text{Me, R}_2 = \text{CH}_2\text{CO}_2\text{Me}$; $\text{R}_1 = \text{CH}_2\text{CO}_2\text{Me, R}_2 = \text{CO}_2\text{Me}$). The structures of these compds. were studied by Eu-shifted ^1H NMR and by x-ray crystallog. anal. of II ($\text{R} = \text{H}$) and of III ($\text{R} = \text{OMe, R}_1, \text{R}_2 = \text{CO}_2\text{Me, CH}_2\text{CO}_2\text{Me}$).

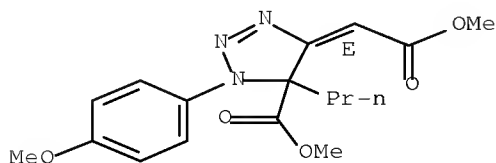
IT 91306-58-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 91306-58-0 CAPLUS

CN 1H-1,2,3-Triazole-5-carboxylic acid,
4,5-dihydro-4-(2-methoxy-2-oxoethylidene)-1-(4-methoxyphenyl)-5-propyl-,
methyl ester, (E)- (9CI) (CA INDEX NAME)

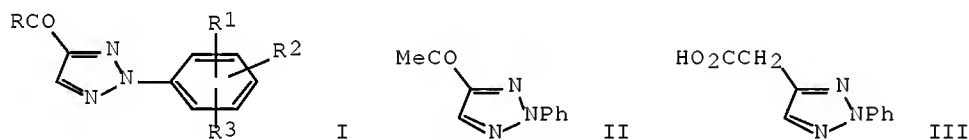
Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

L24 ANSWER 62 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1983:198247 CAPLUS Full-text
DOCUMENT NUMBER: 98:198247
ORIGINAL REFERENCE NO.: 98:30143a,30146a
TITLE: 2-Phenyl-2H-1,2,3-triazoles and their use
INVENTOR(S): Kabas, Guglielmo; Tobler, Hans
PATENT ASSIGNEE(S): Ciba-Geigy A.-G. , Switz.
SOURCE: Ger. Offen., 47 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3230200	A1	19830303	DE 1982-3230200	19820813 <--
GB 2105327	A	19830323	GB 1982-23111	19820811 <--
FR 2511367	A1	19830218	FR 1982-14059	19820812 <--
NL 8203209	A	19830316	NL 1982-3209	19820816 <--
BR 8204786	A	19830802	BR 1982-4786	19820816 <--
JP 58039658	A	19830308	JP 1982-141765	19820817 <--
PRIORITY APPLN. INFO.:			CH 1981-5302	A 19810817 <--
OTHER SOURCE(S):	MARPAT 98:198247			
GI				

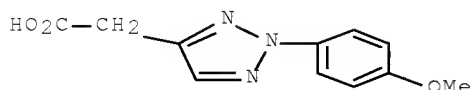


AB I [R = C1-6 alkyl, (un)substituted Ph or benzyl; R1-3 = H, halo, CF3, cyano, NO2, alkyl, etc.] were prepared as intermediates for optical brighteners for textiles. Thus, MeCOCHPhCHO was treated with NH2OH and the oxime cyclized to II with Ac2O; II was heated with S to give III.

IT 85693-78-3P 85693-79-4P 85693-80-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

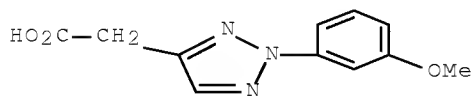
RN 85693-78-3 CAPLUS

CN 2H-1,2,3-Triazole-4-acetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



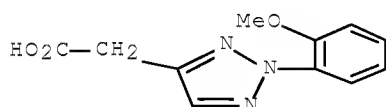
RN 85693-79-4 CAPLUS

CN 2H-1,2,3-Triazole-4-acetic acid, 2-(3-methoxyphenyl)- (CA INDEX NAME)



RN 85693-80-7 CAPLUS

CN 2H-1,2,3-Triazole-4-acetic acid, 2-(2-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 63 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1982:210333 CAPLUS Full-text

DOCUMENT NUMBER: 96:210333

ORIGINAL REFERENCE NO.: 96:34537a,34540a

TITLE: The enhanced biliary secretion of a taurine conjugate
in the rat after intraduodenal administration of high
doses of fenclozic acid

AUTHOR(S): Bradbury, A.; Powell, G. M.; Curtis, C. G.; Rhodes, C.

CORPORATE SOURCE: Dep. Biochem., Univ. Coll. Cardiff, Cardiff, CF1 1XL,
UK

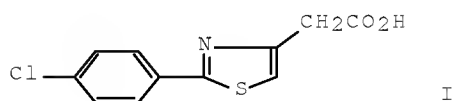
SOURCE: Xenobiotica (1981), 11(10), 665-74

CODEN: XENOBH; ISSN: 0049-8254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB In rats, increasing the intraduodenal dose of ¹⁴C-labeled fenclozic acid (I) [17969-20-9] from 2 to 100 mg/kg resulted in a 5-fold increase in I-related material secreted in bile. At 2 mg/kg, the taurine conjugate [81719-32-6] was a relatively minor metabolite, whereas at 100 mg/kg, it was the major metabolite in bile and urine. Enhanced biliary secretion of the taurine

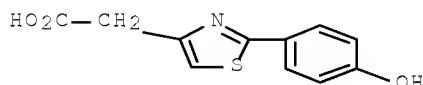
conjugate in rats receiving multiple doses of I resulted in exposure of the intestinal cells to a much greater concentration of I-related metabolites.

IT 23551-34-0

RL: BIOL (Biological study)
(as fenclozic acid metabolite)

RN 23551-34-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 64 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1980:471652 CAPLUS Full-text

DOCUMENT NUMBER: 93:71652

ORIGINAL REFERENCE NO.: 93:11653a, 11656a

TITLE: Thiohydrazides and acetylene esters - a new route to
1,3,4-thiadiazoles

AUTHOR(S): Heindel, Ned D.; Friedrich, Gail; Tsai, Maria C.

CORPORATE SOURCE: Dep. Chem., Lehigh Univ., Bethlehem, PA, 18015, USA

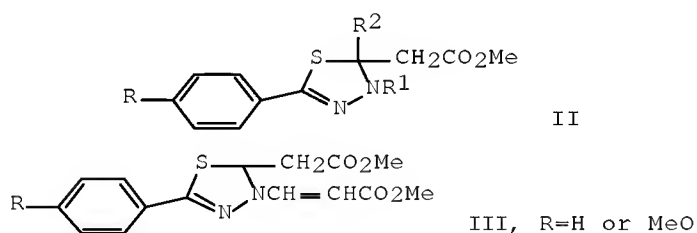
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(1), 191-3
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 93:71652

GI



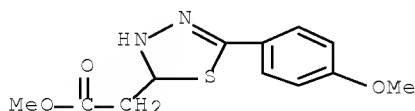
AB 4-RC6H4CSNHNHR1 (I; R = H, Cl, MeO, R1 = H; R = H, R1 = Ph) underwent cycloaddn. reaction with MeO2CC.tplbond.CCO2Me or HC.tplbond.CCO2Me yield carbomethoxymethyl-substituted 1,3,4-thiadiazoles (II; R and R1 as in I, R2 = H or CO2Me). In a 2:1 ratio of HC.tplbond.CCO2Me to I, 1,3,4-thiadiazoles with acrylate ester side chains (III) were formed.

IT 74397-07-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 74397-07-2 CAPLUS

CN 1,3,4-Thiadiazole-2-acetic acid, 2,3-dihydro-5-(4-methoxyphenyl)-, methyl ester (CA INDEX NAME)

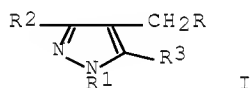


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L24 ANSWER 65 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1979:420496 CAPLUS Full-text
 DOCUMENT NUMBER: 91:20496
 ORIGINAL REFERENCE NO.: 91:3433a,3436a
 TITLE: 4-Pyrazoleacetic acid derivatives
 INVENTOR(S): Rainer, Georg
 PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed. Rep. Ger.
 SOURCE: U.S., 21 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4146721	A	19790327	US 1970-72233	19700914 <--
US 4325962	A	19820420	US 1978-969872	19781215 <--
PRIORITY APPLN. INFO.:			DE 1969-1946370	A 19690912 <--
			US 1970-72233	A3 19700914 <--

OTHER SOURCE(S): MARPAT 91:20496
 GI



AB Pyrazoleacetic acid derivs. I (R = CO2H, alkoxycarbonyl, CONH2; R1, R2 = optionally substituted Ph, furyl, thienyl, naphthyl; R3 = H, Ph, furyl) were prepared Thus, CH2Ac2 was treated with BrCH2CO2Et to give Ac2CHCH2CO2Et which was cyclized with PhNHNH2 to give I (R = CO2Et, R1 = Ph, R2 = R3 = Me), which was hydrolyzed to the acid. I had antiinflammatory and analgesic activity. Thus, I (R = CO2H, R1 = Ph, R2 = R3 = 2-furyl) had antiinflammatory ED50 in the UV erythema test of 1.5 mg/kg orally and then analgesic ED40 50 mg/kg orally.

IT 32710-99-9P

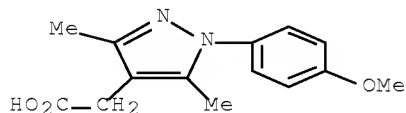
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

(preparation and antiinflammatory activity of)

RN 32710-99-9 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)



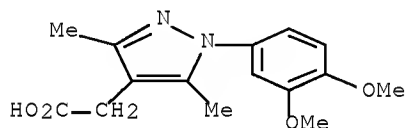
IT 32710-94-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 32710-94-4 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 1-(3,4-dimethoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

L24 ANSWER 66 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:132571 CAPLUS Full-text

DOCUMENT NUMBER: 90:132571

ORIGINAL REFERENCE NO.: 90:20863a,20866a

TITLE: Synthesis and antiinflammatory activity of some 1,2,3- and 1,2,4-triazolepropionic acids

AUTHOR(S): Buckler, Robert T.; Hartzler, Harold E.; Kurchacova, Elva; Nichols, Gust; Phillips, Barrie M.

CORPORATE SOURCE: Miles Lab., Inc., Elkhart, IN, USA

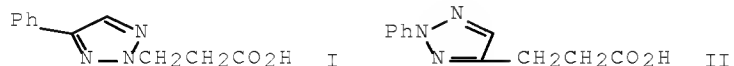
SOURCE: Journal of Medicinal Chemistry (1978), 21(12), 1254-60
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 90:132571

GI



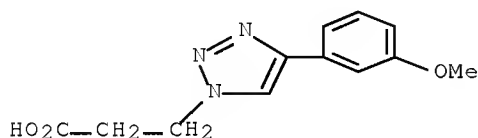
AB Of the title compds. synthesized and evaluated for antiinflammatory activity, 4-phenyl-1,2,3-triazole-2-propionic acid (I) [40139-45-5] and 2-phenyl-1,2,3-triazole-4-propionic acid (II) [6386-27-2] were approx. equal to phenylbutazone. Structure-activity relations are discussed.

IT ~~68979-86-2~~

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and antiinflammatory activity of)

RN 68979-86-2 CAPLUS

CN 1H-1,2,3-Triazole-1-propanoic acid, 4-(3-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L24 ANSWER 67 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1977:600395 CAPLUS Full-text

DOCUMENT NUMBER: 87:200395

ORIGINAL REFERENCE NO.: 87:31715a,31718a

TITLE: Intramolecular catalysis of ester hydrolysis by metal complexed hydroxide ion. Acyl oxygen bond scission in cobalt(2+) and nickel(2+) carboxylic acid complexes

AUTHOR(S): Wells, Michael A.; Bruice, Thomas C.

CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, USA

SOURCE: Journal of the American Chemical Society (1977), 99(16), 5341-56
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

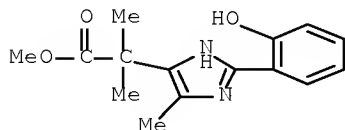
LANGUAGE: English

AB A study of metal ion complexation and the catalysis of hydrolysis of the methyl (Ia), β -chloroethyl (Ib), propargyl (Ic), 2',2',2'-trifluoroethyl (Id) and p-chlorophenyl (Ie) esters of 2-(2'-hydroxyphenyl)-4(5)-methyl-5(4)-(2'',2''-dimethylacetate)imidazole (II) was carried out. In addition metal ion complexation to II was studied (30°, H₂O, 0.2 M NaClO₄). The metal ions employed were Ca²⁺, Mg²⁺, Mn²⁺, Cd²⁺, Zn²⁺, Co²⁺, and Ni²⁺. Of these, complexation of ester with Ca²⁺, Mg²⁺, and Mn²⁺ could not be obsd; Zn²⁺ was found to form dimeric complexes [i.e., (ligand)₂Zn] which were not particularly labile to hydrolysis, and Cd²⁺, though forming a 1:1 complex with ester, did not exhibit a significant catalytic effect upon their hydrolysis. On the other hand, very large rate enhancements over hydroxide ion mediated hydrolysis (103-105) were obtained on formation of the 1:1 complexes of esters with the hydroxo species of Ni²⁺ and Co²⁺. For the 1:1 M²⁺ complexes of esters Ia-d, as well as the parent carboxylic acid (II), the metal is bound to the unprotonated imidazolyl moiety and the dissociated phenolic hydroxyl group.

IT ~~60584-92-1~~

RL: RCT (Reactant); RACT (Reactant or reagent) (hydrolysis of, kinetics of catalytic)

RN 60584-92-1 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)- $\alpha,\alpha,4$ -trimethyl-, methyl ester (CA INDEX NAME)

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L24 ANSWER 68 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:542248 CAPLUS Full-text

DOCUMENT NUMBER: 85:142248

ORIGINAL REFERENCE NO.: 85:22813a,22816a

TITLE: Intramolecular hydrolysis of a methyl ester by substrate bound metal hydroxide

AUTHOR(S): Wells, Michael A.; Rogers, Gary A.; Bruice, Thomas C.

CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, USA

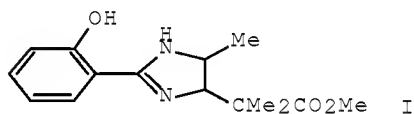
SOURCE: Journal of the American Chemical Society (1976), 98(14), 4336-8

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



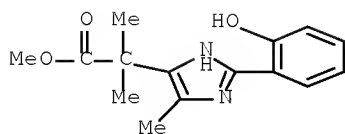
AB The kinetics of the hydrolysis of I in the presence of Co^{2+} and Ni^{2+} were studied at 30° . A stable tetrahedral intermediate occurs along the reaction path.

IT 60584-92-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, mechanism of catalytic)

RN 60584-92-1 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)- $\alpha,\alpha,4$ -trimethyl-, methyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 69 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1975:549114 CAPLUS Full-text

DOCUMENT NUMBER: 83:149114

ORIGINAL REFERENCE NO.: 83:23435a,23438a

TITLE: Methincyanine dyes

INVENTOR(S): Ficken, Geoffrey E.; Ezekiel, Aaron D.; Couch, Christopher J.

PATENT ASSIGNEE(S): Ilford Ltd., UK

SOURCE: Brit., 9 pp.

CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1391792	A	19750423	GB 1972-7594	19730209 <--
PRIORITY APPLN. INFO.:			GB 1972-7594	19730209 <--

GI For diagram(s), see printed CA Issue.

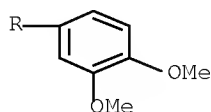
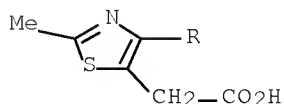
AB Fourteen title dyes I (R = MeO, EtO; R1, R2, R3 = H, OMe; R4 = H, MeO, Cl), blue light sensitizers for photog. emulsions and ZnO electrophotog. coatings, were prepared by condensation of 1,2-dimethylnaphtho[1,2-d]thiazolium salts with 3-methyl-2-(methylthio)benzthiazolium salts. Thus, addition of 80 mg (5-methoxy-1-methylnaphtho[1,2-d]thiazole-2)(5,6-dimethoxy-3-methyl-2-benzothiazole)methincyanine bromide (I; R = R3 = R4 = OMe, R1 = R2 = H) [56496-67-4] per mole of Ag halide to a Ag chlorobromide emulsion containing 31 mole % AgBr extended the sensitivity of the emulsion to 515 nm with a maximum at 490 nm.

IT 43204-94-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring closure of)

RN 43204-94-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(3,4-dimethoxyphenyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 70 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1974:422634 CAPLUS Full-text

DOCUMENT NUMBER: 81:22634

ORIGINAL REFERENCE NO.: 81:3657a,3660a

TITLE: Synthesis and evaluation of a model for the so-called
charge-relay system of the serine esterases

AUTHOR(S): Rogers, Gary A.; Bruice, Thomas C.

CORPORATE SOURCE: Dep. Chem., Univ. California, Santa Barbara, CA, USA

SOURCE: Journal of the American Chemical Society (1974),
96(8), 2473-81

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

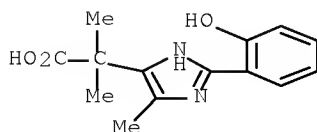
AB The synthesis, acid-base properties, and hydrolytic reactions of model compds. for the Asp-His-Ser triad of the serine esterases are presented. Three phenyl acetate derivs., 2-(2-acetoxyphenyl)-4(5)-methyl-5(4)-(2,2-dimethylacetic acid)imidazolium chloride (I), 2-(2-acetoxyphenyl)-4(5)-methyl-5(4)-(methyl 2,2-dimethylacetate)imidazolium chloride (II), and 2-(2-acetoxy-5-sulfophenyl)-4(5)-methyl-5(4)-(2,2-dimethylacetic acid)imidazolium (III), were hydrolyzed by the same mechanistic pathways previously determined for the esters without the CO₂H function. That is, while both imidazolyl general-acid-and general-base-assisted H₂O attack at the ester bond was observed, no O→N acetyl transfer to the imidazole anion was detected. A 3-fold rate enhancement was found, attributable to the CO₂H group, and associated with the imidazolyl general-base-assisted H₂O attack upon esters I and III. When III was transferred to an aprotic solvent (CH₃CN) containing but 6% H₂O, no enhancement of the catalytic role of either the imidazolyl or the CO₂H group was observed. The acid-base properties of the phenols from the hydrolysis of I, II, and III in both H₂O and aqueous-organic mixed solvents of lower dielec. properties showed that there was no inversion of the CO₂H and imidazolyl base strengths. Even in 96% dioxane, pK_{im}H⁺ » pK_{COOH}. These findings are discussed in light of what has been proposed for the pK_a values of the catalytic triad of amino acid residues at the active site of the serine esterases.

IT 51818-22-5P 51818-24-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

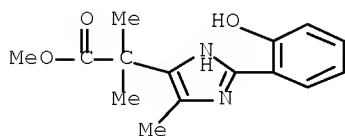
RN 51818-22-5 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)- $\alpha,\alpha,4$ -
trimethyl- (CA INDEX NAME)



RN 51818-24-7 CAPLUS

CN 1H-Imidazole-5-acetic acid, 2-(2-hydroxyphenyl)- $\alpha,\alpha,4$ -trimethyl-, methyl ester, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L24 ANSWER 71 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1974:409814 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 81:9814

ORIGINAL REFERENCE NO.: 81:1577a,1580a

TITLE: Prostaglandin production by experimental tumors and its inhibition by nonsteroidal antiinflammatory drugs

AUTHOR(S): Sykes, Jennifer A. C.; Maddox, Ian S.

CORPORATE SOURCE: Cancer Chemother. Dep., Imp. Cancer Res. Found., London, UK

SOURCE: Polish Journal of Pharmacology and Pharmacy (1974), 26(1-2), 83-91

CODEN: PJPPAA; ISSN: 0301-0244

DOCUMENT TYPE: Journal

LANGUAGE: English

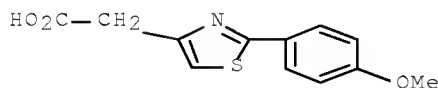
AB Exptl. tumor cells were found to contain 2-20 μ g prostaglandin E2 (I) [363-24-6]/g wet weight tissue, and alterations of cofactor requirements or addition of antiinflammatory drugs, such as indomethacin [53-86-1], both in vitro or in vivo, inhibited prostaglandin formation. Results indicated the prostaglandin synthetase [9055-65-6] system may exist as a variety of isoenzymes.

IT 23353-14-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(prostaglandin formation by neoplasm response to)

RN 23353-14-2 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 72 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1974:120931 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 80:120931

ORIGINAL REFERENCE NO.: 80:19471a,19474a

TITLE: 3,5-Dimethyl-4-pyrrazolylacetic acids

INVENTOR(S): Noguchi, Shunsaku; Kishimoto, Shoji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd.
 SOURCE: Jpn. Tokkyo Koho, 4 pp.
 CODEN: JAXXAD
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48028914	B	19730905	JP 1969-28540	19690411 <--
PRIORITY APPLN. INFO.:			JP 1969-28540	19690411 <--

GI For diagram(s), see printed CA Issue.

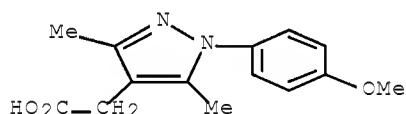
AB Esters Ac2CHCH2CO2R1 (II) (R1 was not defined) were treated with RNHNH2 (R = naphthyl, substituted aryl, aralkyl) to give esters I, which were hydrolyzed to give acids I (R1 = H) (Ia). Ia are analgesics and antiinflammatory agents. Thus, 3.7 g II (R1 = Et), 3.2 g p-MeC6H4-NHNH2.HCl and 1.7 g NaHCO3 were stirred in HOAc at 70° for 4 hr and refluxed with 20% NaOH for 6 hr to give 1.5 g I (R = p-MeC6H4). Similarly prepared were I (R given): 4-chlorophenyl, 4-bromophenyl, m-tolyl, 2-naphthyl, 2-chlorophenyl, o-tolyl, p-methoxybenzyl, p-anisyl.

IT 32710-99-9F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and analgesic and antiinflammatory activity of)

RN 32710-99-9 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
 (1 CITINGS)

L24 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:493446 CAPLUS Full-text

DOCUMENT NUMBER: 79:93446

ORIGINAL REFERENCE NO.: 79:15185a,15188a

TITLE: Trimethinecyanine dyes

INVENTOR(S): Ezekiel, Aaron D.; Ficken, Geoffrey E.

PATENT ASSIGNEE(S): Ilford Ltd.

SOURCE: Brit., 9 pp.

CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1319496	A	19730606	GB 1970-51325	19701028 <--

PRIORITY APPLN. INFO.:

GB 1970-51325

A 19701028 <--

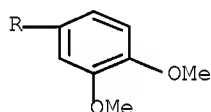
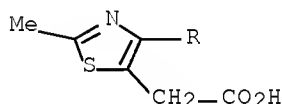
AB Nine trimethinecyanine dyes (I, R, R3 = Me or Et; R1 = H or OMe; R2 = Me, Br, or H; X = Se or S) were prepared as the halides by reaction of the 2-methylnaphtho[1,2-d]thiazolium salts (II) with the benzoselenazoles or benzothiazoles (III), for use as sensitizers for Ag halide photog. emulsions. I [R = R2 = Me, R1 = H, R3 = (CH2)4SO3-, X = Se] was also prepared. Thus, 3-ethyl-5-methyl-2-(thiopropionylmethylene)benzoselenazoline [41934-54-7] was treated with Me2SO4 to give a salt which reacted with 5-methoxy-1,2-dimethylnaphtho[1,2-d]thiazolium p-toluenesulfonate [41934-55-8] followed by NaI to give (5-methoxy-1-methylnaphtho[1,2-d]thiazol-2-yl)(3-ethyl-5-methylbenzoselenazol-2-yl)- β -ethyltrimethinecyanine iodide (I, R = R2 = Me, R1 = H, R3 = Et, X = Se) [41388-09-4]; the dye extended the sensitivity of a silver iodobromide emulsion to 695 nm, with a maximum at 680 nm.

IT 43204-94-0P

RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of)

RN 43204-94-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(3,4-dimethoxyphenyl)-2-methyl- (CA INDEX NAME)



L24 ANSWER 74 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:552050 CAPLUS Full-text

DOCUMENT NUMBER: 77:152050

ORIGINAL REFERENCE NO.: 77:24999a,25002a

TITLE: Syntheses of pyrazolone and pyrazole derivatives. I.
Synthesis of pyrazolone derivatives possessing
functional group at 3-position

AUTHOR(S): Sugiura, Shoji; Kitamikado, Tadashi; Izumi, Kihachiro;
Hori, Mikio; Fujimura, Hajime

CORPORATE SOURCE: Res. Lab., Maruko Seiyaku Co., Ltd., Kasugai, Japan

SOURCE: Yakugaku Zasshi (1972), 92(9), 1082-8

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

GI For diagram(s), see printed CA Issue.

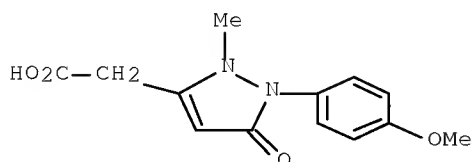
AB Me 1-substituted 5-oxo-3-pyrazolin-3-yl acetates (I) were synthesized by the reaction of Me 3-oxoglutarate with RNHNH2. An attempt was made to introduce a Me group into 2-position of Me 1-phenyl-5-oxo-3-pyrazolin-3-yl acetate but a pyrazole-type compound was also produced besides the pyrazolone. On the basis of this result, 1,2-disubstituted 5-oxo-3-pyrazolin-3-yl acetic acids were synthesized by introduction of the corresponding substituent into 2-position of I. The substituted 5-oxo-3-pyrazolin-3-yl acetic acids were easily decarboxylated into 3-methylpyrazolone compds.

IT 37959-28-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 37959-28-7 CAPLUS

CN 1H-Pyrazole-3-acetic acid, 2,5-dihydro-1-(4-methoxyphenyl)-2-methyl-5-oxo-
(CA INDEX NAME)

L24 ANSWER 75 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:456455 CAPLUS Full-text

DOCUMENT NUMBER: 77:56455

ORIGINAL REFERENCE NO.: 77:9293a,9296a

TITLE: Prostaglandin production by experimental tumors and effects of antiinflammatory compounds

AUTHOR(S): Sykes, J. A. C.; Maddox, I. S.

CORPORATE SOURCE: Cancer Chemother. Dep., Imp. Cancer Res. Fund, London, UK

SOURCE: Nature (London), New Biology (1972), 237(71), 59-61
CODEN: NNBYA7; ISSN: 0369-4887

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Hydroquinone (I) [123-31-9], adrenaline [51-43-4], and 5-hydroxytryptamine [50-67-9] induced synthesis of prostaglandin E2 [363-24-6] and prostaglandin F2 α (II) [551-11-1] in BP8/P1 ascites cells, while histamine [51-45-6] was a poor stimulant of prostaglandin synthesis. The antiinflammatory agents, indomethacin [53-86-1] and fenclozic acid (2-(4-chlorophenyl)-thiazol-4-ylacetic acid) (ICI 54,450) [17969-20-9], and 2-(4-methoxyphenyl)-thiazol-4-ylacetic acid (ICI 54,501) [23353-14-2] inhibited II production by guinea pig lungs.

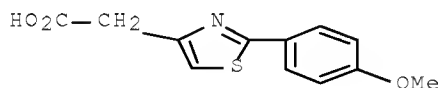
IT 23353-14-2

RL: BIOL (Biological study)

(prostaglandin formation inhibition by, in lungs and neoplasms)

RN 23353-14-2 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L24 ANSWER 76 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:99657 CAPLUS Full-text

DOCUMENT NUMBER: 76:99657

ORIGINAL REFERENCE NO.: 76:16035a,16038a

TITLE: 1-Phenyl-4-pyrazoleacetic acids
 INVENTOR(S): Moreau, Michele; Karadavidoff, Isaac
 PATENT ASSIGNEE(S): Fuveau S. A.
 SOURCE: Ger. Offen., 9 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2123705	A	19711202	DE 1971-2123705	19710513 <--
FR 2088091	A5	19720107	FR 1970-17878	19700515 <--
FR 2088091	B1	19730406		
CH 523253	A	19720531	CH 1971-523253	19710506 <--
BE 766902	A1	19711001	BE 1971-51028	19710510 <--
GB 1301802	A	19730104	GB 1971-15234	19710517 <--
			FR 1970-17878	A 19700515 <--

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

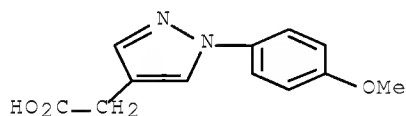
AB The title compds. (I), used as analgesic and antiinflammatory agents, were prepared from RNHNH₂ via the 1-substituted pyrazoles and 1-substituted 4-(chloromethyl)pyrazoles. Thus, 57 g p-ClC₆H₄NHNH₂ and 18.5 g epichlorohydrin in EtOH was refluxed and then heated to 180-90° to give 57 g 1-(p-chlorophenyl)pyrazole. This (13.4 g) was refluxed 1.5 hr with trioxymethylene, ZnCl₂, H₂SO₄, heptane, and HCl(g) to give 14.40 g 1-(p-chlorophenyl)-4-(chloromethyl)pyrazole. This was refluxed 4 hr with NaCN, Me₂CO, and H₂O. The reaction product was refluxed with KOH, EtOH, and H₂O to give 75% I (R = p-ClC₆H₄) (II). Similarly prepared were I (R given): Ph, o-FC₆H₄, p-MeOC₆H₄, m-F₃CC₆H₄, 2,3-Me₂C₆H₃. LD₅₀ of II was 1.05 g/kg in mice. The antiinflammatory effect of 90 mg II was 65.2% 2 hr after oral administration in rats. The analgesic effect of 90 mg II was 21% in mice.

IT ~~35715-79-8F~~

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 35715-79-8 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)- (CA INDEX NAME)



L24 ANSWER 77 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:449072 CAPLUS Full-text

DOCUMENT NUMBER: 75:49072

ORIGINAL REFERENCE NO.: 75:7749a, 7752a

TITLE: Antiphlogistic, analgesic, and antipyretic substituted pyrazole-4-acetic acid derivatives

INVENTOR(S): Rainer, Georg; Riedel, Richard; Klemm, Kurt

PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H.

SOURCE: Ger. Offen., 44 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1946370	A	19710422	DE 1969-1946370	19690912 <--
DE 1946370	B2	19781109		
DE 1946370	C3	19790726		
CH 583707	A5	19770114	CH 1973-3460	19700828 <--
CH 587251	A5	19770429	CH 1970-12904	19700828 <--
GB 1307005	A	19730214	GB 1970-43147	19700909 <--
NL 7013384	A	19710316	NL 1970-13384	19700910 <--
CA 959838	A1	19741224	CA 1970-92873	19700910 <--
SE 385212	B	19760614	SE 1970-12345	19700910 <--
ZA 7006215	A	19710527	ZA 1970-6215	19700911 <--
FR 2070689	A5	19710917	FR 1970-33102	19700911 <--
FR 2070689	A1	19710917		
AT 304534	B	19730110	AT 1970-8261	19700911 <--
AT 313274	B	19740211	AT 1972-1884	19700911 <--
JP 51033906	B	19760922	JP 1970-79421	19700911 <--
JP 53039435	B	19781021	JP 1974-62988	19740605 <--
US 4325962	A	19820420	US 1978-969872	19781215 <--
PRIORITY APPLN. INFO.:			DE 1969-1946370	A 19690912 <--
			US 1970-72233	A3 19700914 <--

GI For diagram(s), see printed CA Issue.

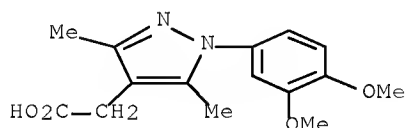
AB The title compds. (I, R, R1, R2 = H, alkyl, allyl, cycloalkyl, and variously substituted phenyl and benzyl, R3 = H, Me) were prepared by the reaction of hydrazines RNHNH2 with dicarbonyl compds. R1CO(R2CO)CHCHR3CO2H (II) or by hydrolysis of esters, amides, nitriles, etc., of I. II were prepared by base-catalyzed condensation of 1,3-diketones with alkylbromoacetates. Forty examples were given and antiphlogistic and analgesic data reported.

IT ~~32710-94-4P~~ ~~32710-99-9P~~

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

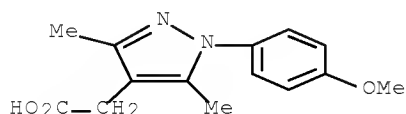
RN 32710-94-4 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 1-(3,4-dimethoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)



RN 32710-99-9 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 1-(4-methoxyphenyl)-3,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L24 ANSWER 78 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1971:434719 CAPLUS Full-text
DOCUMENT NUMBER: 75:34719
ORIGINAL REFERENCE NO.: 75:5489a,5492a
TITLE: Electron impact-induced fragmentation of
2-arylthiazoles
AUTHOR(S): Rix, M. J.; Webster, B. R.
CORPORATE SOURCE: Pharm Div., Imp. Chem. Ind., Ltd., Alderley
Park/Macclesfield/Cheshire, UK
SOURCE: Organic Mass Spectrometry (1971), 5(3), 311-15
CODEN: ORMSBG; ISSN: 0030-493X
DOCUMENT TYPE: Journal
LANGUAGE: English

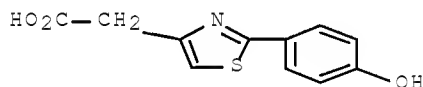
AB The mass spectrometric fragmentation of 2-arylthiazoles centers, like that of simple thiazoles, around the cleavage of the 1,2 and 3,4 bonds of the thiazole ring. One characteristic species does arise from the fission of the 1,5 and 2,3 bonds, viz. a thiobenzoyl ion. The fragmentations of some substituents at the 4 and 5 positions of the thiazole ring, prior to ring cleavage, are also described.

IT 23551-34-0

RL: PRP (Properties)
(mass spectrum of)

RN 23551-34-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(5 CITINGS)

L24 ANSWER 79 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:109596 CAPLUS Full-text
DOCUMENT NUMBER: 72:109596
ORIGINAL REFERENCE NO.: 72:19793a,19796a
TITLE: Metabolism of carbon-14-labeled ICI 54,450 (Myalex) in various species-an in vivo NIH shift [hydroxylation-induced intramolecular migration]
AUTHOR(S): Foulkes, David M.
CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind., Ltd., Macclesfield, UK
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1970), 172(1), 449-55
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English

AB ICI 54,450 [[2-(4-chlorophenyl)-4-thiazolyl] acetic acid], a new nonsteroidal antiinflammatory agent undergoes metabolism in rats and dogs involving NIH shift migration of the chloro substituent. In monkeys no metabolites of ICI 54,450 other than urinary acyl glucuronides were detected. Serum of all

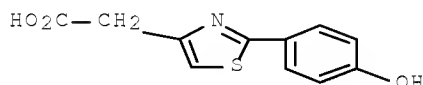
species contained solely unchanged ICI 54,450. The metabolic hydroxylation of ICI 54,450 was reproduced in part by treatment with pertri-fluoracetic acid.

IT 23551-34-0

RL: BIOL (Biological study)
(myalex metabolite)

RN 23551-34-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



L24 ANSWER 80 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1969:430469 CAPLUS Full-text

DOCUMENT NUMBER: 71:30469

ORIGINAL REFERENCE NO.: 71:5625a,5628a

TITLE: Thiazole compositions

INVENTOR(S): Cavalla, John F.; Brown, Kevan

PATENT ASSIGNEE(S): John Wyeth and Brother Ltd.

SOURCE: Brit., 7 pp.

CODEN: BRXXAA

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1137529		19681227	GB 1966-51824	19661118 <--
DE 1770331			DE	
FR 1587054			FR	
FR 8062			FR	
US 3532705		19701006	US	19671102 <--
US 3536727		19701027	US	19671102 <--
ZA 6802276		19680000	ZA	<--

GI For diagram(s), see printed CA Issue.

AB The title compns. which may possess antiinflammatory or antibacterial activities, were prepared Thus, 1.52 g. CH₂ClCOCH₂Cl (I) and p-MeOC₆H₄CSNH₂ in 10 ml. Me₂CO allowed to react overnight at room temperature, the mixture filtered, 2.83 g. 4-(chloromethyl)-4-hydroxy-2-(p-methoxyphenyl)-Δ²-thiazole-HCl formed, refluxed 4 hrs. in a solution of 2 ml. HCl and 50 ml. Me₂CO, the mixture cooled and filtered, the crystals added to a Na₂CO₃ solution, the solution extracted with Et₂O, and the extract washed, dried, and evaporated gave 1.9 g. 4-chloromethyl-2-(p-methoxyphenyl)thiazole (II), an oil. II heated 4 hrs. on a steam bath with 1 g. KCN in 30 ml. EtOH and 10 ml. H₂O, the EtOH evaporated, H₂O added, and the mixture worked up gave 4-cyanomethyl-2-(p-methoxyphenyl)thiazole (III), m. 73° (aqueous EtOH). III refluxed 1.5 hrs. in 10 ml. 6N HCl, the solution cooled, adjusted to pH 4, and extracted with Et₂O, and the extract worked up gave 0.74 g. 2-(p-methoxyphenyl)thiazol-4-ylacetic acid, m. 109-10° (C₆H₆-hexane). I (11.5 g.) and 17 g. 1-thiocarbamoylnaphthalene dissolved sep. in Me₂CO, the solns. mixed and kept overnight at room temperature, the 4-chloromethyl-4-hydroxy-2-(1-naphthyl)-Δ²-thiazoline-HCl filtered, washed with Me₂CO, and dried, the product refluxed 2 hrs. in 500 ml. MeOH, solvent removed, aqueous NaHCO₃ added, and the

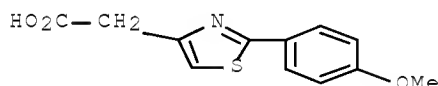
precipitate filtered, washed, and dried gave 20.4 g. 2-(1-naphthyl)-4-chloromethylthiazole. The above treated with 10.0 g. KCN and the nitrile (19.7 g.) obtained treated with 6N HCl gave 12.4 g. 2-(1-naphthyl)thiazol-4-ylacetic acid, m. 153-4° (C6H6-petroleum ether). Similarly prepared were: 2-(o-tolyl)-thiazol-4-ylacetic acid, m. 119-20°; 2-(m-tolyl)thiazol-4-ylacetic acid, m. 110-11°; 2-(p-tolyl)thiazol-4-ylacetic acid, m. 139-40°; 2-(2-naphthyl)thiazol-4-ylacetic acid, m. 140-1°. 2-Phenylthiazol-4-ylacetoneitrile in 200 ml. 6N HCl refluxed 1.5 hrs. and cooled, the crystals filtered, washed, dissolved in NaOH, treated with C, and filtered, the filtrate acidified with HCl, the oil extracted with Et2O, and the extract dried and evaporated gave 25.7 g. 2-phenylthiazol-4-ylacetic acid (IV), m. 90-1° (C6H6-petroleum ether). IV (4.4 g.) treated with 2.8 ml. Et3N in 30 ml. HCONMe2, 2.0 ml. AcOCH2Br added, the product poured into H2O and extracted with Et2O, and the extract worked up gave 4.4 g. 2-phenylthiazol-4-ylacetic acid acetoxymethyl ester, b0.1 150-60°.

IT 23353-14-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23353-14-2 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-methoxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 81 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1969:95359 CAPLUS Full-text

DOCUMENT NUMBER: 70:95359

ORIGINAL REFERENCE NO.: 70:17815a,17818a

TITLE: Metabolism of thiazoleacetic acid derivatives and the
NIH shift

AUTHOR(S): Foulkes, D. M.

CORPORATE SOURCE: ICI Pharm., Macclesfield, UK

SOURCE: Nature (London, United Kingdom) (1969), 221(5180), 582
CODEN: NATUAS; ISSN: 0028-0836

DOCUMENT TYPE: Journal

LANGUAGE: English

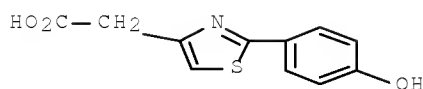
AB When 50 mg. ICI 54450 (2-(p-chlorophenyl)-4-thiazoleacetic acid) (I)/kg. was given orally to rats, 2 fluorescent metabolites were produced. The major and minor metabolites were identified as 2-(p-hydroxyphenyl)-4-thiazoleacetic acid and 2-(3-chloro-4-hydroxyphenyl)-4-thiazoleacetic acid (II), resp., II being formed by the NIH shift mechanism (J. Daly, et al., 1966). If ICI 55100 (2-(m-chlorophenyl)-4-thiazoleacetic acid) was administered to rats, a single metabolite (III) was produced, supporting the finding (J. Daly, et al., 1966) that the NIH shift is restricted to para hydroxylation. I was less efficiently metabolized in dogs than in rats, but yielded identical metabolites. No metabolites were detected in monkey or man.

IT 23551-34-0

RL: BIOL (Biological study)
(as (chlorophenyl)thiazoleacetic acid metabolite)

RN 23551-34-0 CAPLUS

CN 4-Thiazoleacetic acid, 2-(4-hydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 82 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1967:55844 CAPLUS Full-text

DOCUMENT NUMBER: 66:55844

ORIGINAL REFERENCE NO.: 66:10583a,10586a

TITLE: The synthesis of dicarboxylic acids containing
oxadiazole rings and polyamides derived from them

AUTHOR(S): Saotome, Kazuo; Sato, Kenichiro

CORPORATE SOURCE: Asahi Chem. Ind. Co., Tokyo, Japan

SOURCE: Makromolekulare Chemie (1967), 100, 91-9

CODEN: MACEAK; ISSN: 0025-116X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

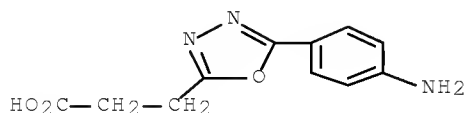
AB Orthoesters, prepared nitriles by the McElvain method, are treated with aromatic acid hydrazides to give 1,3,4-oxadiazole esters, which are hydrolyzed to form dicarboxylic acids. Treatment of the acids with p-xylenediamine (I) produces nylon salts, which are polycondensed to polyamides. Thus, 4.9 g. EtO2C(CH2)3C(OEt)3, prepared from Et γ -cyanobutyrate, and 4.1 g. Me terephthalate monohydrazide were heated (130-50°) with 1-2 drops AcOH to form a homogeneous mixture. Cooling and recrystn. yielded 84% 1,3,4-oxadiazole ester, m. 108.5-9.0°, which was hydrolyzed overnight with excess NaOH in EtOH, and neutralized with dilute HCl to give a dicarboxylic acid (II), n = 3, R = CO2H (IIa), m. 218-19°. The nylon salt of I and IIa were polycondensed (30 min., 280°) to give a polyamide, m. >350°, viscosity 0.11 (0.5% in m-cresol, 25°) with amide linkage ir lines at 1650 and 1550 cm.⁻¹ Other dicarboxylic acids prepared by this method are: II (n, R, m.p. given): 4, CO2H, 224-5°; 3, 4, 0, 178-179°; 4, 4, 1, 116-117°; (IV) (n and m.p. given): 3, 194-195°; 4, 224-225°. High-mol.-weight copolyamides were also prepared from these nylon salts and aliphatic nylon salts, such as hexamethylenediammonium sebacate and hexamethylenediammonium decamethylenedicarboxylate.

IT 15828-35-0F

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, and polyamides therefrom)

RN 15828-35-0 CAPLUS

CN 1,3,4-Oxadiazole-2-propanoic acid, 5-(4-aminophenyl)- (CA INDEX NAME)



L24 ANSWER 83 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

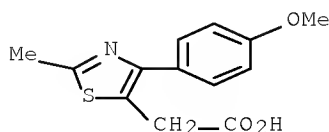
ACCESSION NUMBER: 1949:46496 CAPLUS Full-text
 DOCUMENT NUMBER: 43:46496
 ORIGINAL REFERENCE NO.: 43:8401d-h,8402a
 TITLE: 4-Aryl-5-thiazoleacetic acids and esters
 INVENTOR(S): Knott, Edward B.
 PATENT ASSIGNEE(S): Kodak Ltd.
 SOURCE: Addn. to C.A. 43, 5048d
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 593024		19471007	GB 1944-9516	19440518 <--

AB Addnl. compds. prepared were 4-phenyl-5-thiazoleacetic acid, m. 154-6°; the following derivs. of 2-methyl-5-thiazoleacetic acid: 4-phenyl (I), m. 202-3°, 4-p-tolyl, m. 200-2°, 4-(p-ethylphenyl), m. 155°, 4-(p-isopropylphenyl), m. 173-4°, 4-(2,4-xylyl), m. 199-200°, 4-(p-methoxyphenyl) (two forms, one m. 189-90°, the other m. 177-9°), 4-(p-ethoxyphenyl) (two forms, one m. 188-90°, the other m. 169-90°), 4-(p-chlorophenyl), m. 200-4°, 4-(2-thienyl), m. 158.9°, and 4-(2-naphthyl), m. 226-9°; α -(4-phenyl-2-methyl-5-thiazolyl)propionic acid, m. 172-3°; Me ester of I, m. 132-3°; the following derivs. of 2-amino-5-thiazoleacetic acid: 4-phenyl (II), m. 230-1°, 4-p-tolyl, m. 224° (decomposition), 4-(2-thienyl), m. 202-3°, 4-(1-naphthyl), no m.p. given, and 4-(2-naphthyl), m. 255-6°; α -(2-amino-4-phenyl-5-thiazolyl)propionic acid, m. 240°; Me ester of II, m. 233°; 2-methylmercapto-4-phenyl-5-thiazoleacetic acid, m. 145°, monohydrate, m. 116°; 2-methylmercapto-4-p-tolyl-5-thiazoleacetic acid, m. 176°; 2-methylmercapto-4-(1-naphthyl)-5-thiazoleacetic acid, m. 125°; 2-methylmercapto-4-(2-naphthyl)-5-thiazoleacetic acid, m. 154°; and 2-amino-4-phenyl-5-selenazoleacetic acid, m. 253° with decomposition beginning at 196°. The following β -bromo- β -aroylpropionic acids used as starting materials for the above compds. were also prepared: β -(p-methylbenzoyl), m. 122-4°, β -(p-ethylbenzoyl) pale yellow oil, β -(p-isopropylbenzoyl), m. 73-5°, β -(2,4-dimethylbenzoyl), m. 98.5°, β -(3,4-dimethylbenzoyl), m. 99°, β -(p-ethoxybenzoyl), m. 130°, β -(p-chlorobenzoyl), m. 115-16°, β -1-naphthoyl, m. 172-3°, β -2-naphthoyl, m. 133-5°, and β -2-thenoyl, m. 127-8°. The preparation is given of β -1 (and 2)-naphthoylpropionic acid, β -2-thenoylpropionic acid, m. 116.5-19.5°, p-benzoylisobutyric acid, and β -bromo- β -benzoylisobutyric acid.

IT 553629-28-0, 5-Thiazoleacetic acid,
 4-(p-methoxyphenyl)-2-methyl-
 (isomers)

RN 553629-28-0 CAPLUS
 CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



L24 ANSWER 84 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1947:32760 CAPLUS Full-text

DOCUMENT NUMBER: 41:32760

ORIGINAL REFERENCE NO.: 41:6582i,6583a-d

TITLE: Azoles

INVENTOR(S): Knott, Edward B.

PATENT ASSIGNEE(S): Eastman Kodak Co.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2423709		19470708	US	<--

GI For diagram(s), see printed CA Issue.

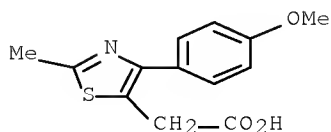
AB Azoles of the formula (where R represents an aryl or 2-thienyl group, R' and R'' represent H, alkyl, or aryl, and R''' represents H, alkyl, mercapto, alkylmercapto, aralkylmercapto, or amino groups when X is S, and alkyl or amino groups when X is Se) are produced by the reaction of $\text{HXC}(:\text{NH})\text{R}'''$ with $\text{RCOCHBrCHR}'\text{CO}_2\text{R}''$. Examples of compds. prepared, followed by their m.ps. are: 2-methyl-5-thiazoleacetic acids: 4-Ph 200-2°; 4-(4-ethylphenyl) 144°; 4-(4-isopropylphenyl), 173-4°; 4-(2,4-dimethylphenyl), 199-200°; 4-(4-methoxyphenyl), 189-90°; 4-(4-ethoxyphenyl), 188-90°; 4-(4-chlorophenyl) 200-4°; 4-(2-thienyl), 158-9°; 4-(1-naphthyl), 212-13°; 4-(2-naphthyl), 226-9°. 4-Phenyl-5-thiazoleacetic acids: 2-methylmercapto, 145°; 2-ethylmercapto, 116°. 2-Methylmercapto-5-thiazoleacetic acids: 4-(4-methylphenyl), 176°; 4-(1-naphthyl), 125°; 4-(2-naphthyl), 154°. 2-Amino-5-thiazoleacetic acids: 4-(2-thienyl), 202-3°; 4-(1-naphthyl), solid; 4-(2-naphthyl), 255-6°; 4-phenyl (Me ester), 230°. 5-Thiazolepropionic acids: 4-phenyl-2-methyl, 172-3°; 2-amino-4-phenyl. 2-Amino-4-phenylselenazole m. 253°. New γ -bromo- γ -acylpropionic acid intermediates prepared are: 4-methylbenzoyl, 122-4°; 4-ethylbenzoyl, oil; 4-isopropylbenzoyl, 73-5°; 2,4-dimethylbenzoyl, 98.5°; 3,4-dimethylbenzoyl, 99°; 4-ethoxybenzoyl, 130°; 4-chlorobenzoyl, 115-16°; 1-naphthoyl, 172-3°; 2-naphthoyl, 133-5°; 2-thenoyl, 127-8°; benzoyl (Me ester), b17 180°. γ -2-Thenoylpropionic acid m. 116.5-19.5°.

IT 553629-28-0P, 5-Thiazoleacetic acid, 4-(p-methoxyphenyl)-2-methyl-

RL: PREP (Preparation)
(preparation of)

RN 553629-28-0 CAPLUS

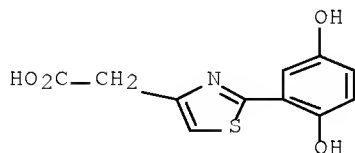
CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L24 ANSWER 85 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1947:20626 CAPLUS Full-text
 DOCUMENT NUMBER: 41:20626
 ORIGINAL REFERENCE NO.: 41:4130b-f
 TITLE: Antibacterial compounds. III. The preparation and activity of certain heterocyclic derivatives of hydroquinone
 AUTHOR(S): Seebeck, E.
 CORPORATE SOURCE: Lab. Sandoz, Basel, Switz.
 SOURCE: Helvetica Chimica Acta (1947), 30, 149-52
 CODEN: HCACAV; ISSN: 0018-019X
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI For diagram(s), see printed CA Issue.
 AB 2,5-(MeO₂CO)₂C₆H₃COC₂H₅ (8 g.) and 8 g. NH₂CSNHNH₂ (I) kept at 110° 10 min., then dissolved in 150 cc. MeOH, filtered from unreacted I, and the crude product treated with Ac₂O gave 1 g. 2-[2,5-bis(carbomethoxyoxy)-phenyl]-4-acetyl-5-imino-Δ²-1,3,4- thiadiazoline (II), m. 242°. II (1 g.) in 20 cc. EtOH and 20 cc. N NaOH (20°, H atmospheric), neutralized with HCl, gave on centrifuging 0.7 g. of the (dihydroxyphenyl) compound (III), m. 330° (decomposition). III (0.6 g.), heated 8 hrs. with 25 cc. N HCl (steam bath) gave 5-(2,5-dihydroxyphenyl)-2-amino-1,3,4-thiadiazole, m. 265°. 2,5-(HO)₂C₆H₃CN (IV), m. 165-7°, was prepared from o-HOC₆H₄CN and K₂S₂O₈ (see preceding abstract). IV (0.8 g.) with alc. NH₃ and H₂S in a sealed tube at 100° gave 0.45 g. 2,5-(HO)₂C₆H₃CSNH₂ (V), m. 143.5°. V (0.51 g.) and 0.7 cc. BrCH₂COCH₂CO₂Et gave on hydrolysis 2-(2,5-dihydroxyphenyl)-4-thiazoleacetic acid, m. 205-8° (not pure); Et ester m. 134-6°. 2,3-HO(CH₂:CHCH₂)C₆H₃CN, m. 35-7°, b₁₁ 138-46° (prepared from the corresponding oxime and Ac₂O), with K₂S₂O₈ gave 2,5,3-(HO)₂(CH₂:CHCH₂)C₆H₂CN (VI), m. 65-7°. VI with H₂S and NH₃ gave the thioamide, m. 120-35°, which with BrCH₂COCH₂CO₂Et gave 2-(2,5-dihydroxy-3-allylphenyl)-4- thiazoleacetic acid, m. 170-2°; Et ester m. 107-9°. Cysteine (VII) (0.754 g.) and 0.75 g. 2,5-(HO)₂C₆H₃CHO gave, on standing, 0.71 g. 2-(2,5-dihydroxyphenyl)-4-thiazolidinecarboxylic acid, m. 178-80°. VII and 3-allylgentisaldehyde gave similarly 2-(2,5-dihydroxy-3-allylphenyl)-4-thiazolidinecarboxylic acid, m. 156-7°. The activity of the heterocyclic derivs. of gentisyl alc. against staphylococci was of the same magnitude as that of the parent compound
 IT 858486-06-3F, 4-Thiazoleacetic acid, 2-(2,5-dihydroxyphenyl)-
 RL: PREP (Preparation)
 (preparation of)
 RN 858486-06-3 CAPLUS
 CN 4-Thiazoleacetic acid, 2-(2,5-dihydroxyphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)

L24 ANSWER 86 OF 87 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1945:29915 CAPLUS Full-text
 DOCUMENT NUMBER: 39:29915

ORIGINAL REFERENCE NO.: 39:4869h-i, 4870a-i, 4871a-e
 TITLE: Polycyclic thiazoles
 AUTHOR(S): Knott, Edward B.
 SOURCE: Journal of the Chemical Society (1945) 455-60
 CODEN: JCSOA9; ISSN: 0368-1769
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 OTHER SOURCE(S): CASREACT 39:29915

AB A new method consists in first forming the thiazole ring by a normal Hantzsch condensation, followed by an intramol. cyclization to a condensed system, giving good yields of a variety of polycyclic thiazoles containing a HO group in the carbocyclic ring which is fused to the thiazole ring. β -Aroyl- β -bromopropionic acids were prepared from 250 g. of the $\text{ArCOCH}_2\text{CH}_2\text{CO}_2\text{H}$ in 2 l. hot CHCl_3 by adding 5 cc. Br, heating until the Br was absorbed, and then adding the remainder of the Br (1 mol in all), which is readily absorbed without heating; 4-methylbenzoyl, m. 122-4°; 4-ethylbenzoyl, pale yellow oil; 4-isopropylbenzoyl, m. 73-5°; 2,4-dimethylbenzoyl, m. 98.5°; 4-chlorobenzoyl, m. 115-16°; 4-ethoxybenzoyl, m. 130°; 1-naphthoyl, m. 172-3°; 2-isomer, m. 133-5°; 2-thenoyl, m. 127-8°. β -Bromo- β -benzoylisobutyric acid, m. 163°. The acid (1 mol), 1 mol of $\text{CS}(\text{NH}_2)_2$, and 500 cc. iso-PrOH were boiled 15 min., 0.5 mol anhydrous Na_2CO_3 added, and the heating continued until evolution of CO_2 ceased; the base was precipitated with H_2O and crystallized from EtOH or aqueous EtOH; the yield was 90-8%. The following 2-amino-5-thiazoleacetic acids were prepared in this manner: 4-Ph, m. 230-1° (Me ester, pale yellow, m. 167-8°); 4-(4-methylphenyl), m. 224°; 4-(1-naphthyl), yellow, m. 258-9°; 4-(2-naphthyl), m. 255-6°; 4-(2-thienyl), m. 202-3°. α -(2-Amino-4-phenyl-5-thiazolyl)propionic acid, m. 240°, 83% yield. The Br acid (1 mol), 1 mol MeCSNH_2 , and 500 cc. iso-PrOH were heated to 50° (temperature kept below 65° by cooling) and after 1-2 h. (temperature of 40°) 0.5 mol of anhydrous Na_2CO_3 added and the mixture allowed to stand 1-2 days, giving the following 2-methyl-5-thiazoleacetic acids: 4-Ph, m. 202-3°, 93.5%; 4-(4-methylphenyl), m. 200-2°, 90%; 4-(4-ethylphenyl), cream, m. 155°, 60%; 4-(4-isopropylphenyl), cream, m. 173-4°, 74%; 4-(2,4-dimethylphenyl), m. 189-90°, 86%; 4-(4-chlorophenyl), m. 200-4°, 91%; 4-(1-naphthyl), m. 212-13°, 43%; 4-(2-naphthyl), m. 226-9°, 68%; 4-(2-thienyl), prepared without heating, m. 158-9°; 4-(4-methoxyphenyl), m. 189-90° (45% as the 1st crop), shows weak white fluorescence in UV light, and m. 171-9° (43% as the 2nd crop), shows blue-green fluorescence, reverts to the higher-melting form on recrystn.; 4-(4-ethoxyphenyl), m. 188-90° (12%), m. 169-90° (73% as 2nd crop), shows bright green fluorescence, reverting to the 1st form on recrystn. α -(4-Phenyl-2-methyl-5-thiazolyl)propionic acid, m. 172-3°, 10% yield. Condensation of 1 mol of the Br acid and 1 mol of an alkyl dithiocarbamate by shaking at room temperature in 1 l. iso-PrOH until solution results and allowing to stand 48 h. gives 5-thiazoleacetic acids as follows: 2-methylmercapto-4-Ph, m. 145°, 39%; 2-ethylmercapto-4-Ph, m. 116°, 23%; 2-methylmercapto-4-(4-methylphenyl), m. 176°, 38%; 2-methylmercapto-4-(2-naphthyl), m. 154°, 42%; the 1-naphthyl isomer, m. 125°, was prepared by heating the reactants to 60°, adding 0.5 mol of anhydrous Na_2CO_3 , and allowing the mixture to stand 48 h. The thiazoleacetic acids (10 g.), 2.5 g. anhydrous AcONa , and 40 cc. Ac_2O were refluxed; the 4-Ph derivs. required 3 h., the 4- C_{10}H_7 analogs 5-30 min. depending on the substituent, the 4-thienyl analog 30 min.; the reaction mixture was diluted with 10 cc. AcOH and poured into 250 cc. H_2O ; the yields varied 30 to 90%; the acetates were hydrolyzed with excess cold aqueous 2 N NaOH in hot or cold EtOH. The ethers were prepared from the phenols and alkyl sulfates in alkali at 60°. Naphtha-1',2',4,5-thiazoles: 2-acetamido-4'-acetoxy, pale yellow, m. 286°; 2-acetamido-4'-acetoxy-6'-Me, cream, m. 286°; 4'-hydroxy-2-Me, yellow, m. 252° (sublimes) (acetate, cream, m. 140-1°); 4'-

methoxy-2-Me, yellow, m. 100°; 4'-ethoxy-2-Me, yellow, m. 147-8°; 4'-benzoyloxy-2-Me, m. 169°; 4'-hydroxy-2,6'-dimethyl, pale yellow, m. 250° (decomposition) (acetate, m. 162°); Me ether, greenish, m. 103-4°; Et ether, pale yellow, (m. 121-2°); 4'-benzoyloxy-2,6'-dimethyl, m. 162-3°; 4-hydroxy-2-methyl-6'-Et, m. 248° (decomposition) (acetate, m. 122.5°); Me ether, m. 65°; Et ether, yellow, (m. 87-8°); 4'-hydroxy-2-methyl-6'-iso-Pr, yellow, m. 231° (acetate, m. 101-1.5°; Me ether, pale yellow, m. 63-4°; Et ether, yellow, m. 91°); 4'-hydroxy-2,6',8'-trimethyl, yellow, m. 198° (acetate, m. 181°; Me ether, m. 91-2°; Et ether, pale yellow, m. 131°); 4'-hydroxy-6'-methoxy-2-Me, m. 257° (decomposition) (acetate, m. 161-2°; Me ether, m. 74°; Et ether, pale yellow, m. 115-16°); 4'-hydroxy-6'-ethoxy-2-Me, cream, m. 243° (decomposition) (acetate, yellow, m. 160-2°; Me ether, pale yellow, m. 120-1°; Et ether, yellow, m. 145-6°); 6'-chloro-4'-hydroxy-2-Me, m. 280° (decomposition) (acetate, m. 209-10°; Me ether, pale yellow, m. 134.5°; Et ether, yellow, m. 183.5°); 4'-hydroxy-2-methylmercapto, pale yellow, m. 255° (acetate, pale yellow, m. 143°; Me ether, m. 110-11°); 4'-hydroxy-2-ethylmercapto, m. 206° (acetate, m. 101-3°); 4'-hydroxy-2-methylmercapto-6'-Me, yellow, m. 213° (sublimes) (acetate, yellow, m. 155-6°; Me ether, m. 109-10°); 4'-hydroxy-2,3'-dimethyl, m. 300° (acetate, m. 171-2°; Me ether, pale yellow, m. 91-2°; Et ether, pale yellow, m. 100-1°); 2-acetamido-6'-acetoxy-3'-Me, m. 300°.

Phenanthra-4',3',4,5-thiazoles: 2-acetamido-1'-acetoxy, m. 279° 1'-hydroxy-2-Me, pale yellow, m. 260° (sublimes) (acetate, m. 167-9°; Me ether, pale yellow, m. 136-7°; Et ether, pale yellow, m. 144-5°); 1'-hydroxy-2-methylmercapto, yellow, m. 162-4° (acetate, pale yellow, m. 128-9°). Phenanthra-1',2',4,5-thiazoles: 2-acetamido-4'-acetoxy, m. 290°; 4'-hydroxy-2-Me, yellow, m. 278-80° (sublimes) (acetate, m. 159.5°; Me ether, yellow, m. 173°; Et ether, yellow, m. 177.5°); 4'-hydroxy-2-methylmercapto, yellow, m. 240° (sublimes) (acetate, m. 152°). Thianaphtheno[7',6',4,5]thiazoles: 2-acetamido-4'-acetoxy, cream, m. 285-9°; 4'-hydroxy-2-Me, m. 268° (sublimes) (acetate, pink, m. 130-30.5°; Me ether, m. 127.5-8°). A byproduct from the cyclization of 4-phenyl-2-methyl-5-thiazoleacetic acid is about 20% of 4'-acetoxy-3'-acetyl-2-methylnaphtha-1',2',4,5-thiazole, m. 205°; hydrolysis with 2 N NaOH in hot EtOH gives 4'-hydroxy-3'-acetyl-2-methylnaphtha-1',2',4,5-thiazole, yellow, m. 126-7°; 2,4-dinitrophenylhydrazones, scarlet, m. 300°; hydrazone, orange, m. 173°; Me ether, pale yellow, m. 113-14°; this was prepared also from 4'-hydroxy-2-methyl-β-naphthathiazole, AcCl or Ac2O, and AlCl3 in PhNO2. 4'-Hydroxy-3'-acetyl-2,6'-dimethylnaphtha-1',2',4,5-thiazole, yellow, m. 165-6°; acetate, cream, m. 216° (2,4-dinitrophenylhydrazones, orange, m. 306°). 4'-Hydroxy-3'-chloroacetyl-2,6'-dimethylnaphtha-1',2',4,5-thiazole could not be crystallized and was analyzed as the acetate, m. 170-1°; with hot 2 N Na2CO3 it yields 3'-keto-2-methylbenzocoumarano-5',4',4,5-thiazole, pale yellow, m. 233°; with 2-methylmercaptoquinoline-MeI in EtOH containing 2 drops of Et3N (boiling 15 min.) this yields 2-(1-methyl-1,2-dihydroquinolyldiene)-2'-(3'-keto-2-methylbenzocoumarano-5',4',4,5-thiazole), red, m. 300°; the dye sensitizes a AgCl photog. emulsion at 4850 and 5200 Å.

IT 553629-28-0P, 5-Thiazoleacetic acid,

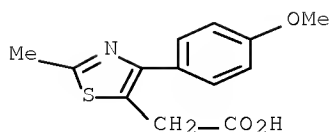
4-(p-methoxyphenyl)-2-methyl-

RL: PREP (Preparation)

(preparation of)

RN 553629-28-0 CAPLUS

CN 5-Thiazoleacetic acid, 4-(4-methoxyphenyl)-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
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ACCESSION NUMBER: 1930:53162 CAPLUS Full-text

DOCUMENT NUMBER: 24:53162

ORIGINAL REFERENCE NO.: 24:5751f-i

TITLE: Synthesis of thiazole amines possessing
pharmacological interest. V, VI

AUTHOR(S): Hinegardner, W. S.; Johnson, T. B.

SOURCE: Journal of the American Chemical Society (1930), 52,
4139-41, 4141-4

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

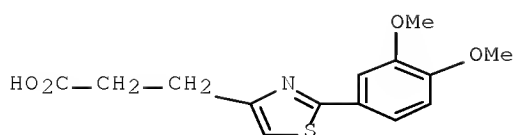
LANGUAGE: Unavailable

AB cf. C. A. 24, 5038. A series of intermediate compds. prepared in the development of a practical synthesis of 2-p-hydroxyphenylthiazole-4-ethylamine (I). (ClCH₂)₂CO and thioanisamide give 72% of 2-p-methoxyphenylthiazole-4-chloromethyl, b₂-4 185-6°, m. 55-6°; with CHNa(CO₂Et)₂ there results 51.7% of di-Et 2-p-methoxyphenylthiazole-4-methylmalonate, b₂-4 235-9°; the free acid, m. 97°, seps. with 2 mols. H₂O; decarboxylation gives 2-p-methoxyphenylthiazole-4-β-propionic acid, m. 126-7°, whose Et ester m. 53-4°; the hydrazide m. 158-9° (95% yield) and the azide m. 78-9° (94% yield); di(2-methoxyphenylthiazole-4-ethyl)-sym-urea, m. 173-4° (97.4% yield). 2-p-Methoxyphenylthiazole-4-ethylphthalimide, m. 120-1° (88% yield), results by heating the urea with C₆H₄(CO)₂O at 220-5°; digestion with N₂H₄.H₂O in EtOH gives 2-p-methoxyphenylthiazole-4-ethylamine, b₂-4 292-3°; 48% HBr gives I, which is an oil; the HCl salt m. 218-22°. Attempts to convert the urea into I by 48% HBr were unsuccessful. Veratrolonitrile with H₂N in EtOH at 100° gives 90% of 3,4 dimethoxythiobenzamide, m. 183°; with (ClCH₂)₂CO this yields 74% of 2-(3,4-dimethoxyphenylthiazole)-4-chloromethyl, m. 89-90°. Di-Et 2-(3,4-dimethoxyphenylthiazole)-4-methylmalonate, b₂-3 215-5° (53% yeild); the free acid m. 141°, seps. with 1 mol. H₂O (53% yield); 2-(3,4-dimethoxyphenylthiazole)-4-β-propionic acid, m. 94° (80% yield); Et ester, b₂-3 220-3°, m. 69° (81% yield); hydrazide, m. 162° (94% yield); azide, m. 77-8° (90% yield); di-2-(3,4-dimethoxyphenylthiazole-4-ethyl)-sym-urea, m. 165-6° (90% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylphthalimide, m. 143-4° (72% yield); 2-(3,4-dimethoxyphenylthiazole)-4-ethylamine, b₄ 210-2° (52% yield); di-HCl salt, m. 225-7°. The di-HO derivative has not been obtained pure from demethylation expts.

IT 858009-38-8, 4-Thiazolepropionic acid, 2-(3,4-dimethoxyphenyl)-
(and derivs.)

RN 858009-38-8 CAPLUS

CN 4-Thiazolepropanoic acid, 2-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



=> d his ful

(FILE 'HOME' ENTERED AT 14:56:13 ON 24 SEP 2009)

FILE 'REGISTRY' ENTERED AT 14:56:19 ON 24 SEP 2009

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L1          STR
L2          STR L1
L3          2 SEA SSS SAM L2
L4          STR L2
L5          3 SEA SSS SAM L2 AND L4
L6          STR
L7          3 SEA SSS SAM L2 AND L4 AND L6
           D SCA
L8          STR L2
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L10         STR L2
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           AND C>8 AND C<40 AND NC=1 AND 46.150.18/RID AND ((C/ELS AND
           H/ELS AND O/ELS AND N/ELS AND 4/ELC.SUB) OR (C/ELS AND H/ELS
           AND O/ELS AND N/ELS AND 5/ELC.SUB))
L13         1 SEA SUB=L12 SSS SAM L2 AND L4 AND L6 AND L8 AND L10
           D SCA
L14         435 SEA SUB=L12 SSS FUL L2 AND L4 AND L6 AND L8 AND L10
L15         3138467 SEA SPE=ON ABB=ON PLU=ON NR=2 AND NRS=2 AND N<4 AND N/ELS
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L18         STR
L19         0 SEA SUB=L17 SSS FUL L18
L20         STR
L21         440 SEA SUB=L17 SSS FUL L20

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FILE 'CAPLUS' ENTERED AT 15:23:44 ON 24 SEP 2009

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L22         133 SEA SPE=ON ABB=ON PLU=ON L21
L23         133 SEA SPE=ON ABB=ON PLU=ON L21
L24         87 SEA SPE=ON ABB=ON PLU=ON L23 AND (PY<2004 OR AY<2004 OR
           PRY<2004)

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FILE 'CAPLUS' ENTERED AT 15:25:10 ON 24 SEP 2009

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           D QUE L24
           E US2006-566342/APPS
L25         1 SEA SPE=ON ABB=ON PLU=ON US2006-566342/AP
L26         1 SEA SPE=ON ABB=ON PLU=ON L25 AND L24
           D HITSTR

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FILE 'CAPLUS' ENTERED AT 15:26:19 ON 24 SEP 2009
D QUE L24
D L24 IBIB ABS HITSTR TOT

FILE HOME

FILE REGISTRY

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DICTIONARY FILE UPDATES: 23 SEP 2009 HIGHEST RN 1186189-89-8

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FILE LAST UPDATED: 23 Sep 2009 (20090923/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

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